

(19)



Europäisches Patentamt

European Patent Office

Office européen des brevets



(11)

EP 1 415 986 A1

(12)

EUROPEAN PATENT APPLICATION

published in accordance with Art. 158(3) EPC

(43) Date of publication:
06.05.2004 Bulletin 2004/19

(21) Application number: **02755790.9**

(22) Date of filing: **02.08.2002**

(51) Int Cl.7: **C07D 209/96**, C07D 307/94,
C07D 401/12, C07D 403/14,
C07D 405/12, C07D 471/10,
A61K 31/454, A61K 31/4545,
A61K 31/4709, A61K 31/4747,
A61K 31/506, A61P 1/00,
A61P 3/04, A61P 3/06,
A61P 3/10, A61P 5/00,
A61P 9/00, A61P 9/02

(86) International application number:
PCT/JP2002/007922

(87) International publication number:
WO 2003/014083 (20.02.2003 Gazette 2003/08)

(84) Designated Contracting States:
AT BE BG CH CY CZ DE DK EE ES FI FR GB GR
IE IT LI LU MC NL PT SE SK TR
Designated Extension States:
AL LT LV MK RO SI

(30) Priority: **07.08.2001 JP 2001239567**

(71) Applicant: **BANYU PHARMACEUTICAL CO., LTD.**
Chuo-ku, Tokyo 103-8416 (JP)

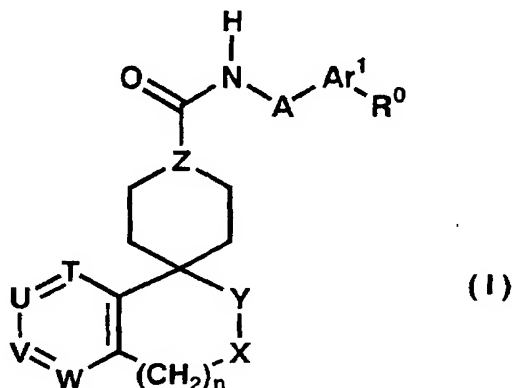
(72) Inventors:
• **FUKAMI, Takehiro,**
c/o Banyu Pharmaceutical Co.Ltd.
Tsukuba-shi, Ibaraki 300-2611 (JP)

- **NONOSHITA, Katsumasa,**
c/o Bany Pharamceutical
Tsukuba-shi, Ibaraki 300-2611 (JP)
- **SAGARA, Takeshi,**
c/o BANYU PHARMACEUTICAL CO., LTD
Tsukuba-shi, Ibaraki 300-2611 (JP)
- **KISHINO, Hiroyuki,**
c/o BANYU PHARMACEUTICAL
Tsukuba-shi, Ibaraki 300-2611 (JP)

(74) Representative: **Teipel, Stephan, Dr. et al**
Lederer & Keller
Patentanwälte
Prinzregentenstrasse 16
80538 München (DE)

(54) **SPIRO COMPOUNDS**

(57) Compounds of the formula (I):



(wherein

A is an optionally substituted straight-chain hydrocarbon having 1 to 6 carbon atoms, which is optionally intervened by oxygen or nitrogen atom;

Ar¹ is aryl or heteroaryl, any of which is optionally substituted;

n is 0 or 1;

R⁰ is hydrogen, or lower alkylene attached to an arbitrary, bondable position of A;

T, U, V and W are independently nitrogen atom or optionally substituted methine, and at least two of T, U, V and W are said methine group;

X is -N(SO₂R¹)-, -N(COR²)- or -CO-;

Y is -C(R³)(R⁴)-, -O- or -N(R⁵)-;

Z is methine or nitrogen atom) exhibit NPY antagonistic activities and are useful as agents for the treatment of various diseases related to NPY, for example, cardiovascular disorders such as hypertension, nephropathy, heart disease, vasospasm, arteriosclerosis, etc., central nervous system disorders such as bulimia, depression, anxiety, seizure, epilepsy, dementia, pain, alcoholism, drug withdrawal, circadian rhythm disorders, schizophrenia, etc., metabolic diseases such as obesity, diabetes, hormone abnormality, hypercholesterolemia, hyperlipidemia, etc., sexual and reproductive dysfunctions, and gastro-intestinal motility disorder.

Description

Technical Field

[0001] The present invention is useful in medical fields. In more detail, spiro compounds of the present invention have an effect as neuropeptide Y receptor antagonists and are useful as agents for the treatment of various kinds of cardiovascular disorders, central nervous system disorders, metabolic diseases, and the like.

Background Art

[0002] NeuropeptideY (hereinafter referred to as NPY), a peptide consisting of 36 amino acids, was first isolated from porcine brain by Tatemoto et al in 1982 (NATURE, vol. 296, p. 659(1982)). NPY is widely distributed in central nervous system and peripheral nervous system, and plays various roles as one of the most abundant peptides in the nervous system. That is, NPY acts as an orexigenic substance in the central nervous system and markedly promotes fat accumulation via the mediation of secretion of various hormones or the action of the nervous system. It is known that continuous intracerebroventricular administration of NPY induces obesity and insulin resistance due to these actions (INTERNATIONAL JOURNAL OF OBESITY, vol. 19, p.517(1995); Endocrinology, vol.133, p.1753(1993)). It is also known that NPY has central actions such as depression, anxiety, schizophrenia, pain, dementia, circadian rhythm control and the like (DRUGS, vol.52, p.371(1996); THE JOURNAL OF NEUROSCIENCE, vol.18, p.3014(1998)). Furthermore, in the periphery, NPY coexists with norepinephrine in sympathetic-nerve terminals and is related to the tonicity of the sympathetic nervous system. It is known that peripheral administration of NPY causes vasoconstriction and enhances the activities of other vasoconstrictive substances such as norepinephrine (BRITISH JOURNAL OF PHARMACOLOGY, vol.95, p.419(1988)). It is also reported that NPY could participate in the development of cardiac hypertrophy as a result of the sympathetic stimulation (PROCEEDINGS OF THE NATIONAL ACADEMY OF SCIENCES OF THE UNITED STATES OF AMERICA, vol.97, p.1595(2000)).

[0003] On the other hand, it is reported that NPY is also involved in the secretory function of sexual hormones and growth hormone, sexual behavior and reproductive function, gastro-intestinal motility, bronchoconstriction, inflammation and alcohol preference (LIFE SCIENCE, vol.55, p.551(1994); THE JOURNAL OF ALLERGY AND CLINICAL IMMUNOLOGY, vol.101, p.S345(1998); NATURE, vol.396, p.366(1998)).

[0004] NPY has a variety of pharmacological effects resulting from NPY binding to some NPY receptors to which peptide YY and pancreatic polypeptide, which are the analogs of NPY, also bind. It is known that these pharmacological effects of NPY are mediated by the action of at least five receptors with or without synergistic interactions (TRENDS IN NEUROSCIENCES, vol.20, p.294(1997)).

[0005] It is reported that the central effects mediated by NPY Y1 receptor include remarkable orexigenic effect (ENDOCRINOLOGY, vol.137, p.3177(1996); ENDOCRINOLOGY, vol.141, p.1011(2000)). Further, NPY Y1 receptor is reported to be involved in anxiety and pain (NATURE, vol.259, p.528(1993); BRAIN RESEARCH, vol.859, p.361(2000)). In addition, the pressor effect mediated by the strong vasoconstrictor action in the periphery is also reported (FEBS LETTERS, vol.362, p.192(1995); NATURE MEDICINE, vol.4, p.722(1998)).

[0006] It is known that the effects mediated by NPY Y2 receptor include an inhibitory effect on the release of various neurotransmitters in the sympathetic nerve endings (BRITISH JOURNAL OF PHARMACOLOGY, vol.102, p.41(1991); SYNAPSE, vol.2, p.299(1988)). In periphery, NPY Y2 causes constriction of blood vessel or vas deferens directly or via the control of release of various neurotransmitters (THE JOURNAL OF PHARMACOLOGY AND EXPERIMENTAL THERAPEUTICS, vol.261, p.863(1992); BRITISH JOURNAL OF PHARMACOLOGY, vol.100, p.190(1990)). Inhibition of lipolysis in adipose tissues is also known (ENDOCRINOLOGY, vol.131, p.1970(1992)). Further, inhibition of ion secretion in the gastro-intestinal tract is reported (BRITISH JOURNAL OF PHARMACOLOGY, vol.101, p.247(1990)). On the other hand, the effects on the central nervous system functions such as memory, anxiety and the like are also known (BRAIN RESEARCH, vol.503, p.73(1989); PEPTIDES, vol.19, p.359(1998)).

[0007] It is reported that NPY Y3 receptor exists mainly in brainstem and heart, and is related to the regulation of blood pressure and heart rate (THE JOURNAL OF PHARMACOLOGY AND EXPERIMENTAL THERAPEUTICS, vol.258, p.633(1991); PEPTIDES, vol.11, p.545(1990)). It is also known that NPY Y3 is involved in the control of catecholamine secretion in adrenal gland (THE JOURNAL OF PHARMACOLOGY AND EXPERIMENTAL THERAPEUTICS, vol.244, p.468(1988); LIFE SCIENCE, vol.50, p.PL7(1992)).

[0008] NPY Y4 receptor has high affinity for pancreatic polypeptide in particular. As for the pharmacological effects of NPY Y4, inhibition of pancreatic exocrine secretion and gastro-intestinal motility is reported (GASTROENTEROLOGY, vol.85, p.1411(1983)). Further, it is reported that NPY enhances the secretion of sexual hormones in the central nervous system (ENDOCRINOLOGY, vol.140, p.5171(1999)).

[0009] As for the effects mediated by NPY Y5 receptor, fat accumulation effects including orexigenic effect are prominent (NATURE, vol. 382, p.168(1996); AMERICAN JOURNAL OF PHYSIOLOGY, vol.277, p.R1428(1999)). It is also

reported that the NPY Y5 receptor mediates some CNS effects, such as seizure and epilepsy, or pain and morphine withdrawal symptoms, and the control of circadian rhythm (NATURE MEDICINE, vol.3, p.761(1997); PROCEEDINGS OF THE NATIONAL ACADEMY OF SCIENCES OF THE UNITED STATES OF AMERICA, vol.96, p.13518(1999); THE JOURNAL OF PHARMACOLOGY AND EXPERIMENTAL THERAPEUTICS, vol.284, p.633(1998); THE JOURNAL OF NEUROSCIENCE, vol.21, p.5367(2001). In addition, diuretic effect and hypoglycemic effect in the periphery are reported (BRITISH JOURNAL OF PHARMACOLOGY, vol. 120, p.1335(1998); ENDOCRINOLOGY, vol.139, p.3018 (1998)). NPY is also reported to enhance cardiac hypertrophy as a result of the sympathetic accentuation (PROCEEDINGS OF THE NATIONAL ACADEMY OF SCIENCES OF THE UNITED STATES OF AMERICA, vol.97, p.1595 (2000)).

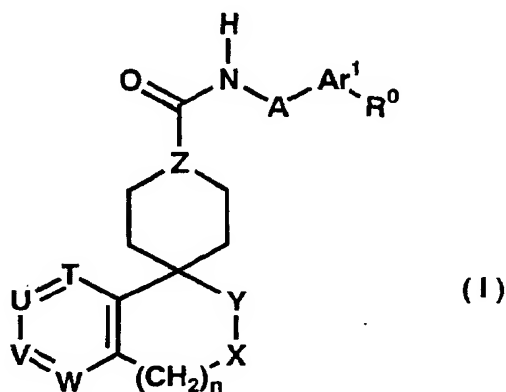
[0010] The effects of NPY are expressed when NPY binds to the NPY receptors in the central or peripheral nervous system. Therefore, the action of NPY can be prevented by blocking its binding to NPY receptors. For this reason, it is expected that substances antagonize NPY binding to NPY receptors may be useful for the prophylaxis or treatment of various diseases related to NPY, for example, cardiovascular disorders such as hypertension, nephropathy, heart disease, vasospasm, etc., central nervous system disorders such as bulimia, depression, anxiety, seizure, epilepsy, dementia, pain, alcoholism, drug withdrawal, circadian rhythm disorders, schizophrenia, etc., metabolic diseases such as obesity, diabetes, hormone abnormality, etc., sexual and reproductive dysfunctions, gastro-intestinal motility disorders, respiratory disorders, inflammatory diseases or glaucoma, and the like. (TRENDS IN PHARMACOLOGICAL SCIENCES, vol.15, p.153(1994); LIFE SCIENCE, vol.55, p.551(1994); DRUGS, vol.52, p.371(1996); THE JOURNAL OF ALLERGY AND CLINICAL IMMUNOLOGY, vol.101, p.S345(1998); NATURE, vol.396, p.366(1998); THE JOURNAL OF PHARMACOLOGY AND EXPERIMENTAL THERAPEUTICS, vol.284, p.633(1998); TRENDS IN PHARMACOLOGICAL SCIENCES, vol.20, p.104(1999); PROCEEDINGS OF THE NATIONAL ACADEMY OF SCIENCES OF THE UNITED STATES OF AMERICA, vol.97, p.1595(2000); THE JOURNAL OF NEUROSCIENCE, vol. 21, p.5367 (2001); PHARMACOLOGY & THERAPEUTICS, vol.65, p.397(1995).

[0011] It was recently found that, as a result of the study by the present inventors, certain NPY receptor antagonists are useful for the prophylaxis or treatment of hypercholesterolemia, hyperlipidemia and arteriosclerosis (International application publication WO99/27965).

Disclosure of Invention

[0012] The object of the present invention is to provide novel medicines which have NPY antagonistic actions.

[0013] The present inventors have discovered that compounds of the formula (I):



(wherein

A is a straight-chain hydrocarbon having 1 to 6 carbon atoms, which is optionally substituted by a substituent selected from the group consisting of oxo, amino, lower alkylamino, di-lower alkylamino, hydroxy, lower alkoxy, lower alkoxy-carbonyl, lower alkylene, aryl, heteroaryl and -R^a, and is optionally intervened by oxygen or nitrogen atom;

Ar¹ is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, nitro, oxo, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, cycle-lower alkyl, lower alkenyl, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkylthio, carboxyl, lower alkanoyl, lower alkoxy-carbonyl and -Q-Ar²;

Ar² is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting

of halogen, cyano, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, hydroxy, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkanoyl and aryl;

n is 0 or 1;

Q is a single bond or carbonyl;

R^a is lower alkyl which is optionally substituted by a substituent selected from the group consisting of amino, lower alkylamino, di-lower alkylamino and hydroxy, and cyclo-lower alkyl, aryl and heteroaryl, the last three groups being optionally substituted by fluorine;

R⁰ is hydrogen, or lower alkylene attached to an arbitrary, bondable position of A;

R¹, R² and R⁵ are independently hydrogen, lower alkyl, aralkyl or aryl;

R³ and R⁴ are independently hydrogen, hydroxy, lower alkyl, aralkyl or aryl;

T, U, V and W are independently methine or nitrogen atom, said methine being optionally substituted by a substituent selected from the group consisting of halogen, lower alkyl, hydroxy and lower alkoxy, and at least two of T, U, V and W are said methine group;

X is -N(SO₂R¹)-, -N(COR²)- or -CO-;

Y is -C(R³)(R⁴)-, -O- or -N(R⁵)-;

Z is methine or nitrogen atom)

exhibit NPY antagonistic activities and are useful as agents for treatment of various kinds of diseases related to NPY, thereby completed the present invention.

[0014] The compounds of the present invention (I) are useful as agents for the treatment of various diseases related to NPY, that is, cardiovascular disorders such as hypertension, nephropathy, heart disease, vasospasm, arteriosclerosis, etc., central nervous system disorders such as bulimia, depression, anxiety, seizure, epilepsy, dementia, pain, alcoholism, drug withdrawal, circadian rhythm disorders, schizophrenia, etc., metabolic diseases such as obesity, diabetes, hormone abnormality, hypercholesterolemia, hyperlipidemia, etc., sexual and reproductive dysfunctions, gastro-intestinal disorders such as gastro-intestinal motility disorder, respiratory disorders, inflammatory diseases or glaucoma, and the like.

[0015] The compounds of the present invention (I) are particularly useful as agents for the treatment of bulimia, obesity, diabetes and the like.

[0016] The present invention relates to the compounds of the formula (I), or the salts or esters thereof, and the production methods and the use thereof.

[0017] The means of terms used in the present specification are defined, and more detailed description of this invention is described below.

[0018] "Halogen" refers to fluorine, chlorine, bromine and iodine.

[0019] "Lower alkyl" refers to a straight- or branched-chain alkyl group having 1 to 6 carbon atoms, and its examples are methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, tert-butyl, pentyl, isopentyl, hexyl, isohexyl and the like.

[0020] "Halo-lower alkyl" refers to said lower alkyl substituted with identically or differently one, two or more, preferably one to three said halogen at the arbitrary, substitutable position(s), and its examples are fluoromethyl, difluoromethyl, trifluoromethyl, 2-fluoroethyl, 1,2-difluoroethyl, chloromethyl, 2-chloroethyl, 1,2-dichloroethyl, bromomethyl, iodomethyl and the like.

[0021] "Hydroxy-lower alkyl" refers to said lower alkyl substituted with one, two or more, preferably one or two hydroxy at the arbitrary, substitutable position(s), and its examples are hydroxymethyl, 2-hydroxyethyl, 1-hydroxy-1-methylethyl, 1,2-dihydroxyethyl, 3-hydroxypropyl and the like.

[0022] "Cyclo-lower alkyl" refers to a cycloalkyl group having 3 to 6 carbon atoms, and its examples are cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and the like.

[0023] "Lower alkenyl" refers to a straight- or branched-chain alkenyl group having 2 to 6 carbon atoms, and its examples are vinyl, 1-propenyl, 2-propenyl, isopropenyl, 3-butenyl, 2-butenyl, 1-butenyl, 1-methyl-2-propenyl, 1-methyl-1-propenyl, 1-ethyl-1-ethenyl, 2-methyl-2-propenyl, 2-methyl-1-propenyl, 3-methyl-2-butenyl, 4-pentenyl and the like.

[0024] "Lower alkoxy" refers to a straight- or branched-chain alkoxy group having 1 to 6 carbon atoms, and its examples are methoxy, ethoxy, propoxy, isopropoxy, butoxy, sec-butoxy, isobutoxy, tert-butoxy, pentyloxy, isopentyloxy, hexyloxy, isohexyloxy, and the like.

[0025] "Halo-lower alkoxy" refers to said lower alkoxy substituted with identically or differently one, two or more, preferably one to three said halogen at the arbitrary, substitutable position(s), and its examples are fluoromethoxy, difluoromethoxy, trifluoromethoxy, 2-fluoroethoxy, 1,2-difluoroethoxy, chloromethoxy, 2-chloroethoxy, 1,2-dichloroethoxy, bromomethoxy, iodomethoxy and the like.

[0026] "Lower alkylthio" refers to a straight- or branched-chain alkylthio group having 1 to 6 carbon atoms, and its examples are methylthio, ethylthio, propylthio, isopropylthio, butylthio, sec-butylthio, isobutylthio, tert-butylthio, pentylthio, isopentylthio, hexylthio, isohexylthio and the like.

[0027] "Lower alkanoyl" refers to an alkanoyl group containing said lower alkyl, that is, an alkanoyl group having 2 to 7 carbon atoms, and its examples are acetyl, propionyl, butyryl, isobutyryl, valeryl, isovaleryl, pivaloyl and the like.

[0028] "Lower alkoxycarbonyl" refers to an alkoxycarbonyl group containing said lower alkoxy, that is, an alkoxycarbonyl group having 2 to 7 carbon atoms, and its examples are methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl, butoxycarbonyl, isobutoxycarbonyl, tert-butoxycarbonyl, pentyloxycarbonyl and the like.

[0029] "Aryl" refers to phenyl, naphthyl and the like.

[0030] "Heteroaryl" refers to 5- or 6-membered monocyclic heteroaromatic group which contains one, two or more, preferably one to three hetero atom(s) identically or differently selected from the group consisting of oxygen, nitrogen and sulfur; or condensed cyclic heteroaromatic group, where said monocyclic heteroaromatic group is condensed with said aryl group or condensed each other with the same or different said monocyclic heteroaromatic group, and its examples are pyrrolyl, furyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, triazolyl, tetrazolyl, oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,3,4-thiadiazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, 1,2,4-triazinyl, 1,3,5-triazinyl, indolyl, benzofuranyl, benzothienyl, benzoimidazolyl, benzoxazolyl, benzoisoxazolyl, benzothiazolyl, benzoisothiazolyl, indazolyl, purinyl, quinolyl, isoquinolyl, phthalazinyl, naphthylidinyl, quinoxalyl, quinazolinyl, cinnolinyl, pteridinyl, pyrido[3,2-b]pyridyl and the like.

[0031] "A straight-chain hydrocarbon having 1 to 6 carbon atoms, which is optionally intervened by oxygen or nitrogen atom" refers to a saturated or unsaturated straight-chain hydrocarbon having 1 to 6 carbon atoms, which may or may not be intervened by one, two or more, preferably one oxygen or nitrogen atom(s), at the arbitrary position (s) capable of being intervened, and examples thereof are methylene, ethylene, trimethylene, tetramethylene, pentamethylene, 1-azatrimethylene, 1-azatetramethylene, 2-azatetramethylene, 2-oxatetramethylene, 2-oxapentamethylene, 3-oxapentamethylene and the like.

[0032] "Lower alkylamino" refers to an amino group mono-substituted with said lower alkyl, and its examples are methylamino, ethylamino, propylamino, isopropylamino, butylamino, sec-butylamino, tert-butylamino and the like.

[0033] "Di-lower alkylamino" refers to an amino group di-substituted with identical or different said lower alkyl, and its examples are dimethylamino, diethylamino, ethylmethylamino, dipropylamino, methylpropylamino, diisopropylamino and the like.

[0034] "Lower alkylene" refers to a straight- or branched-chain alkylene group having 1 to 6 carbon atoms, and its examples are methylene, ethylene, trimethylene, tetramethylene, pentamethylene, hexamethylene and the like.

[0035] "Aralkyl" refers to said lower alkyl substituted with one, two or more, preferably one or two aryl at the arbitrary, substitutable position(s), and its examples are benzyl, 2-phenylethyl, 3-phenylethyl, 1-phenylethyl and the like.

[0036] The salts of the compounds of the formula (I) refer to the pharmaceutically acceptable, common salts, and examples thereof are base addition salt to said carboxyl group when the compound has a carboxyl group, or acid addition salt to an amino or said basic heterocyclyl when the compound has a basic heterocyclyl group, and the like.

[0037] Said base addition salts include salts with alkali metals (e.g. sodium, potassium); salts with alkaline earth metals (e.g. calcium, magnesium); ammonium salts; salts with organic amines (e.g. trimethylamine, triethylamine, dicyclohexylamine, ethanolamine, diethanolamine, triethanolamine, procaine, N,N'-dibenzylethylenediamine) and the like.

[0038] Said acid addition salts include salts with inorganic acids (e.g. hydrochloric acid, sulfuric acid, nitric acid, phosphoric acid, perchloric acid), salts with organic acids (e.g. maleic acid, fumaric acid, tartaric acid, citric acid, ascorbic acid, trifluoroacetic acid), salts with sulfonic acids (e.g. methanesulfonic acid, isethionic acid, benzenesulfonic acid, p-toluenesulfonic acid) and the like.

[0039] The esters of the compounds of the formula (I) refer to, for example, the pharmaceutically acceptable, common esters of said carboxyl group when the compound has a carboxyl group, and examples thereof are esters with lower alkyl (e.g. methyl, ethyl, propyl, isopropyl, butyl, sec-butyl, tert-butyl, pentyl, isopentyl, neopentyl, cyclopropyl, cyclobutyl, cyclopentyl), esters with aralkyl (e.g. benzyl, phenethyl), esters with lower alkenyl (e.g. allyl, 2-butenyl), esters with lower-alkoxy-lower-alkyl (e.g. methoxymethyl, 2-methoxyethyl, 2-ethoxyethyl), esters with lower-alkanoyloxy-lower-alkyl (e.g. acetoxymethyl, pivaloyloxymethyl, 1-pivaloyloxyethyl), esters with lower-alkoxycarbonyl-lower-alkyl (e.g. methoxycarbonylmethyl, isopropoxycarbonylmethyl), esters with carboxy-lower alkyl (e.g. carboxymethyl), esters with lower-alkoxycarbonyloxy-lower-alkyl (e.g. 1-(ethoxycarbonyloxy)ethyl, 1-(cyclohexyloxycarbonyloxy)ethyl), esters with carbamoyloxy-lower alkyl (e.g. carbamoyloxymethyl), esters with phthalidyl, esters with (5-substituted-2-oxo-1,3-dioxol-4-yl)methyl (e.g. (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl) and the like.

[0040] "An agent for treatment" refers to a medicament which is employed for the treatment and/or prophylaxis of various diseases.

[0041] In order to disclose the aforesaid compounds of the formula (I) of the present invention more specifically, the various symbols used in the formula (I) are explained in more detail by presenting preferred embodiments.

[0042] A refers to a straight-chain hydrocarbon having 1 to 6 carbon atoms, which is optionally substituted by a substituent selected from the group consisting of oxo, amino, lower alkylamino, di-lower alkylamino, hydroxy, lower alkoxy, lower alkoxycarbonyl, lower alkylene, aryl, heteroaryl and -R^a, and is optionally intervened by oxygen or nitrogen

atom.

[0043] "A straight-chain hydrocarbon having 1 to 6 carbon atoms, which is optionally substituted by a substituent selected from the group consisting of oxo, amino, lower alkylamino, di-lower alkylamino, hydroxy, lower alkoxy, lower alkoxycarbonyl, lower alkylene, aryl, heteroaryl and -R^a, and is optionally intervened by oxygen or nitrogen atom" refers to unsubstituted said straight-chain hydrocarbon having 1 to 6 carbon atoms, which is optionally intervened by oxygen or nitrogen atom; or said straight-chain hydrocarbon having 1 to 6 carbon atoms, which has substituent(s) at the arbitrary, substitutable position(s), and is optionally intervened by oxygen or nitrogen atom, wherein said substituent may be one, two or more member(s), preferably one member identically or differently selected from the group consisting of oxo, amino, lower alkylamino, di-lower alkylamino, hydroxy, lower alkoxy, lower alkoxycarbonyl, lower alkylene, aryl, heteroaryl and -R^a.

[0044] The preferable examples of lower alkylamino as said substituent include methylamino, ethylamino, propylamino and the like.

[0045] The preferable examples of di-lower alkylamino as said substituent include dimethylamino, diethylamino and the like.

[0046] The preferable examples of lower alkoxy as said substituent include methoxy, ethoxy, propoxy and the like.

[0047] The preferable examples of lower alkoxycarbonyl as said substituent include methoxycarbonyl, ethoxycarbonyl and the like.

[0048] The preferable examples of lower alkylene as said substituent include methylene, ethylene, trimethylene and the like.

[0049] The preferable examples of aryl as said substituent include phenyl, naphthyl and the like.

[0050] The preferable examples of heteroaryl as said substituent include pyridyl, quinolyl, indolyl and the like.

[0051] A group represented by the formula: -R^a as said substituent refers to lower alkyl which is optionally substituted by a substituent selected from the group consisting of amino, lower alkylamino, di-lower alkylamino and hydroxy, and cyclo-lower alkyl, aryl and heteroaryl, the last three groups being optionally substituted by fluorine.

[0052] "Lower alkyl which is optionally substituted by a substituent selected from the group consisting of amino, lower alkylamino, di-lower alkylamino and hydroxy, and cyclo-lower alkyl, aryl and heteroaryl, the last three groups being optionally substituted by fluorine" refers to unsubstituted said lower alkyl, or said lower alkyl having substituent(s) at the arbitrary, substitutable position(s), wherein said substituent may be one, two or more, preferably one member(s) identically or differently selected from the group consisting of amino, lower alkylamino, di-lower alkylamino and hydroxy, and cyclo-lower alkyl, aryl and heteroaryl, the last three groups being optionally substituted by fluorine.

[0053] The preferable examples of lower alkylamino as said substituent include methylamino, ethylamino, propylamino and the like.

[0054] The preferable examples of di-lower alkylamino as said substituent include dimethylamino, diethylamino and the like.

[0055] The preferable examples of cyclo-lower alkyl being optionally substituted by fluorine as said substituent include cyclopentyl, cyclohexyl and the like.

[0056] The preferable examples of aryl being optionally substituted by fluorine as said substituent include phenyl, 4-fluorophenyl, naphthyl and the like.

[0057] The preferable examples of heteroaryl being optionally substituted by fluorine as said substituent include pyridyl, quinolyl, indolyl and the like.

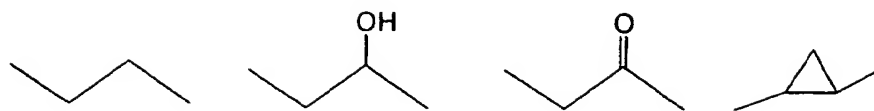
[0058] The preferable examples of lower alkyl of "lower alkyl which is optionally substituted" as R^a include methyl, ethyl, propyl and the like.

[0059] The preferable examples of R^a include hydroxymethyl, cyclohexylmethyl, benzyl, 4-fluorobenzyl, 3-pyridylmethyl, 4-pyridylmethyl, 3-indolylmethyl and the like.

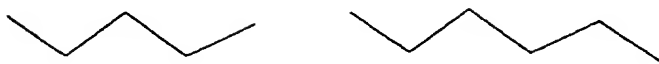
[0060] The preferable examples of substituent of A include oxo, hydroxy, lower alkoxycarbonyl, lower alkylene, aryl, -R^a and the like.

[0061] To be more specific, A includes, for example, a group of the formula (A-1) and (A-2):

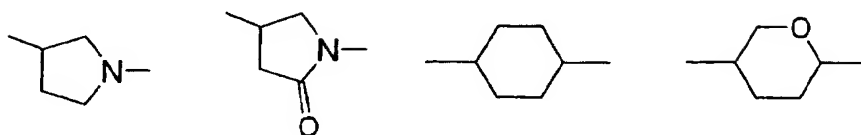
5



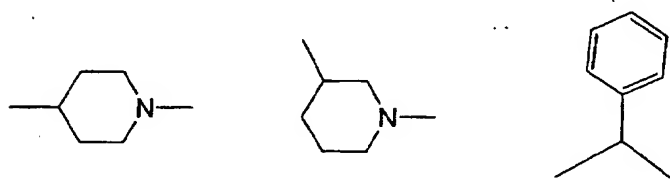
10



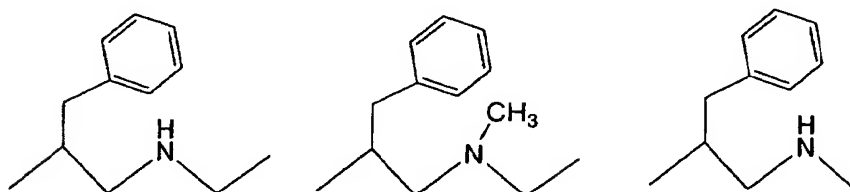
15



20

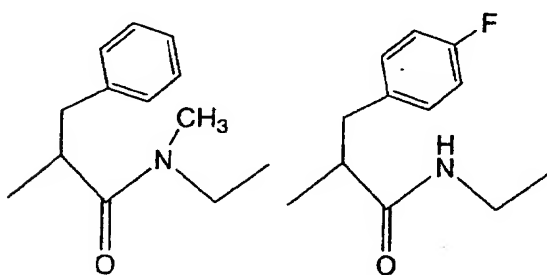


25



30

35



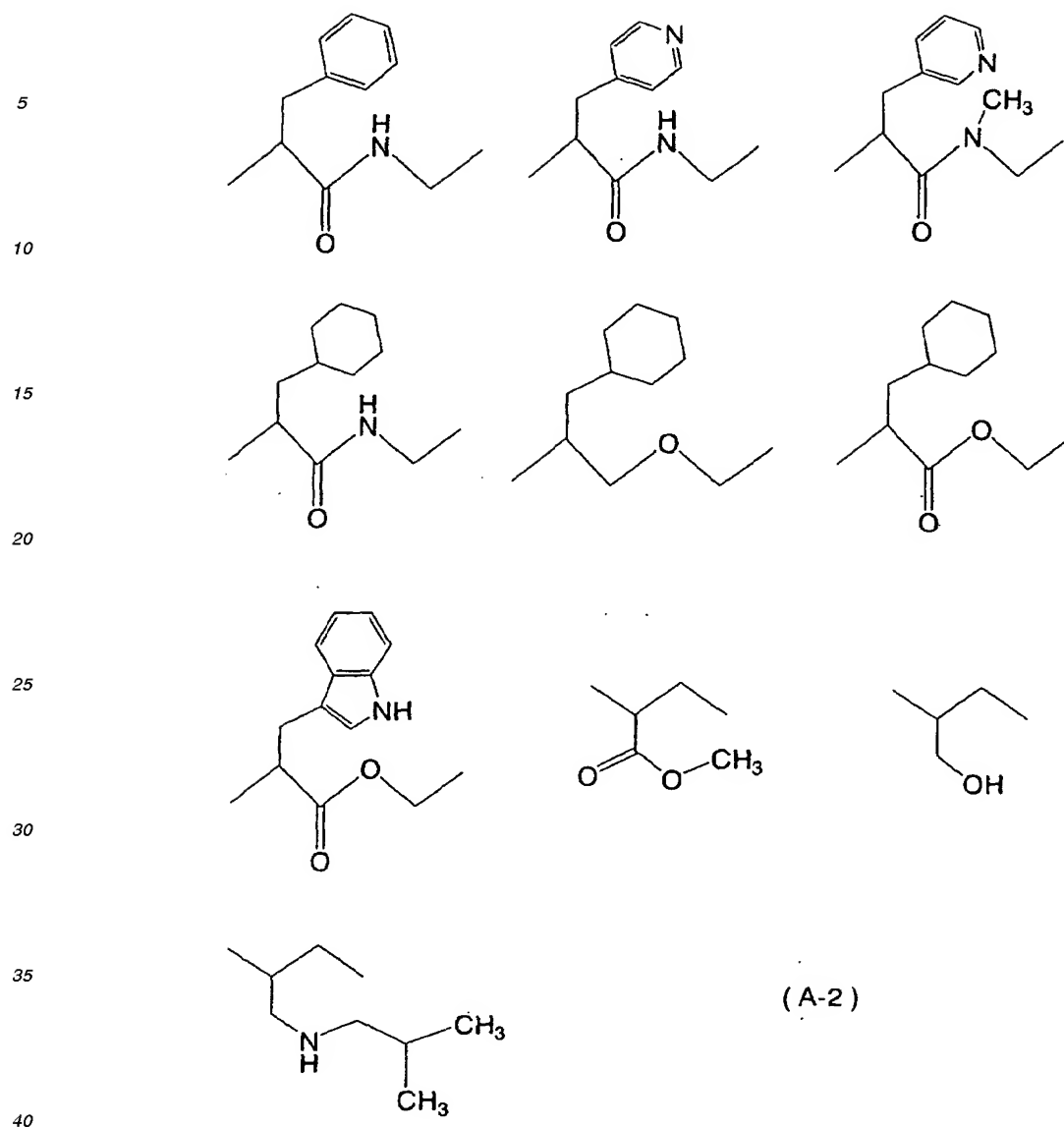
40

(A-1)

45

50

55



and the like.

[0062] Ar¹ is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, nitro, oxo, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, cycle-lower alkyl, lower alkenyl, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkylthio, carboxyl, lower alkanoyl, lower alkoxy-carbonyl and -Q-Ar².

[0063] "Aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, nitro, oxo, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, cyclo-lower alkyl, lower alkenyl, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkylthio, carboxyl, lower alkanoyl, lower alkoxy-carbonyl and -Q-Ar²" refers to unsubstituted said aryl or said heteroaryl, or said aryl or said heteroaryl, the last two groups having substituent (s) at the arbitrary, substitutable position(s) wherein said substituent may be one, two or more, preferably one or two member(s) identically or differently selected from the group consisting of halogen, nitro, oxo, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, cyclo-lower alkyl, lower alkenyl, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkylthio, carboxyl, lower alkanoyl, lower alkoxy-carbonyl and -Q-Ar².

[0064] The preferable examples of halogen as said substituent include fluorine, chlorine and the like.

[0065] The preferable examples of lower alkyl as said substituent include methyl, ethyl, propyl, isopropyl and the like.

[0066] The preferable examples of halo-lower alkyl as said substituent include difluoromethyl, trifluoromethyl and the like.

[0067] The preferable examples of hydroxy-lower alkyl as said substituent include hydroxymethyl, 2-hydroxyethyl, 1-hydroxy-methylethyl and the like.

[0068] The preferable examples of cyclo-lower alkyl as said substituent include cyclopropyl, cyclobutyl and the like.

[0069] The preferable examples of lower alkenyl as said substituent include vinyl, 1-propenyl, 2-methyl-1-propenyl and the like.

[0070] The preferable examples of lower alkoxy as said substituent include methoxy, ethoxy and the like

[0071] The preferable examples of halo-lower alkoxy as said substituent include fluoromethoxy, difluoromethoxy, trifluoromethoxy and the like.

[0072] The preferable examples of lower alkylamino as said substituent include methylamino, ethylamino, propylamino and the like.

[0073] The preferable examples of di-lower alkylamino as said substituent include dimethylamino, diethylamino and the like.

[0074] The preferable examples of lower alkylthio as said substituent include methylthio, ethylthio and the like.

[0075] The preferable examples of lower alkanoyl as said substituent include acetyl, propionyl and the like.

[0076] The preferable examples of lower alkoxy-carbonyl as said substituent include methoxycarbonyl, ethoxycarbonyl and the like.

[0077] In a group represented by the formula: $-Q-Ar^2$ as said substituent, Ar^2 is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, cyano, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, hydroxy, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkanoyl and aryl; Q is a single bond or carbonyl.

[0078] "Aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, cyano, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, hydroxy, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkanoyl and aryl" refers to unsubstituted said aryl or said heteroaryl, or said aryl or said heteroaryl, the last two groups having substituent(s) at the arbitrary, substitutable position(s) wherein said substituent may be one, two or more, preferably one or two member(s) identically or differently selected from the group consisting of halogen, cyano, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, hydroxy, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkanoyl and aryl.

[0079] The preferable examples of halogen as said substituent include fluorine, chlorine and the like.

[0080] The preferable examples of lower alkyl as said substituent include methyl, ethyl, propyl, isopropyl and the like.

[0081] The preferable examples of halo-lower alkyl as said substituent include difluoromethyl, trifluoromethyl and the like.

[0082] The preferable examples of hydroxy-lower alkyl as said substituent include hydroxymethyl, 2-hydroxyethyl, 1-hydroxy-1-methylethyl and the like.

[0083] The preferable examples of lower alkoxy as said substituent include methoxy, ethoxy and the like.

[0084] The preferable examples of halo-lower alkoxy as said substituent include fluoromethoxy, difluoromethoxy, trifluoromethoxy and the like.

[0085] The preferable examples of lower alkylamino as said substituent include methylamino, ethylamino and the like.

[0086] The preferable examples of di-lower alkylamino as said substituent include dimethylamino, diethylamino and the like.

[0087] The preferable examples of lower alkanoyl as said substituent include acetyl, propionyl and the like.

[0088] The preferable examples of aryl as said substituent include phenyl and the like.

[0089] The preferable examples of the substituent of Ar^2 include halogen, cyano, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, hydroxy, halo-lower alkoxy and the like.

[0090] The preferable examples of aryl as Ar^2 include phenyl and the like, and preferred examples of heteroaryl as Ar^2 include imidazolyl, pyridyl, benzofuranyl, quinolyl and the like.

[0091] Thus, the preferable examples of a group represented by the formula: $-Q-Ar^2$ include phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-cyanophenyl, 3-cyanophenyl, 4-cyanophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 2-fluoro-5-methylphenyl, 3-fluoromethylphenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-fluoro-5-methoxyphenyl, 3-fluoromethoxyphenyl, 3-difluoromethoxyphenyl, 3-(2-hydroxyethyl)phenyl, 3-hydroxymethylphenyl, 3-(1-hydroxy-1-methylethyl)phenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 2-imidazolyl, 1-ethyl-2-imidazolyl, 1,2,4-thiadiazol-5-yl, 1,3,4-thiadiazol-2-yl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-ethyl-4-pyridyl, 4-pyrimidinyl, 5-pyrimidinyl, 4-benzo[b]furanyl, 5-benzo[b]furanyl, 7-benzo[b]furanyl, 2-quinolyl, 3-quinolyl, 4-quinolyl, 5-quinolyl, 6-quinolyl, 8-quinolyl, benzoyl, 2-pyridylcarbonyl and the like, among which the more preferable examples are phenyl, 2-fluorophenyl, 3-fluorophenyl, 3,5-difluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3-cyanophenyl, 3-trifluoromethylphenyl, 3-difluoromethoxyphenyl, 3-(2-hydroxyethyl)phenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 1-ethyl-2-imidazolyl, 2-pyridyl, 7-benzo[b]furanyl, 2-quinolyl, 3-quinolyl, benzoyl, 2-pyridylcarbonyl and the like.

[0092] The preferable examples of the substituent of Ar¹ include halogen, lower alkyl, halo-lower alkyl, lower alkenyl, lower alkoxy, di-loweralkylamino, loweralkanoyl, -Q-Ar² and the like, more preferably, halogen, halo-lower alkyl, lower alkoxy, di-lower alkylamino and the like.

[0093] The preferable examples of aryl as Ar¹ preferably include phenyl and the like, and the preferable examples of heteroaryl as Ar¹ preferably include imidazolyl, pyrazolyl, thiazolyl, oxazolyl, isoxazolyl, 1,2,4-thiadiazolyl, pyridyl, pyrazinyl, pyrimidinyl, 1,2,4-triazinyl, benzoxazolyl, benzothiazolyl, quinolyl, pyrido[3,2-b]pyridyl and the like, more preferably, pyridyl and the like.

[0094] R⁰ refers to hydrogen, or lower alkylene attached to an arbitrary, bondable position of A.

[0095] The preferable examples of lower alkylene as R⁰ include methylene, ethylene, trimethylene, tetramethylene and the like.

[0096] Thus, a group represented by the formula: -A-Ar¹-R⁰ includes, for example, 1-phenyl-3-pyrrolidinyl, 1-(2-fluorophenyl)-3-pyrrolidinyl, 1-(3-fluorophenyl)-3-pyrrolidinyl, 1-(4-fluorophenyl)-3-pyrrolidinyl, 1-(2-pyridyl)-3-pyrrolidinyl, 1-(3-pyridyl)-3-pyrrolidinyl, 1-(4-pyridyl)-3-pyrrolidinyl, 1-(3,5-difluorophenyl)-3-pyrrolidinyl, 1-(3-trifluoromethylphenyl)-3-pyrrolidinyl, 1-(2-pyrimidinyl)-3-pyrrolidinyl, 5-oxo-1-phenyl-3-pyrrolidinyl, 1-phenyl-4-piperidyl, 1-(2-fluorophenyl)-4-piperidyl, 1-(3-fluorophenyl)-4-piperidyl, 1-(4-fluorophenyl)-4-piperidyl, 1-(3,5-difluorophenyl)-4-piperidyl, 1-(2-pyridyl)-4-piperidyl, 1-(3-pyridyl)-4-piperidyl, 1-(4-pyridyl)-4-piperidyl, 3-hydroxymethyl-1-phenyl-4-piperidyl, 3-methoxycarbonyl-1-phenyl-4-piperidyl, 3-ethoxycarbonyl-1-phenyl-4-piperidyl, 3-isopropoxycarbonyl-1-phenyl-4-piperidyl, 1-phenyl-3-piperidyl, 1-(2-fluorophenyl)-3-piperidyl, 1-(3-fluorophenyl)-3-piperidyl, 1-(4-fluorophenyl)-3-piperidyl, 1-(3,5-difluorophenyl)-3-piperidyl, 1-(2-pyridyl)-3-piperidyl, 1-(3-pyridyl)-3-piperidyl, 1-(4-pyridyl)-3-piperidyl, 3-phenylcyclopentyl, 3-phenylcyclohexyl, 4-phenylcyclohexyl, 4-(2-fluorophenyl)cyclohexyl, 4-(3-fluorophenyl)cyclohexyl, 4-(4-fluorophenyl)cyclohexyl, 4-(2-pyridyl)cyclohexyl, 4-(3-pyridyl)cyclohexyl, 4-(4-pyridyl)cyclohexyl, 4-(4-fluoro-3-pyridyl)cyclohexyl, 4-(3-quinolyl)cyclohexyl, 4-(3-fluorophenyl)-4-hydroxycyclohexyl, 6-phenyl-3-tetrahydropyranyl, 6-(3-fluorophenyl)-3-tetrahydropyranyl, 2-phenylcyclopropyl, 2-(2-pyridyl)cyclopropyl, 2-(3-pyridyl)cyclopropyl, 2-(4-pyridyl)cyclopropyl, 2-indanyl, 2-tetrahydronaphthyl, 6-methoxy-2-tetrahydronaphthyl, benzyl, phenethyl, 3-phenylpropyl, 4-phenylbutyl, 4-bromophenethyl, 3-methoxyphenethyl, 2-propyloxyphenethyl, 4-dimethylaminophenethyl, 3,5-difluorophenethyl, 3,4-dimethoxyphenethyl, 4-(dimethylamino)-2-methoxyphenethyl, 2-(3-quinolyl)ethyl, 2-hydroxy-2-(3-quinolyl)ethyl, 2-hydroxy-2-phenylethyl, benzoylethyl, 2-hydroxy-2-(4-dimethylaminophenyl)ethyl, 2-hydroxy-2-(3,5-difluorophenyl)ethyl, 1-(hydroxymethyl)-2-phenylethyl, 1-(methoxycarbonyl)-2-phenylethyl, 1-(aminomethyl)-2-phenylethyl, 1-(isobutylaminomethyl)-2-phenylethyl, 1-benzyl-2-(benzylamino)ethyl, 1-benzyl-2-anilinoethyl, 1-benzyl-2-(2-pyridylmethylamino)ethyl, 1-benzyl-2-(3-pyridylmethylamino)ethyl, 1-benzyl-2-(N-benzyl-N-methylamino)ethyl, 1-benzyl-2-(benzyloxy)ethyl, 1-(cyclohexylmethyl)-2-(benzyloxy)ethyl, 1-benzyloxycarbonyl-2-cyclohexylethyl, 1-(benzyloxycarbonyl)-2-(3-indolyl)ethyl, 1-(benzylcarbamoyle)-2-phenylethyl, 1-(benzylcarbamoyle)-2-cyclohexylethyl, 1-(benzylcarbamoyle)-2-(4-pyridyl)ethyl, 1-(4-pyridylmethylcarbamoyle)-2-(4-fluorophenyl)ethyl, 1-(N-methylbenzylcarbamoyle)-2-phenylethyl, 1-(N-methylbenzylcarbamoyle)-2-(3-pyridyl)ethyl and the like, among which the more preferable examples are 1-phenyl-3-pyrrolidinyl, 1-(2-fluorophenyl)-3-pyrrolidinyl, 1-(3-fluorophenyl)-3-pyrrolidinyl, 1-(4-fluorophenyl)-3-pyrrolidinyl, 1-phenyl-4-piperidyl, 1-(2-fluorophenyl)-4-piperidyl, 1-(3-fluorophenyl)-4-piperidyl, 1-(3,5-difluorophenyl)-4-piperidyl, 4-phenylcyclohexyl, 4-(2-fluorophenyl)cyclohexyl, 4-(3-fluorophenyl)cyclohexyl, 4-dimethylaminophenethyl, 1-benzyl-2-(benzylamino)ethyl, 1-benzyl-2-(2-pyridylmethylamino)ethyl, 1-benzyl-2-(3-pyridylmethylamino)ethyl, 1-benzyl-2-(benzyloxy)ethyl, 1-(benzylcarbamoyle)-2-phenylethyl, 1-(benzylcarbamoyle)-2-(4-pyridyl)ethyl and the like.

n is 0 or 1, preferably 0.

[0097] T, U, V and W are independently methine or nitrogen atom, said methine being optionally substituted by a substituent selected from the group consisting of halogen, lower alkyl, hydroxy and lower alkoxy, and at least two of T, U, V and W are said methine group;

[0098] "Methine being optionally substituted by a substituent selected from the group consisting of halogen, lower alkyl, hydroxy and lower alkoxy" refers to unsubstituted methine or methine having a substituent selected from the group consisting of halogen, lower alkyl, hydroxy and lower alkoxy.

[0099] The preferable examples of halogen as said substituent include fluorine, chlorine and the like.

[0100] The preferable examples of lower alkyl as said substituent include methyl, ethyl and the like.

[0101] The preferable examples of lower alkoxy as said substituent include methoxy, ethoxy and the like.

[0102] The preferable examples of the said substituent include halogen atom and the like.

[0103] The preferred embodiments of T, U, V and W include the case where T, U, V and W are independently methine optionally having said substituent, preferably halogen; or the case where one of T, U, V and W is nitrogen atom; and the like.

X is -N(SO₂R¹)-, -N(COR²)- or -CO-;

Y is -C(R³)(R⁴)-, -O- or -N(R⁵)-;

R¹, R² and R⁵ are independently hydrogen, lower alkyl, aralkyl or aryl;

R^3 and R^4 are independently hydrogen, hydroxy, lower alkyl, aralkyl or aryl.

[0104] The preferable examples of lower alkyl as R^1 , R^2 , R^3 , R^4 or R^5 include each independently methyl, ethyl, propyl and the like.

[0105] The preferable examples of aralkyl as R^1 , R^2 , R^3 , R^4 or R^5 include each independently benzyl and the like.

[0106] The preferable examples of aryl as R^1 , R^2 , R^3 , R^4 or R^5 include each independently phenyl and the like.

[0107] The preferable examples of R^1 and R^2 include lower alkyl and the like.

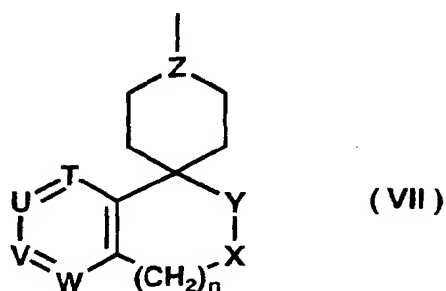
[0108] The preferred embodiments of R^3 and R^4 are the case where both R^3 and R^4 are hydrogen, and the like.

[0109] The preferable examples of R^5 include hydrogen, lower alkyl and the like, more preferably hydrogen and the like.

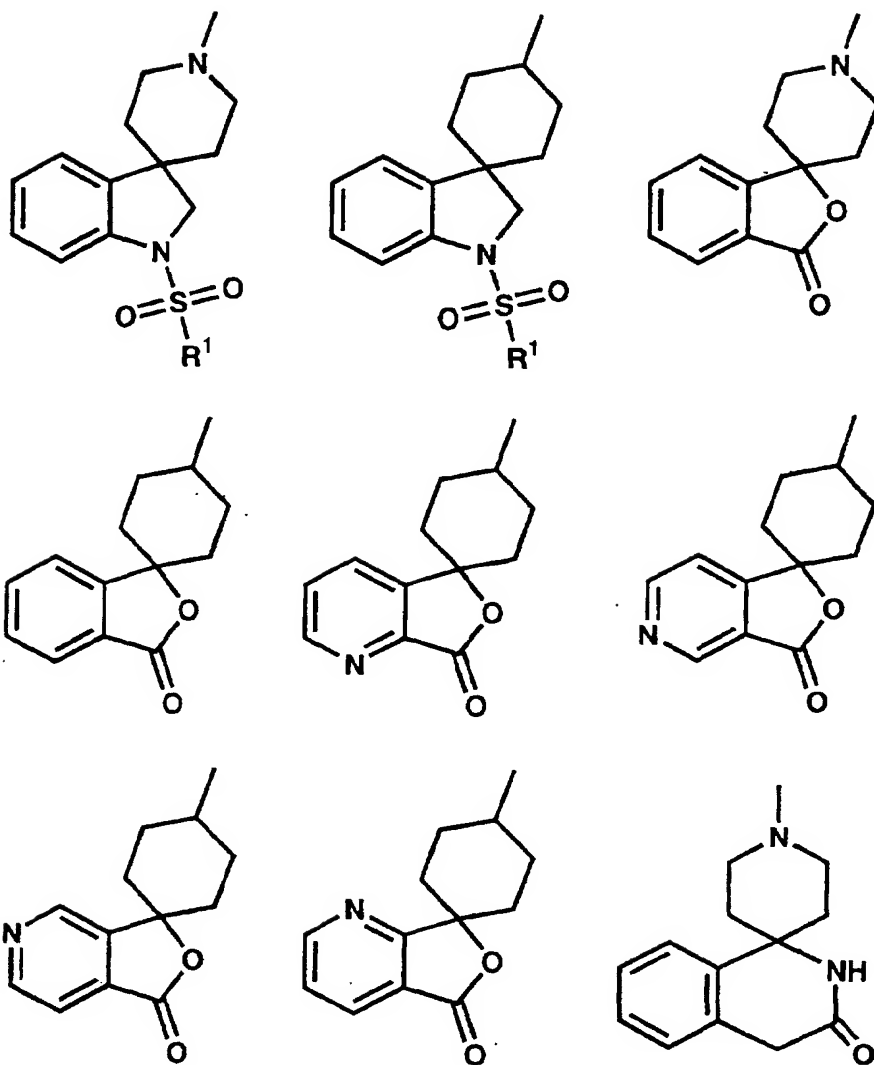
[0110] The preferred embodiment of X, Y and n includes, for example, the case where X is $-N(SO_2R^1)-$ or $-N(COR^2)-$, preferably $-N(SO_2R^1)-$, n is 0, and Y is $-C(R^3)(R^4)-$; or the case where X is $-CO-$, and Y is $-O-$ or $-N(R^5)-$, more preferably $-O-$, and among which the case where X is $-CO-$ and Y is $-O-$ or $-NH-$; and the case where X is $-CO-$ and Y is $-O-$ are more preferable.

[0111] Z is methine or nitrogen atom, preferably methine.

[0112] In more detail, the preferable examples of a group of the formula (VII):



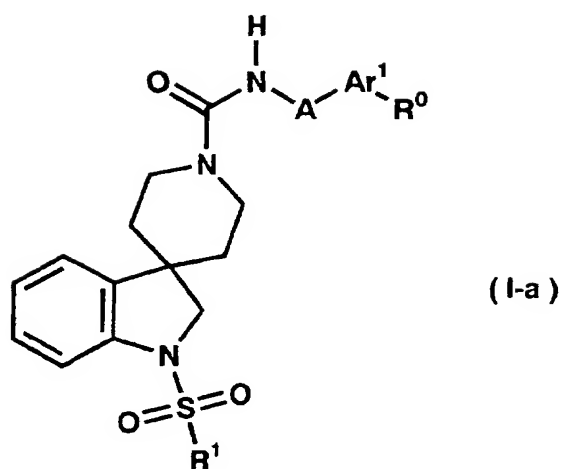
include a group represented by the following formulas (VIII):



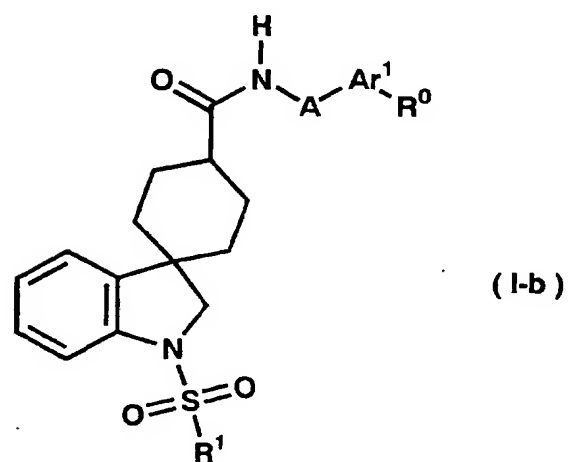
(VIII)

(wherein R^1 has the same meaning as defined above) and the like.

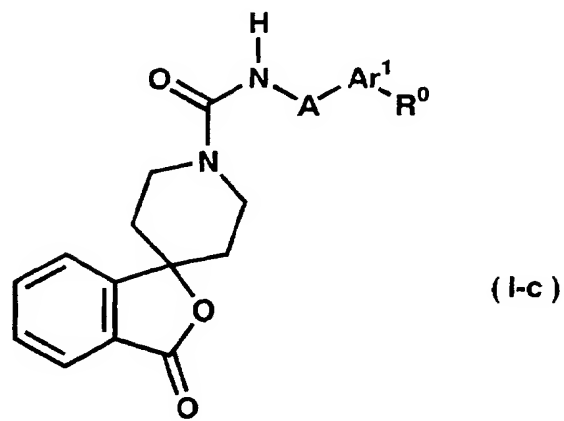
[0113] Preferred compounds of the formula (I) are, for example, compounds of the formula (I-a):



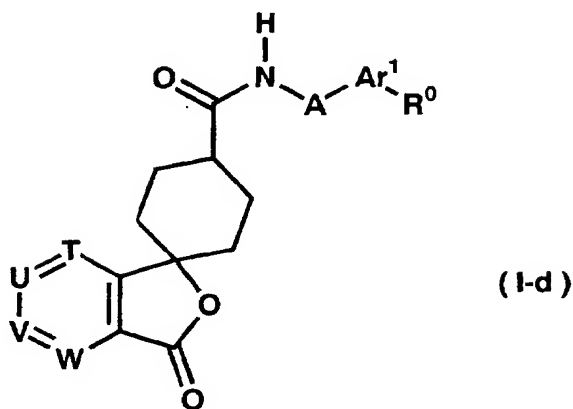
20 wherein A, Ar¹, R⁰ and R¹ have the same meaning as defined above, compounds of the formula (I-b):



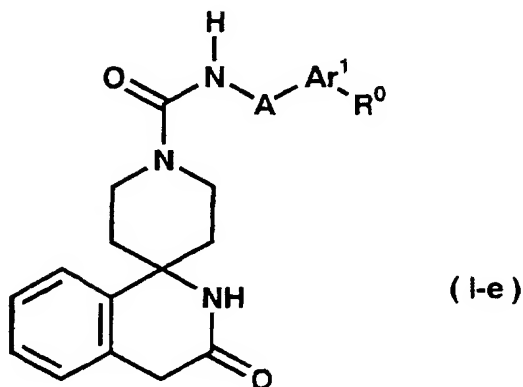
40 wherein A, Ar¹, R⁰ and R¹ have the same meaning as defined above, compounds of the formula (I-c):



wherein A, Ar¹ and R⁰ have the same meaning as defined above, compounds of the formula (I-d):



wherein A, Ar¹, R⁰, T, U, V and W have the same meaning as defined above, and compounds of the formula (I-e):



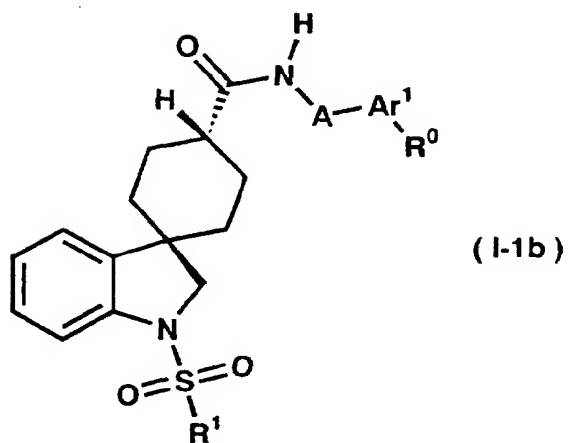
wherein A, Ar¹ and R⁰ have the same meaning as defined above.

[0114] Preferred compounds of the formula (I-d) are, for example, compounds wherein all of T, U, V and W are unsubstituted methine, or compounds wherein one of T, U, V and W is nitrogen atom.

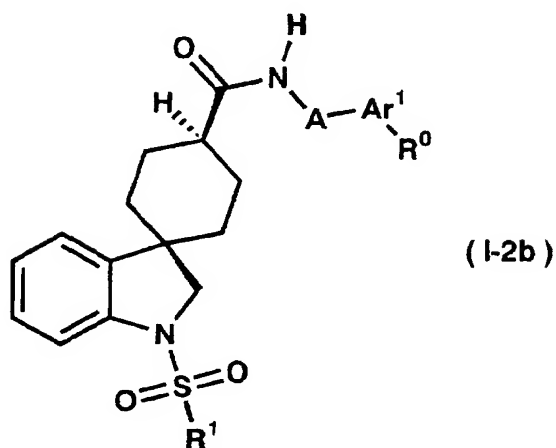
[0115] Preferred compounds of the formula (I), (I-a), (I-b), (I-c), (I-d) or (I-e) are, for example, compounds wherein aryl as Ar¹ is phenyl, or compounds wherein Ar¹ is heteroaryl which is optionally substituted by a substituent selected from the group consisting of halogen, nitro, oxo, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, cyclo-lower alkyl, lower alkenyl, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkylthio, carboxyl, lower alkanoyl, lower alkoxy-carbonyl and -Q-Ar².

[0116] The compounds of the present invention may include stereoisomers such as optical isomers, diastereoisomers and geometrical isomers, or tautomers depending upon the mode of substituents. The compounds of the present invention include all the stereoisomers, tautomers and their mixtures.

[0117] For example, compounds of the formula (I-b) include stereoisomers such as trans-form compound of the formula (I-1b):

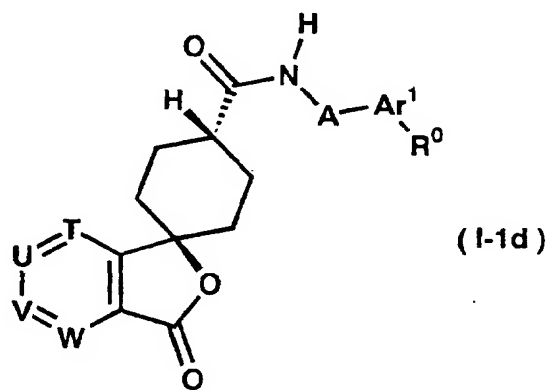


and cis-form compound of the formula (I-2b):

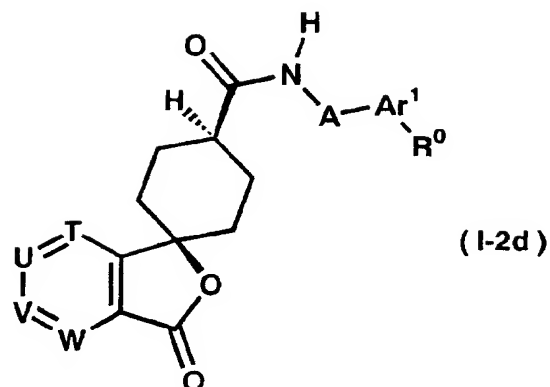


among which trans-form compound is preferred.

[0118] Also, compounds of the formula (I-d) include stereoisomers such as trans-form compound of the formula (I-1d):



and cis-form compound of the formula (I-2d):



among which trans-form compound is preferred.

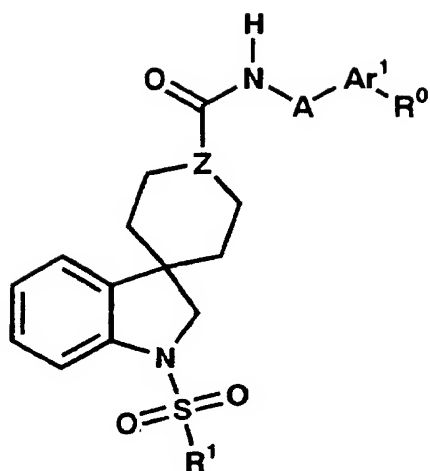
[0119] Also included within the scope of the invention are polymorphs, hydrates and solvates of the compounds of the present invention.

[0120] The present invention also includes prodrugs of the compounds of the present invention within its scope. In general, such prodrugs are functional derivatives of the compounds of the present invention which can be readily converted *in vivo* into the required compound. Thus, in the treatment methods for various diseases according to the present invention, the term "administering" shall encompass not only administration of the compound specified in this disclosure but also administration of a compound which is converted *in vivo* into the specified compound when it is administered to a patient. Conventional procedures for selection and preparation of suitable prodrug derivatives are described, for example, in "Design of Prodrugs," ed. H. Bundgaard, Elsevier (1985), which are referred and entirely incorporated in this specification. The metabolites of these compounds include active compounds which are produced upon introduction of compounds of the present invention into the biological milieu, and they are encompassed in the scope of the present invention.

[0121] The specific compounds of the formula (I) are, for example, following compounds.

[0122] In the tables, Me refers to methyl group, and Et refers to ethyl group.

Table 1



No.	R ¹	Z	A-Ar ¹ -R ⁰
1	Me	CH	1-phenyl-3-pyrrolidinyl
2	Me	CH	1-(2-fluorophenyl)-3-pyrrolidinyl
3	Me	CH	1-(3-fluorophenyl)-3-pyrrolidinyl
4	Me	CH	1-(4-fluorophenyl)-3-pyrrolidinyl
5	Me	CH	1-(2-chlorophenyl)-3-pyrrolidinyl
6	Me	CH	1-(3-chlorophenyl)-3-pyrrolidinyl
7	Me	CH	1-(4-chlorophenyl)-3-pyrrolidinyl
8	Me	CH	1-(2-methylphenyl)-3-pyrrolidinyl
9	Me	CH	1-(3-methylphenyl)-3-pyrrolidinyl
10	Me	CH	1-(4-methylphenyl)-3-pyrrolidinyl
11	Me	CH	1-(2-methoxyphenyl)-3-pyrrolidinyl
12	Me	CH	1-(3-methoxyphenyl)-3-pyrrolidinyl
13	Me	CH	1-(4-methoxyphenyl)-3-pyrrolidinyl
14	Me	CH	1-(2-trifluoromethylphenyl)-3-pyrrolidinyl
15	Me	CH	1-(3-trifluoromethylphenyl)-3-pyrrolidinyl
16	Me	CH	1-(4-trifluoromethylphenyl)-3-pyrrolidinyl
17	Me	CH	1-(3,5-difluorophenyl)-3-pyrrolidinyl
18	Me	CH	1-(2-difluoromethoxyphenyl)-3-pyrrolidinyl
19	Me	CH	1-(3-difluoromethoxyphenyl)-3-pyrrolidinyl
20	Me	CH	1-(4-difluoromethoxyphenyl)-3-pyrrolidinyl

(continued from Table 1)

21	Me	CH	1-(2-pyridyl)-3-pyrrolidinyl
22	Me	CH	1-(3-pyridyl)-3-pyrrolidinyl
23	Me	CH	1-(4-pyridyl)-3-pyrrolidinyl
24	Me	CH	1-(2-pyrimidinyl)-3-pyrrolidinyl
25	Me	CH	5-oxo-1-phenyl-3-pyrrolidinyl
26	Me	CH	1-phenyl-3-piperidyl
27	Me	CH	1-(2-fluorophenyl)-3-piperidyl
28	Me	CH	1-(3-fluorophenyl)-3-piperidyl
29	Me	CH	1-(4-fluorophenyl)-3-piperidyl
30	Me	CH	1-(2-chlorophenyl)-3-piperidyl
31	Me	CH	1-(3-chlorophenyl)-3-piperidyl
32	Me	CH	1-(4-chlorophenyl)-3-piperidyl
33	Me	CH	1-(2-methylphenyl)-3-piperidyl
34	Me	CH	1-(3-methylphenyl)-3-piperidyl
35	Me	CH	1-(4-methylphenyl)-3-piperidyl
36	Me	CH	1-(2-methoxyphenyl)-3-piperidyl
37	Me	CH	1-(3-methoxyphenyl)-3-piperidyl
38	Me	CH	1-(4-methoxyphenyl)-3-piperidyl
39	Me	CH	1-(2-trifluoromethylphenyl)-3-piperidyl
40	Me	CH	1-(3-trifluoromethylphenyl)-3-piperidyl
41	Me	CH	1-(4-trifluoromethylphenyl)-3-piperidyl
42	Me	CH	1-(3,5-difluorophenyl)-3-piperidyl
43	Me	CH	1-(2-difluoromethoxyphenyl)-3-piperidyl
44	Me	CH	1-(3-difluoromethoxyphenyl)-3-piperidyl
45	Me	CH	1-(4-difluoromethoxyphenyl)-3-piperidyl
46	Me	CH	1-(2-pyridyl)-3-piperidyl
47	Me	CH	1-(3-pyridyl)-3-piperidyl
48	Me	CH	1-(4-pyridyl)-3-piperidyl
49	Me	CH	1-phenyl-4-piperidyl
50	Me	CH	1-(2-fluorophenyl)-4-piperidyl
51	Me	CH	1-(3-fluorophenyl)-4-piperidyl
52	Me	CH	1-(4-fluorophenyl)-4-piperidyl
53	Me	CH	1-(2-chlorophenyl)-4-piperidyl
54	Me	CH	1-(3-chlorophenyl)-4-piperidyl
55	Me	CH	1-(4-chlorophenyl)-4-piperidyl
56	Me	CH	1-(2-methylphenyl)-4-piperidyl
57	Me	CH	1-(3-methylphenyl)-4-piperidyl
58	Me	CH	1-(4-methylphenyl)-4-piperidyl
59	Me	CH	1-(2-methoxyphenyl)-4-piperidyl
60	Me	CH	1-(3-methoxyphenyl)-4-piperidyl

(continued from Table 1)

	61	Me	CH	1-(4-methoxyphenyl)-4-piperidyl
5	62	Me	CH	1-(2-trifluoromethylphenyl)-4-piperidyl
	63	Me	CH	1-(3-trifluoromethylphenyl)-4-piperidyl
	64	Me	CH	1-(4-trifluoromethylphenyl)-4-piperidyl
	65	Me	CH	1-(3,5-difluorophenyl)-4-piperidyl
10	66	Me	CH	1-(2-difluoromethoxyphenyl)-4-piperidyl
	67	Me	CH	1-(3-difluoromethoxyphenyl)-4-piperidyl
	68	Me	CH	1-(4-difluoromethoxyphenyl)-4-piperidyl
	69	Me	CH	1-(2-pyridyl)-4-piperidyl
15	70	Me	CH	1-(3-pyridyl)-4-piperidyl
	71	Me	CH	1-(4-pyridyl)-4-piperidyl
	72	Me	CH	3-hydroxymethyl-1-phenyl-4-piperidyl
	73	Me	CH	3-methoxycarbonyl-1-phenyl-4-piperidyl
20	74	Me	CH	3-ethoxycarbonyl-1-phenyl-4-piperidyl
	75	Me	CH	3-isopropoxycarbonyl-1-phenyl-4-piperidyl
	76	Me	CH	4-phenylcyclohexyl
	77	Me	CH	4-(2-fluorophenyl)cyclohexyl
25	78	Me	CH	4-(3-fluorophenyl)cyclohexyl
	79	Me	CH	4-(4-fluorophenyl)cyclohexyl
	80	Me	CH	4-(2-chlorophenyl)cyclohexyl
	81	Me	CH	4-(3-chlorophenyl)cyclohexyl
30	82	Me	CH	4-(4-chlorophenyl)cyclohexyl
	83	Me	CH	4-(2-methylphenyl)cyclohexyl
	84	Me	CH	4-(3-methylphenyl)cyclohexyl
	85	Me	CH	4-(4-methylphenyl)cyclohexyl
35	86	Me	CH	4-(2-methoxyphenyl)cyclohexyl
	87	Me	CH	4-(3-methoxyphenyl)cyclohexyl
	88	Me	CH	4-(4-methoxyphenyl)cyclohexyl
	89	Me	CH	4-(2-trifluoromethylphenyl)cyclohexyl
40	90	Me	CH	4-(3-trifluoromethylphenyl)cyclohexyl
	91	Me	CH	4-(4-trifluoromethylphenyl)cyclohexyl
	92	Me	CH	4-(3,5-difluorophenyl)cyclohexyl
	93	Me	CH	4-(3-acetylphenyl)cyclohexyl
45	94	Me	CH	4-(3-cyanophenyl)cyclohexyl
	95	Me	CH	4-(2-difluoromethoxyphenyl)cyclohexyl
	96	Me	CH	4-(3-difluoromethoxyphenyl)cyclohexyl
	97	Me	CH	4-(4-difluoromethoxyphenyl)cyclohexyl
50	98	Me	CH	4-(2-pyridyl)cyclohexyl
	99	Me	CH	4-(3-pyridyl)cyclohexyl
	100	Me	CH	4-(4-pyridyl)cyclohexyl

55

(continued from Table 1)

	101	Me	CH	4-(4-fluoro-3-pyridyl)cyclohexyl
5	102	Me	CH	4-(3-quinolyl)cyclohexyl
	103	Me	CH	4-(3-fluorophenyl)-4-hydroxycyclohexyl
	104	Me	CH	3-phenylcyclohexyl
	105	Me	CH	3-phenylcyclopentyl
10	106	Me	CH	6-phenyl-3-tetrahydropyranyl
	107	Me	CH	6-(3-fluorophenyl)-3-tetrahydropyranyl
	108	Me	CH	2-phenylcyclopropyl
	109	Me	CH	2-(2-pyridyl)cyclopropyl
15	110	Me	CH	2-(3-pyridyl)cyclopropyl
	111	Me	CH	2-(4-pyridyl)cyclopropyl
	112	Me	CH	2-(3-fluorophenyl)cyclopropyl
	113	Me	CH	2-indanyl
20	114	Me	CH	2-tetrahydronaphthyl
	115	Me	CH	6-methoxy-2-tetrahydronaphthyl
	116	Me	CH	benzyl
	117	Me	CH	phenethyl
25	118	Me	CH	3-phenylpropyl
	119	Me	CH	4-phenylbutyl
	120	Me	CH	2-methoxyphenethyl
	121	Me	CH	3-methoxyphenethyl
30	122	Me	CH	4-methoxyphenethyl
	123	Me	CH	4-fluorophenethyl
	124	Me	CH	4-bromophenethyl
	125	Me	CH	4-chlorophenethyl
35	126	Me	CH	3-trifluoromethylphenethyl
	127	Me	CH	3,4-dimethoxyphenethyl
	128	Me	CH	3-propoxyphenethyl
	129	Me	CH	3,5-difluorophenethyl
40	130	Me	CH	4-dimethylaminophenethyl
	131	Me	CH	3-difluoromethoxyphenethyl
	132	Me	CH	2-methylphenethyl
	133	Me	CH	4-acetylphenethyl
45	134	Me	CH	4-dimethylamino-2-methoxyphenethyl
	135	Me	CH	cyclohexylethyl
	136	Me	CH	2-(2-pyridyl)ethyl
50	137	Me	CH	2-(3-pyridyl)ethyl
	138	Me	CH	2-(4-pyridyl)ethyl
	139	Me	CH	2-(2-quinolyl)ethyl
	140	Me	CH	2-(3-quinolyl)ethyl

55

(continued from Table 1)

5	141 Me	CH	2-(4-quinolyl)ethyl
	142 Me	CH	2-(6-quinolyl)ethyl
	143 Me	CH	2-(2-indolyl)ethyl
	144 Me	CH	2-(3-indolyl)ethyl
	145 Me	CH	2-(7-aza-3-indolyl)ethyl
10	146 Me	CH	2-(benzimidazolyl)ethyl
	147 Me	CH	2-(benzoxazolyl)ethyl
	148 Me	CH	2-(benzothiazolyl)ethyl
	149 Me	CH	2-(1-naphthyl)ethyl
15	150 Me	CH	2-(2-naphthyl)ethyl
	151 Me	CH	1-(hydroxymethyl)-2-phenylethyl
	152 Me	CH	1-(methoxycarbonyl)-2-phenylethyl
	153 Me	CH	1-(ethoxycarbonyl)-2-phenylethyl
20	154 Me	CH	1-carboxy-2-phenylethyl
	155 Me	CH	1-(benzyloxycarbonyl)-2-phenylethyl
	156 Me	CH	1-(phenoxymethyl)-2-phenylethyl
	157 Me	CH	1-(benzyloxymethyl)-2-phenylethyl
25	158 Me	CH	1-(benzylcarbamoyl)-2-phenylethyl
	159 Me	CH	1-(N-methylbenzylcarbamoyl)-2-phenylethyl
	160 Me	CH	1-(phenylcarbamoyl)-2-phenylethyl
	161 Me	CH	1-(N-methylphenylcarbamoyl)-2-phenylethyl
30	162 Me	CH	1-(N-benzylaminomethyl)-2-phenylethyl
	163 Me	CH	1-(N-benzyl-N-methylaminomethyl)-2-phenylethyl
	164 Me	CH	1-(anilinomethyl)-2-phenylethyl
	165 Me	CH	1-(N-methylanilinomethyl)-2-phenylethyl
35	166 Me	CH	1-(N-methylaminomethyl)-2-phenylethyl
	167 Me	CH	1-(N-ethylaminomethyl)-2-phenylethyl
	168 Me	CH	1-(N-isobutylaminomethyl)-2-phenylethyl
	169 Me	CH	1-(N-cyclopropylmethylaminomethyl)-2-phenyl- ethyl
40	170 Me	CH	1-(aminomethyl)-2-phenylethyl
	171 Me	CH	1-benzyl-2-(2-pyridylmethylamino)ethyl
	172 Me	CH	1-benzyl-2-(3-pyridylmethylamino)ethyl
45	173 Me	CH	1-benzyl-2-(4-pyridylmethylamino)ethyl
	174 Me	CH	2-phenyl-1-(2-pyridylmethylcarbamoyl)ethyl
	175 Me	CH	2-phenyl-1-(3-pyridylmethylcarbamoyl)ethyl
	176 Me	CH	2-phenyl-1-(4-pyridylmethylcarbamoyl)ethyl
50	177 Me	CH	2-hydroxy-2-phenylethyl
	178 Me	CH	benzoylmethyl
	179 Me	CH	1-(benzyloxycarbonyl)-2-(3-indolyl)ethyl
	180 Me	CH	1-(benzyloxycarbonyl)-2-cyclohexylethyl

55

(continued from Table 1)

5	181	Me	CH	1-(phenoxyethyl)-2-(3-indolyl)ethyl
	182	Me	CH	2-(2-methoxyphenoxy)ethyl
	183	Me	CH	1-(benzylcarbamoyl)-2-cyclohexylethyl
	184	Me	CH	1-(N-methylbenzylcarbamoyl)-2-cyclohexylethyl
10	185	Me	CH	1-(phenylcarbamoyl)-2-cyclohexylethyl
	186	Me	CH	1-(N-methylphenylcarbamoyl)-2-cyclohexylethyl
	187	Me	CH	1-(benzyloxycarbonyl)-2-(3-pyridyl)ethyl
	188	Me	CH	1-(benzylaminomethyl)-2-(3-pyridyl)ethyl
15	189	Me	CH	1-(benzylcarbamoyl)-2-(4-pyridyl)ethyl
	190	Me	CH	1-(4-pyridylmethylcarbamoyl)-2-(4-fluoro-phenyl)ethyl
	191	Me	CH	1-(benzylcarbamoyl)-2-(7-aza-3-indolyl)ethyl
	192	Me	CH	1-(benzyloxymethyl)-2-(2-indolyl)ethyl
20	193	Me	CH	1-(N-benzyl-N-methylaminomethyl)-2-(3-pyridyl)ethyl
	194	Me	CH	1-(N-methylbenzylcarbamoyl)-2-(3-pyridyl)ethyl
	195	Me	CH	2-hydroxy-2-(4-dimethylaminophenyl)ethyl
25	196	Me	CH	2-hydroxy-2-(2-quinolyl)ethyl
	197	Me	CH	2-hydroxy-2-(3-quinolyl)ethyl
	198	Me	CH	2-hydroxy-2-(4-quinolyl)ethyl
	199	Me	CH	2-hydroxy-2-(3,5-difluorophenyl)ethyl
30	200	Me	CH	1-carboxy-2-cyclohexylethyl
	201	Me	CH	2-hydroxy-2-(6-quinolyl)ethyl
	202	Me	CH	2-(benzylamino)-2-phenylethyl
	203	Me	CH	2-amino-2-(2-naphthyl)propyl
35	204	Me	CH	2-(phenylamino)ethyl
	205	Me	CH	diphenylmethyl
	206	Me	CH	2,2-diphenylethyl
	207	Me	CH	2-phenyl-2-(2-pyridyl)ethyl
40	208	Me	CH	2-phenyl-2-(3-pyridyl)ethyl
	209	Me	CH	2-phenyl-2-(4-pyridyl)ethyl
	210	Me	CH	2-phenoxy-2-phenylethyl
	211	Me	CH	2-(benzyloxy)-2-phenylethyl
45	212	Et	CH	1-phenyl-3-pyrrolidinyl
	213	Et	CH	1-(2-fluorophenyl)-3-pyrrolidinyl
	214	Et	CH	1-(3-fluorophenyl)-3-pyrrolidinyl
	215	Et	CH	1-(4-fluorophenyl)-3-pyrrolidinyl
50	216	Et	CH	1-(2-chlorophenyl)-3-pyrrolidinyl
	217	Et	CH	1-(3-chlorophenyl)-3-pyrrolidinyl
	218	Et	CH	1-(4-chlorophenyl)-3-pyrrolidinyl
	219	Et	CH	1-(2-methylphenyl)-3-pyrrolidinyl
55	220	Et	CH	1-(3-methylphenyl)-3-pyrrolidinyl

(continued from Table 1)

221	Et	CH	1-(4-methylphenyl)-3-pyrrolidinyl
222	Et	CH	1-(2-methoxyphenyl)-3-pyrrolidinyl
223	Et	CH	1-(3-methoxyphenyl)-3-pyrrolidinyl
224	Et	CH	1-(4-methoxyphenyl)-3-pyrrolidinyl
225	Et	CH	1-(2-trifluoromethylphenyl)-3-pyrrolidinyl
226	Et	CH	1-(3-trifluoromethylphenyl)-3-pyrrolidinyl
227	Et	CH	1-(4-trifluoromethylphenyl)-3-pyrrolidinyl
228	Et	CH	1-(3,5-difluorophenyl)-3-pyrrolidinyl
229	Et	CH	1-(2-difluoromethoxyphenyl)-3-pyrrolidinyl
230	Et	CH	1-(3-difluoromethoxyphenyl)-3-pyrrolidinyl
231	Et	CH	1-(4-difluoromethoxyphenyl)-3-pyrrolidinyl
232	Et	CH	1-(2-pyridyl)-3-pyrrolidinyl
233	Et	CH	1-(3-pyridyl)-3-pyrrolidinyl
234	Et	CH	1-(4-pyridyl)-3-pyrrolidinyl
235	Et	CH	1-(2-pyrimidinyl)-3-pyrrolidinyl
236	Et	CH	5-oxo-1-phenyl-3-pyrrolidinyl
237	Et	CH	1-phenyl-3-piperidyl
238	Et	CH	1-(2-fluorophenyl)-3-piperidyl
239	Et	CH	1-(3-fluorophenyl)-3-piperidyl
240	Et	CH	1-(4-fluorophenyl)-3-piperidyl
241	Et	CH	1-(2-chlorophenyl)-3-piperidyl
242	Et	CH	1-(3-chlorophenyl)-3-piperidyl
243	Et	CH	1-(4-chlorophenyl)-3-piperidyl
244	Et	CH	1-(2-methylphenyl)-3-piperidyl
245	Et	CH	1-(3-methylphenyl)-3-piperidyl
246	Et	CH	1-(4-methylphenyl)-3-piperidyl
247	Et	CH	1-(2-methoxyphenyl)-3-piperidyl
248	Et	CH	1-(3-methoxyphenyl)-3-piperidyl
249	Et	CH	1-(4-methoxyphenyl)-3-piperidyl
250	Et	CH	1-(2-trifluoromethylphenyl)-3-piperidyl
251	Et	CH	1-(3-trifluoromethylphenyl)-3-piperidyl
252	Et	CH	1-(4-trifluoromethylphenyl)-3-piperidyl
253	Et	CH	1-(3,5-difluorophenyl)-3-piperidyl
254	Et	CH	1-(2-difluoromethoxyphenyl)-3-piperidyl
255	Et	CH	1-(3-difluoromethoxyphenyl)-3-piperidyl
256	Et	CH	1-(4-difluoromethoxyphenyl)-3-piperidyl
257	Et	CH	1-(2-pyridyl)-3-piperidyl
258	Et	CH	1-(3-pyridyl)-3-piperidyl
259	Et	CH	1-(4-pyridyl)-3-piperidyl
260	Et	CH	1-phenyl-4-piperidyl

(continued from Table 1)

5	261	Et	CH	1-(2-fluorophenyl)-4-piperidyl
	262	Et	CH	1-(3-fluorophenyl)-4-piperidyl
	263	Et	CH	1-(4-fluorophenyl)-4-piperidyl
	264	Et	CH	1-(2-chlorophenyl)-4-piperidyl
10	265	Et	CH	1-(3-chlorophenyl)-4-piperidyl
	266	Et	CH	1-(4-chlorophenyl)-4-piperidyl
	267	Et	CH	1-(2-methylphenyl)-4-piperidyl
	268	Et	CH	1-(3-methylphenyl)-4-piperidyl
15	269	Et	CH	1-(4-methylphenyl)-4-piperidyl
	270	Et	CH	1-(2-methoxyphenyl)-4-piperidyl
	271	Et	CH	1-(3-methoxyphenyl)-4-piperidyl
	272	Et	CH	1-(4-methoxyphenyl)-4-piperidyl
20	273	Et	CH	1-(2-trifluoromethylphenyl)-4-piperidyl
	274	Et	CH	1-(3-trifluoromethylphenyl)-4-piperidyl
	275	Et	CH	1-(4-trifluoromethylphenyl)-4-piperidyl
	276	Et	CH	1-(3,5-difluorophenyl)-4-piperidyl
25	277	Et	CH	1-(2-difluoromethoxyphenyl)-4-piperidyl
	278	Et	CH	1-(3-difluoromethoxyphenyl)-4-piperidyl
	279	Et	CH	1-(4-difluoromethoxyphenyl)-4-piperidyl
	280	Et	CH	1-(2-pyridyl)-4-piperidyl
30	281	Et	CH	1-(3-pyridyl)-4-piperidyl
	282	Et	CH	1-(4-pyridyl)-4-piperidyl
	283	Et	CH	3-hydroxymethyl-1-phenyl-4-piperidyl
	284	Et	CH	3-methoxycarbonyl-1-phenyl-4-piperidyl
35	285	Et	CH	3-ethoxycarbonyl-1-phenyl-4-piperidyl
	286	Et	CH	3-isopropoxycarbonyl-1-phenyl-4-piperidyl
	287	Et	CH	4-phenylcyclohexyl
	288	Et	CH	4-(2-fluorophenyl)cyclohexyl
40	289	Et	CH	4-(3-fluorophenyl)cyclohexyl
	290	Et	CH	4-(4-fluorophenyl)cyclohexyl
	291	Et	CH	4-(2-chlorophenyl)cyclohexyl
	292	Et	CH	4-(3-chlorophenyl)cyclohexyl
45	293	Et	CH	4-(4-chlorophenyl)cyclohexyl
	294	Et	CH	4-(2-methylphenyl)cyclohexyl
	295	Et	CH	4-(3-methylphenyl)cyclohexyl
	296	Et	CH	4-(4-methylphenyl)cyclohexyl
50	297	Et	CH	4-(2-methoxyphenyl)cyclohexyl
	298	Et	CH	4-(3-methoxyphenyl)cyclohexyl
	299	Et	CH	4-(4-methoxyphenyl)cyclohexyl
55	300	Et	CH	4-(2-trifluoromethylphenyl)cyclohexyl

(continued from Table 1)

5	301	Et	CH	4-(3-trifluoromethylphenyl)cyclohexyl
	302	Et	CH	4-(4-trifluoromethylphenyl)cyclohexyl
	303	Et	CH	4-(3,5-difluorophenyl)cyclohexyl
	304	Et	CH	4-(3-acetylphenyl)cyclohexyl
	305	Et	CH	4-(3-cyanophenyl)cyclohexyl
10	306	Et	CH	4-(2-difluoromethoxyphenyl)cyclohexyl
	307	Et	CH	4-(3-difluoromethoxyphenyl)cyclohexyl
	308	Et	CH	4-(4-difluoromethoxyphenyl)cyclohexyl
	309	Et	CH	4-(2-pyridyl)cyclohexyl
15	310	Et	CH	4-(3-pyridyl)cyclohexyl
	311	Et	CH	4-(4-pyridyl)cyclohexyl
	312	Et	CH	4-(4-fluoro-3-pyridyl)cyclohexyl
	313	Et	CH	4-(3-quinolyl)cyclohexyl
20	314	Et	CH	4-(3-fluorophenyl)-4-hydroxycyclohexyl
	315	Et	CH	3-phenylcyclohexyl
	316	Et	CH	3-phenylcyclopentyl
25	317	Et	CH	6-phenyl-3-tetrahydropyranyl
	318	Et	CH	6-(3-fluorophenyl)-3-tetrahydropyranyl
	319	Et	CH	2-phenylcyclopropyl
	320	Et	CH	2-(2-pyridyl)cyclopropyl
30	321	Et	CH	2-(3-pyridyl)cyclopropyl
	322	Et	CH	2-(4-pyridyl)cyclopropyl
	323	Et	CH	2-(3-fluorophenyl)cyclopropyl
	324	Et	CH	2-indanyl
35	325	Et	CH	2-tetrahydronaphthyl
	326	Et	CH	6-methoxy-2-tetrahydronaphthyl
	327	Et	CH	benzyl
	328	Et	CH	phenethyl
40	329	Et	CH	3-phenylpropyl
	330	Et	CH	4-phenylbutyl
	331	Et	CH	2-methoxyphenethyl
	332	Et	CH	3-methoxyphenethyl
45	333	Et	CH	4-methoxyphenethyl
	334	Et	CH	4-fluorophenethyl
	335	Et	CH	4-bromophenethyl
	336	Et	CH	4-chlorophenethyl
50	337	Et	CH	3-trifluoromethylphenethyl
	338	Et	CH	3,4-dimethoxyphenethyl
	339	Et	CH	3-propoxyphenethyl
55	340	Et	CH	3,5-difluorophenethyl

(continued from Table 1)

341	Et	CH	4-dimethylaminophenethyl
342	Et	CH	3-difluoromethoxyphenethyl
343	Et	CH	2-methylphenethyl
344	Et	CH	4-acetylphenethyl
345	Et	CH	4-dimethylamino-2-methoxyphenethyl
346	Et	CH	cyclohexylethyl
347	Et	CH	2-(2-pyridyl)ethyl
348	Et	CH	2-(3-pyridyl)ethyl
349	Et	CH	2-(4-pyridyl)ethyl
350	Et	CH	2-(2-quinolyl)ethyl
351	Et	CH	2-(3-quinolyl)ethyl
352	Et	CH	2-(4-quinolyl)ethyl
353	Et	CH	2-(6-quinolyl)ethyl
354	Et	CH	2-(2-indolyl)ethyl
355	Et	CH	2-(3-indolyl)ethyl
356	Et	CH	2-(7-aza-3-indolyl)ethyl
357	Et	CH	2-(benzimidazolyl)ethyl
358	Et	CH	2-(benzoxazolyl)ethyl
359	Et	CH	2-(benzothiazolyl)ethyl
360	Et	CH	2-(1-naphthyl)ethyl
361	Et	CH	2-(2-naphthyl)ethyl
362	Et	CH	1-(hydroxymethyl)-2-phenylethyl
363	Et	CH	1-(methoxycarbonyl)-2-phenylethyl
364	Et	CH	1-(ethoxycarbonyl)-2-phenylethyl
365	Et	CH	1-carboxy-2-phenylethyl
366	Et	CH	1-(benzyloxycarbonyl)-2-phenylethyl
367	Et	CH	1-(phenoxymethyl)-2-phenylethyl
368	Et	CH	1-(benzyloxymethyl)-2-phenylethyl
369	Et	CH	1-(benzylcarbamoyl)-2-phenylethyl
370	Et	CH	1-(N-methylbenzylcarbamoyl)-2-phenylethyl
371	Et	CH	1-(phenylcarbamoyl)-2-phenylethyl
372	Et	CH	1-(N-methylphenylcarbamoyl)-2-phenylethyl
373	Et	CH	1-(N-benzylaminomethyl)-2-phenylethyl
374	Et	CH	1-(N-benzyl-N-methylaminomethyl)-2-phenylethyl
375	Et	CH	1-(anilinomethyl)-2-phenylethyl
376	Et	CH	1-(N-methylanilinomethyl)-2-phenylethyl
377	Et	CH	1-(N-methylaminomethyl)-2-phenylethyl
378	Et	CH	1-(N-ethylaminomethyl)-2-phenylethyl
379	Et	CH	1-(N-isobutylaminomethyl)-2-phenylethyl
380	Et	CH	1-(N-cyclopropylmethylaminomethyl)-2-phenyl- ethyl

(continued from Table 1)

381	Et	CH	1-(aminomethyl)-2-phenylethyl
382	Et	CH	1-benzyl-2-(2-pyridylmethylamino)ethyl
383	Et	CH	1-benzyl-2-(3-pyridylmethylamino)ethyl
384	Et	CH	1-benzyl-2-(4-pyridylmethylamino)ethyl
385	Et	CH	2-phenyl-1-(2-pyridylmethylcarbamoylethyl)
386	Et	CH	2-phenyl-1-(3-pyridylmethylcarbamoylethyl)
387	Et	CH	2-phenyl-1-(4-pyridylmethylcarbamoylethyl)
388	Et	CH	2-hydroxy-2-phenylethyl
389	Et	CH	benzoylmethyl
390	Et	CH	1-(benzyloxycarbonyl)-2-(3-indolyl)ethyl
391	Et	CH	1-(benzyloxycarbonyl)-2-cyclohexylethyl
392	Et	CH	1-(phenoxymethyl)-2-(3-indolyl)ethyl
393	Et	CH	2-(2-methoxyphenoxy)ethyl
394	Et	CH	1-(benzylcarbamoylethyl)-2-cyclohexylethyl
395	Et	CH	1-(N-methylbenzylcarbamoylethyl)-2-cyclohexylethyl
396	Et	CH	1-(phenylcarbamoylethyl)-2-cyclohexylethyl
397	Et	CH	1-(N-methylphenylcarbamoylethyl)-2-cyclohexylethyl
398	Et	CH	1-(benzyloxycarbonyl)-2-(3-pyridyl)ethyl
399	Et	CH	1-(benzylaminomethyl)-2-(3-pyridyl)ethyl
400	Et	CH	1-(benzylcarbamoylethyl)-2-(4-pyridyl)ethyl
401	Et	CH	1-(4-pyridylmethylcarbamoylethyl)-2-(4-fluorophenyl)ethyl
402	Et	CH	1-(benzylcarbamoylethyl)-2-(7-aza-3-indolyl)ethyl
403	Et	CH	1-(benzyloxymethyl)-2-(2-indolyl)ethyl
404	Et	CH	1-(N-benzyl-N-methylaminomethyl)-2-(3-pyridyl)ethyl
405	Et	CH	1-(N-methylbenzylcarbamoylethyl)-2-(3-pyridyl)ethyl
406	Et	CH	2-hydroxy-2-(4-dimethylaminophenyl)ethyl
407	Et	CH	2-hydroxy-2-(2-quinolyl)ethyl
408	Et	CH	2-hydroxy-2-(3-quinolyl)ethyl
409	Et	CH	2-hydroxy-2-(4-quinolyl)ethyl
410	Et	CH	2-hydroxy-2-(3,5-difluorophenyl)ethyl
411	Et	CH	1-carboxy-2-cyclohexylethyl
412	Et	CH	2-hydroxy-2-(6-quinolyl)ethyl
413	Et	CH	2-(benzylamino)-2-phenylethyl
414	Et	CH	2-amino-2-(2-naphthyl)propyl
415	Et	CH	2-(phenylamino)ethyl
416	Et	CH	diphenylmethyl
417	Et	CH	2,2-diphenylethyl
418	Et	CH	2-phenyl-2-(2-pyridyl)ethyl
419	Et	CH	2-phenyl-2-(3-pyridyl)ethyl
420	Et	CH	2-phenyl-2-(4-pyridyl)ethyl

(continued from Table 1)

5	421	Et	CH	2-phenoxy-2-phenylethyl
	422	Et	CH	2-(benzyloxy)-2-phenylethyl
	423	Me	N	1-phenyl-3-pyrrolidinyl
	424	Me	N	1-(2-fluorophenyl)-3-pyrrolidinyl
10	425	Me	N	1-(3-fluorophenyl)-3-pyrrolidinyl
	426	Me	N	1-(4-fluorophenyl)-3-pyrrolidinyl
	427	Me	N	1-(2-chlorophenyl)-3-pyrrolidinyl
	428	Me	N	1-(3-chlorophenyl)-3-pyrrolidinyl
15	429	Me	N	1-(4-chlorophenyl)-3-pyrrolidinyl
	430	Me	N	1-(2-methylphenyl)-3-pyrrolidinyl
	431	Me	N	1-(3-methylphenyl)-3-pyrrolidinyl
	432	Me	N	1-(4-methylphenyl)-3-pyrrolidinyl
20	433	Me	N	1-(2-methoxyphenyl)-3-pyrrolidinyl
	434	Me	N	1-(3-methoxyphenyl)-3-pyrrolidinyl
	435	Me	N	1-(4-methoxyphenyl)-3-pyrrolidinyl
	436	Me	N	1-(2-trifluoromethylphenyl)-3-pyrrolidinyl
25	437	Me	N	1-(3-trifluoromethylphenyl)-3-pyrrolidinyl
	438	Me	N	1-(4-trifluoromethylphenyl)-3-pyrrolidinyl
	439	Me	N	1-(3,5-difluorophenyl)-3-pyrrolidinyl
	440	Me	N	1-(2-difluoromethoxyphenyl)-3-pyrrolidinyl
30	441	Me	N	1-(3-difluoromethoxyphenyl)-3-pyrrolidinyl
	442	Me	N	1-(4-difluoromethoxyphenyl)-3-pyrrolidinyl
	443	Me	N	1-(2-pyridyl)-3-pyrrolidinyl
	444	Me	N	1-(3-pyridyl)-3-pyrrolidinyl
35	445	Me	N	1-(4-pyridyl)-3-pyrrolidinyl
	446	Me	N	1-(2-pyrimidinyl)-3-pyrrolidinyl
	447	Me	N	5-oxo-1-phenyl-3-pyrrolidinyl
	448	Me	N	1-phenyl-3-piperidyl
40	449	Me	N	1-(2-fluorophenyl)-3-piperidyl
	450	Me	N	1-(3-fluorophenyl)-3-piperidyl
	451	Me	N	1-(4-fluorophenyl)-3-piperidyl
	452	Me	N	1-(2-chlorophenyl)-3-piperidyl
45	453	Me	N	1-(3-chlorophenyl)-3-piperidyl
	454	Me	N	1-(4-chlorophenyl)-3-piperidyl
	455	Me	N	1-(2-methylphenyl)-3-piperidyl
	456	Me	N	1-(3-methylphenyl)-3-piperidyl
50	457	Me	N	1-(4-methylphenyl)-3-piperidyl
	458	Me	N	1-(2-methoxyphenyl)-3-piperidyl
	459	Me	N	1-(3-methoxyphenyl)-3-piperidyl
55	460	Me	N	1-(4-methoxyphenyl)-3-piperidyl

(continued from Table 1)

5	461	Me	N	1-(2-trifluoromethylphenyl)-3-piperidyl
	462	Me	N	1-(3-trifluoromethylphenyl)-3-piperidyl
	463	Me	N	1-(4-trifluoromethylphenyl)-3-piperidyl
	464	Me	N	1-(3,5-difluorophenyl)-3-piperidyl
10	465	Me	N	1-(2-difluoromethoxyphenyl)-3-piperidyl
	466	Me	N	1-(3-difluoromethoxyphenyl)-3-piperidyl
	467	Me	N	1-(4-difluoromethoxyphenyl)-3-piperidyl
	468	Me	N	1-(2-pyridyl)-3-piperidyl
15	469	Me	N	1-(3-pyridyl)-3-piperidyl
	470	Me	N	1-(4-pyridyl)-3-piperidyl
	471	Me	N	1-phenyl-4-piperidyl
	472	Me	N	1-(2-fluorophenyl)-4-piperidyl
20	473	Me	N	1-(3-fluorophenyl)-4-piperidyl
	474	Me	N	1-(4-fluorophenyl)-4-piperidyl
	475	Me	N	1-(2-chlorophenyl)-4-piperidyl
	476	Me	N	1-(3-chlorophenyl)-4-piperidyl
25	477	Me	N	1-(4-chlorophenyl)-4-piperidyl
	478	Me	N	1-(2-methylphenyl)-4-piperidyl
	479	Me	N	1-(3-methylphenyl)-4-piperidyl
	480	Me	N	1-(4-methylphenyl)-4-piperidyl
30	481	Me	N	1-(2-methoxyphenyl)-4-piperidyl
	482	Me	N	1-(3-methoxyphenyl)-4-piperidyl
	483	Me	N	1-(4-methoxyphenyl)-4-piperidyl
	484	Me	N	1-(2-trifluoromethylphenyl)-4-piperidyl
35	485	Me	N	1-(3-trifluoromethylphenyl)-4-piperidyl
	486	Me	N	1-(4-trifluoromethylphenyl)-4-piperidyl
	487	Me	N	1-(3,5-difluorophenyl)-4-piperidyl
	488	Me	N	1-(2-difluoromethoxyphenyl)-4-piperidyl
40	489	Me	N	1-(3-difluoromethoxyphenyl)-4-piperidyl
	490	Me	N	1-(4-difluoromethoxyphenyl)-4-piperidyl
	491	Me	N	1-(2-pyridyl)-4-piperidyl
	492	Me	N	1-(3-pyridyl)-4-piperidyl
45	493	Me	N	1-(4-pyridyl)-4-piperidyl
	494	Me	N	3-hydroxymethyl-1-phenyl-4-piperidyl
	495	Me	N	3-methoxycarbonyl-1-phenyl-4-piperidyl
	496	Me	N	3-ethoxycarbonyl-1-phenyl-4-piperidyl
50	497	Me	N	3-isopropoxycarbonyl-1-phenyl-4-piperidyl
	498	Me	N	4-phenylcyclohexyl
	499	Me	N	4-(2-fluorophenyl)cyclohexyl
55	500	Me	N	4-(3-fluorophenyl)cyclohexyl

(continued from Table 1)

501	Me	N	4-(4-fluorophenyl)cyclohexyl
502	Me	N	4-(2-chlorophenyl)cyclohexyl
503	Me	N	4-(3-chlorophenyl)cyclohexyl
504	Me	N	4-(4-chlorophenyl)cyclohexyl
505	Me	N	4-(2-methylphenyl)cyclohexyl
506	Me	N	4-(3-methylphenyl)cyclohexyl
507	Me	N	4-(4-methylphenyl)cyclohexyl
508	Me	N	4-(2-methoxyphenyl)cyclohexyl
509	Me	N	4-(3-methoxyphenyl)cyclohexyl
510	Me	N	4-(4-methoxyphenyl)cyclohexyl
511	Me	N	4-(2-trifluoromethylphenyl)cyclohexyl
512	Me	N	4-(3-trifluoromethylphenyl)cyclohexyl
513	Me	N	4-(4-trifluoromethylphenyl)cyclohexyl
514	Me	N	4-(3,5-difluorophenyl)cyclohexyl
515	Me	N	4-(3-acetylphenyl)cyclohexyl
516	Me	N	4-(3-cyanophenyl)cyclohexyl
517	Me	N	4-(2-difluoromethoxyphenyl)cyclohexyl
518	Me	N	4-(3-difluoromethoxyphenyl)cyclohexyl
519	Me	N	4-(4-difluoromethoxyphenyl)cyclohexyl
520	Me	N	4-(2-pyridyl)cyclohexyl
521	Me	N	4-(3-pyridyl)cyclohexyl
522	Me	N	4-(4-pyridyl)cyclohexyl
523	Me	N	4-(4-fluoro-3-pyridyl)cyclohexyl
524	Me	N	4-(3-quinolyl)cyclohexyl
525	Me	N	4-(3-fluorophenyl)-4-hydroxycyclohexyl
526	Me	N	3-phenylcyclohexyl
527	Me	N	3-phenylcyclopentyl
528	Me	N	6-phenyl-3-tetrahydropyranyl
529	Me	N	6-(3-fluorophenyl)-3-tetrahydropyranyl
530	Me	N	2-phenylcyclopropyl
531	Me	N	2-(2-pyridyl)cyclopropyl
532	Me	N	2-(3-pyridyl)cyclopropyl
533	Me	N	2-(4-pyridyl)cyclopropyl
534	Me	N	2-(3-fluorophenyl)cyclopropyl
535	Me	N	2-indanyl
536	Me	N	2-tetrahydronaphthyl
537	Me	N	6-methoxy-2-tetrahydronaphthyl
538	Me	N	benzyl
539	Me	N	phenethyl
540	Me	N	3-phenylpropyl

(continued from Table 1)

5	541	Me	N	4-phenylbutyl
	542	Me	N	2-methoxyphenethyl
	543	Me	N	3-methoxyphenethyl
	544	Me	N	4-methoxyphenethyl
10	545	Me	N	4-fluorophenethyl
	546	Me	N	4-bromophenethyl
	547	Me	N	4-chlorophenethyl
	548	Me	N	3-trifluoromethylphenethyl
15	549	Me	N	3,4-dimethoxyphenethyl
	550	Me	N	3-propoxyphenethyl
	551	Me	N	3,5-difluorophenethyl
	552	Me	N	4-dimethylaminophenethyl
20	553	Me	N	3-difluoromethoxyphenethyl
	554	Me	N	2-methylphenethyl
	555	Me	N	4-acetylphenethyl
	556	Me	N	4-dimethylamino-2-methoxyphenethyl
25	557	Me	N	cyclohexylethyl
	558	Me	N	2-(2-pyridyl)ethyl
	559	Me	N	2-(3-pyridyl)ethyl
	560	Me	N	2-(4-pyridyl)ethyl
30	561	Me	N	2-(2-quinolyl)ethyl
	562	Me	N	2-(3-quinolyl)ethyl
	563	Me	N	2-(4-quinolyl)ethyl
	564	Me	N	2-(6-quinolyl)ethyl
35	565	Me	N	2-(2-indolyl)ethyl
	566	Me	N	2-(3-indolyl)ethyl
	567	Me	N	2-(7-aza-3-indolyl)ethyl
	568	Me	N	2-(benzimidazolyl)ethyl
40	569	Me	N	2-(benzoxazolyl)ethyl
	570	Me	N	2-(benzothiazolyl)ethyl
	571	Me	N	2-(1-naphthyl)ethyl
	572	Me	N	2-(2-naphthyl)ethyl
45	573	Me	N	1-(hydroxymethyl)-2-phenylethyl
	574	Me	N	1-(methoxycarbonyl)-2-phenylethyl
	575	Me	N	1-(ethoxycarbonyl)-2-phenylethyl
	576	Me	N	1-carboxy-2-phenylethyl
50	577	Me	N	1-(benzyloxycarbonyl)-2-phenylethyl
	578	Me	N	1-(phenoxymethyl)-2-phenylethyl
	579	Me	N	1-(benzyloxymethyl)-2-phenylethyl
55	580	Me	N	1-(benzylcarbamoyl)-2-phenylethyl

(continued from Table 1)

	581	Me	N	1-(N-methylbenzylcarbamoyl)-2-phenylethyl
5	582	Me	N	1-(phenylcarbamoyl)-2-phenylethyl
	583	Me	N	1-(N-methylphenylcarbamoyl)-2-phenylethyl
	584	Me	N	1-(N-benzylaminomethyl)-2-phenylethyl
	585	Me	N	1-(N-benzyl-N-methylaminomethyl)-2-phenylethyl
10	586	Me	N	1-(anilinomethyl)-2-phenylethyl
	587	Me	N	1-(N-methylanilinomethyl)-2-phenylethyl
	588	Me	N	1-(N-methylaminomethyl)-2-phenylethyl
	589	Me	N	1-(N-ethylaminomethyl)-2-phenylethyl
15	590	Me	N	1-(N-isobutylaminomethyl)-2-phenylethyl
	591	Me	N	1-(N-cyclopropylmethylaminomethyl)-2-phenyl- ethyl
	592	Me	N	1-(aminomethyl)-2-phenylethyl
20	593	Me	N	1-benzyl-2-(2-pyridylmethylamino)ethyl
	594	Me	N	1-benzyl-2-(3-pyridylmethylamino)ethyl
	595	Me	N	1-benzyl-2-(4-pyridylmethylamino)ethyl
	596	Me	N	2-phenyl-1-(2-pyridylmethylcarbamoyl)ethyl
25	597	Me	N	2-phenyl-1-(3-pyridylmethylcarbamoyl)ethyl
	598	Me	N	2-phenyl-1-(4-pyridylmethylcarbamoyl)ethyl
	599	Me	N	2-hydroxy-2-phenylethyl
	600	Me	N	benzoylmethyl
30	601	Me	N	1-(benzyloxycarbonyl)-2-(3-indolyl)ethyl
	602	Me	N	1-(benzyloxycarbonyl)-2-cyclohexylethyl
	603	Me	N	1-(phenoxymethyl)-2-(3-indolyl)ethyl
	604	Me	N	2-(2-methoxyphenoxy)ethyl
	605	Me	N	1-(benzylcarbamoyl)-2-cyclohexylethyl
35	606	Me	N	1-(N-methylbenzylcarbamoyl)-2-cyclohexylethyl
	607	Me	N	1-(phenylcarbamoyl)-2-cyclohexylethyl
	608	Me	N	1-(N-methylphenylcarbamoyl)-2-cyclohexylethyl
	609	Me	N	1-(benzyloxycarbonyl)-2-(3-pyridyl)ethyl
40	610	Me	N	1-(benzylaminomethyl)-2-(3-pyridyl)ethyl
	611	Me	N	1-(benzylcarbamoyl)-2-(4-pyridyl)ethyl
	612	Me	N	1-(4-pyridylmethylcarbamoyl)-2-(4-fluoro- phenyl)ethyl
45	613	Me	N	1-(benzylcarbamoyl)-2-(7-aza-3-indolyl)ethyl
	614	Me	N	1-(benzyloxymethyl)-2-(2-indolyl)ethyl
	615	Me	N	1-(N-benzyl-N-methylaminomethyl)-2-(3- pyridyl)ethyl
50	616	Me	N	1-(N-methylbenzylcarbamoyl)-2-(3-pyridyl)ethyl
	617	Me	N	2-hydroxy-2-(4-dimethylaminophenyl)ethyl
	618	Me	N	2-hydroxy-2-(2-quinolyl)ethyl
	619	Me	N	2-hydroxy-2-(3-quinolyl)ethyl
55	620	Me	N	2-hydroxy-2-(4-quinolyl)ethyl

(continued from Table 1)

5	621	Me	N	2-hydroxy-2-(3,5-difluorophenyl)ethyl
	622	Me	N	1-carboxy-2-cyclohexylethyl
	623	Me	N	2-hydroxy-2-(6-quinolyl)ethyl
	624	Me	N	2-(benzylamino)-2-phenylethyl
10	625	Me	N	2-amino-2-(2-naphthyl)propyl
	626	Me	N	2-(phenylamino)ethyl
	627	Me	N	diphenylmethyl
	628	Me	N	2,2-diphenylethyl
15	629	Me	N	2-phenyl-2-(2-pyridyl)ethyl
	630	Me	N	2-phenyl-2-(3-pyridyl)ethyl
	631	Me	N	2-phenyl-2-(4-pyridyl)ethyl
	632	Me	N	2-phenoxy-2-phenylethyl
20	633	Me	N	2-(benzyloxy)-2-phenylethyl
	634	Et	N	1-phenyl-3-pyrrolidinyl
	635	Et	N	1-(2-fluorophenyl)-3-pyrrolidinyl
	636	Et	N	1-(3-fluorophenyl)-3-pyrrolidinyl
25	637	Et	N	1-(4-fluorophenyl)-3-pyrrolidinyl
	638	Et	N	1-(2-chlorophenyl)-3-pyrrolidinyl
	639	Et	N	1-(3-chlorophenyl)-3-pyrrolidinyl
	640	Et	N	1-(4-chlorophenyl)-3-pyrrolidinyl
30	641	Et	N	1-(2-methylphenyl)-3-pyrrolidinyl
	642	Et	N	1-(3-methylphenyl)-3-pyrrolidinyl
	643	Et	N	1-(4-methylphenyl)-3-pyrrolidinyl
	644	Et	N	1-(2-methoxyphenyl)-3-pyrrolidinyl
35	645	Et	N	1-(3-methoxyphenyl)-3-pyrrolidinyl
	646	Et	N	1-(4-methoxyphenyl)-3-pyrrolidinyl
	647	Et	N	1-(2-trifluoromethylphenyl)-3-pyrrolidinyl
	648	Et	N	1-(3-trifluoromethylphenyl)-3-pyrrolidinyl
40	649	Et	N	1-(4-trifluoromethylphenyl)-3-pyrrolidinyl
	650	Et	N	1-(3,5-difluorophenyl)-3-pyrrolidinyl
	651	Et	N	1-(2-difluoromethoxyphenyl)-3-pyrrolidinyl
	652	Et	N	1-(3-difluoromethoxyphenyl)-3-pyrrolidinyl
45	653	Et	N	1-(4-difluoromethoxyphenyl)-3-pyrrolidinyl
	654	Et	N	1-(2-pyridyl)-3-pyrrolidinyl
	655	Et	N	1-(3-pyridyl)-3-pyrrolidinyl
	656	Et	N	1-(4-pyridyl)-3-pyrrolidinyl
50	657	Et	N	1-(2-pyrimidinyl)-3-pyrrolidinyl
	658	Et	N	5-oxo-1-phenyl-3-pyrrolidinyl
	659	Et	N	1-phenyl-3-piperidyl
55	660	Et	N	1-(2-fluorophenyl)-3-piperidyl

(continued from Table 1)

5	661	Et	N	1-(3-fluorophenyl)-3-piperidyl
	662	Et	N	1-(4-fluorophenyl)-3-piperidyl
	663	Et	N	1-(2-chlorophenyl)-3-piperidyl
	664	Et	N	1-(3-chlorophenyl)-3-piperidyl
10	665	Et	N	1-(4-chlorophenyl)-3-piperidyl
	666	Et	N	1-(2-methylphenyl)-3-piperidyl
	667	Et	N	1-(3-methylphenyl)-3-piperidyl
	668	Et	N	1-(4-methylphenyl)-3-piperidyl
15	669	Et	N	1-(2-methoxyphenyl)-3-piperidyl
	670	Et	N	1-(3-methoxyphenyl)-3-piperidyl
	671	Et	N	1-(4-methoxyphenyl)-3-piperidyl
	672	Et	N	1-(2-trifluoromethylphenyl)-3-piperidyl
20	673	Et	N	1-(3-trifluoromethylphenyl)-3-piperidyl
	674	Et	N	1-(4-trifluoromethylphenyl)-3-piperidyl
	675	Et	N	1-(3,5-difluorophenyl)-3-piperidyl
	676	Et	N	1-(2-difluoromethoxyphenyl)-3-piperidyl
25	677	Et	N	1-(3-difluoromethoxyphenyl)-3-piperidyl
	678	Et	N	1-(4-difluoromethoxyphenyl)-3-piperidyl
	679	Et	N	1-(2-pyridyl)-3-piperidyl
	680	Et	N	1-(3-pyridyl)-3-piperidyl
30	681	Et	N	1-(4-pyridyl)-3-piperidyl
	682	Et	N	1-phenyl-4-piperidyl
	683	Et	N	1-(2-fluorophenyl)-4-piperidyl
	684	Et	N	1-(3-fluorophenyl)-4-piperidyl
35	685	Et	N	1-(4-fluorophenyl)-4-piperidyl
	686	Et	N	1-(2-chlorophenyl)-4-piperidyl
	687	Et	N	1-(3-chlorophenyl)-4-piperidyl
	688	Et	N	1-(4-chlorophenyl)-4-piperidyl
40	689	Et	N	1-(2-methylphenyl)-4-piperidyl
	690	Et	N	1-(3-methylphenyl)-4-piperidyl
	691	Et	N	1-(4-methylphenyl)-4-piperidyl
	692	Et	N	1-(2-methoxyphenyl)-4-piperidyl
45	693	Et	N	1-(3-methoxyphenyl)-4-piperidyl
	694	Et	N	1-(4-methoxyphenyl)-4-piperidyl
	695	Et	N	1-(2-trifluoromethylphenyl)-4-piperidyl
	696	Et	N	1-(3-trifluoromethylphenyl)-4-piperidyl
50	697	Et	N	1-(4-trifluoromethylphenyl)-4-piperidyl
	698	Et	N	1-(3,5-difluorophenyl)-4-piperidyl
	699	Et	N	1-(2-difluoromethoxyphenyl)-4-piperidyl
55	700	Et	N	1-(3-difluoromethoxyphenyl)-4-piperidyl

(continued from Table 1)

5	701	Et	N	1-(4-difluoromethoxyphenyl)-4-piperidyl
	702	Et	N	1-(2-pyridyl)-4-piperidyl
	703	Et	N	1-(3-pyridyl)-4-piperidyl
	704	Et	N	1-(4-pyridyl)-4-piperidyl
10	705	Et	N	3-hydroxymethyl-1-phenyl-4-piperidyl
	706	Et	N	3-methoxycarbonyl-1-phenyl-4-piperidyl
	707	Et	N	3-ethoxycarbonyl-1-phenyl-4-piperidyl
	708	Et	N	3-isopropoxycarbonyl-1-phenyl-4-piperidyl
15	709	Et	N	4-phenylcyclohexyl
	710	Et	N	4-(2-fluorophenyl)cyclohexyl
	711	Et	N	4-(3-fluorophenyl)cyclohexyl
	712	Et	N	4-(4-fluorophenyl)cyclohexyl
20	713	Et	N	4-(2-chlorophenyl)cyclohexyl
	714	Et	N	4-(3-chlorophenyl)cyclohexyl
	715	Et	N	4-(4-chlorophenyl)cyclohexyl
	716	Et	N	4-(2-methylphenyl)cyclohexyl
25	717	Et	N	4-(3-methylphenyl)cyclohexyl
	718	Et	N	4-(4-methylphenyl)cyclohexyl
	719	Et	N	4-(2-methoxyphenyl)cyclohexyl
	720	Et	N	4-(3-methoxyphenyl)cyclohexyl
30	721	Et	N	4-(4-methoxyphenyl)cyclohexyl
	722	Et	N	4-(2-trifluoromethylphenyl)cyclohexyl
	723	Et	N	4-(3-trifluoromethylphenyl)cyclohexyl
	724	Et	N	4-(4-trifluoromethylphenyl)cyclohexyl
35	725	Et	N	4-(3,5-difluorophenyl)cyclohexyl
	726	Et	N	4-(3-acetylphenyl)cyclohexyl
	727	Et	N	4-(3-cyanophenyl)cyclohexyl
	728	Et	N	4-(2-difluoromethoxyphenyl)cyclohexyl
40	729	Et	N	4-(3-difluoromethoxyphenyl)cyclohexyl
	730	Et	N	4-(4-difluoromethoxyphenyl)cyclohexyl
	731	Et	N	4-(2-pyridyl)cyclohexyl
	732	Et	N	4-(3-pyridyl)cyclohexyl
45	733	Et	N	4-(4-pyridyl)cyclohexyl
	734	Et	N	4-(4-fluoro-3-pyridyl)cyclohexyl
	735	Et	N	4-(3-quinolyl)cyclohexyl
	736	Et	N	4-(3-fluorophenyl)-4-hydroxycyclohexyl
50	737	Et	N	3-phenylcyclohexyl
	738	Et	N	3-phenylcyclopentyl
	739	Et	N	6-phenyl-3-tetrahydropyranyl
55	740	Et	N	6-(3-fluorophenyl)-3-tetrahydropyranyl

(continued from Table 1)

5	741	Et	N	2-phenylcyclopropyl
	742	Et	N	2-(2-pyridyl)cyclopropyl
	743	Et	N	2-(3-pyridyl)cyclopropyl
	744	Et	N	2-(4-pyridyl)cyclopropyl
10	745	Et	N	2-(3-fluorophenyl)cyclopropyl
	746	Et	N	2-indanyl
	747	Et	N	2-tetrahydronaphthyl
	748	Et	N	6-methoxy-2-tetrahydronaphthyl
15	749	Et	N	benzyl
	750	Et	N	phenethyl
	751	Et	N	3-phenylpropyl
	752	Et	N	4-phenylbutyl
20	753	Et	N	2-methoxyphenethyl
	754	Et	N	3-methoxyphenethyl
	755	Et	N	4-methoxyphenethyl
	756	Et	N	4-fluorophenethyl
25	757	Et	N	4-bromophenethyl
	758	Et	N	4-chlorophenethyl
	759	Et	N	3-trifluoromethylphenethyl
	760	Et	N	3,4-dimethoxyphenethyl
30	761	Et	N	3-propoxyphenethyl
	762	Et	N	3,5-difluorophenethyl
	763	Et	N	4-dimethylaminophenethyl
	764	Et	N	3-difluoromethoxyphenethyl
35	765	Et	N	2-methylphenethyl
	766	Et	N	4-acetylphenethyl
	767	Et	N	4-dimethylamino-2-methoxyphenethyl
	768	Et	N	cyclohexylethyl
40	769	Et	N	2-(2-pyridyl)ethyl
	770	Et	N	2-(3-pyridyl)ethyl
	771	Et	N	2-(4-pyridyl)ethyl
	772	Et	N	2-(2-quinolyl)ethyl
45	773	Et	N	2-(3-quinolyl)ethyl
	774	Et	N	2-(4-quinolyl)ethyl
	775	Et	N	2-(6-quinolyl)ethyl
	776	Et	N	2-(2-indolyl)ethyl
50	777	Et	N	2-(3-indolyl)ethyl
	778	Et	N	2-(7-aza-3-indolyl)ethyl
	779	Et	N	2-(benzimidazolyl)ethyl
55	780	Et	N	2-(benzoxazolyl)ethyl

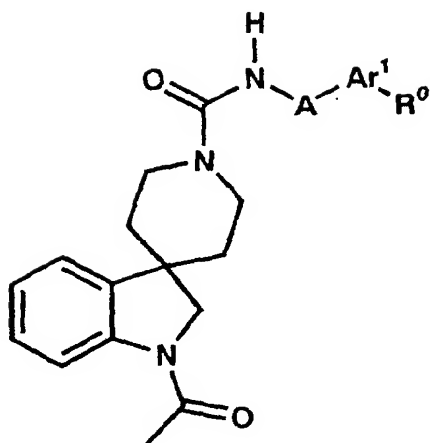
(continued from Table 1)

5	781	Et	N	2-(benzothiazolyl)ethyl
	782	Et	N	2-(1-naphthyl)ethyl
	783	Et	N	2-(2-naphthyl)ethyl
	784	Et	N	1-(hydroxymethyl)-2-phenylethyl
	785	Et	N	1-(methoxycarbonyl)-2-phenylethyl
10	786	Et	N	1-(ethoxycarbonyl)-2-phenylethyl
	787	Et	N	1-carboxy-2-phenylethyl
	788	Et	N	1-(benzyloxycarbonyl)-2-phenylethyl
	789	Et	N	1-(phenoxymethyl)-2-phenylethyl
15	790	Et	N	1-(benzyloxymethyl)-2-phenylethyl
	791	Et	N	1-(benzylcarbamoyl)-2-phenylethyl
	792	Et	N	1-(N-methylbenzylcarbamoyl)-2-phenylethyl
	793	Et	N	1-(phenylcarbamoyl)-2-phenylethyl
20	794	Et	N	1-(N-methylphenylcarbamoyl)-2-phenylethyl
	795	Et	N	1-(N-benzylaminomethyl)-2-phenylethyl
	796	Et	N	1-(N-benzyl-N-methylaminomethyl)-2-phenylethyl
	797	Et	N	1-(anilinomethyl)-2-phenylethyl
25	798	Et	N	1-(N-methylanilinomethyl)-2-phenylethyl
	799	Et	N	1-(N-methylaminomethyl)-2-phenylethyl
	800	Et	N	1-(N-ethylaminomethyl)-2-phenylethyl
	801	Et	N	1-(N-isobutylaminomethyl)-2-phenylethyl
30	802	Et	N	1-(N-cyclopropylmethylaminomethyl)-2-phenyl-ethyl
	803	Et	N	1-(aminomethyl)-2-phenylethyl
	804	Et	N	1-benzyl-2-(2-pyridylmethylamino)ethyl
35	805	Et	N	1-benzyl-2-(3-pyridylmethylamino)ethyl
	806	Et	N	1-benzyl-2-(4-pyridylmethylamino)ethyl
	807	Et	N	2-phenyl-1-(2-pyridylmethylcarbamoyl)ethyl
	808	Et	N	2-phenyl-1-(3-pyridylmethylcarbamoyl)ethyl
40	809	Et	N	2-phenyl-1-(4-pyridylmethylcarbamoyl)ethyl
	810	Et	N	2-hydroxy-2-phenylethyl
	811	Et	N	benzoylmethyl
	812	Et	N	1-(benzyloxycarbonyl)-2-(3-indolyl)ethyl
45	813	Et	N	1-(benzyloxycarbonyl)-2-cyclohexylethyl
	814	Et	N	1-(phenoxymethyl)-2-(3-indolyl)ethyl
	815	Et	N	2-(2-methoxyphenoxy)ethyl
	816	Et	N	1-(benzylcarbamoyl)-2-cyclohexylethyl
50	817	Et	N	1-(N-methylbenzylcarbamoyl)-2-cyclohexylethyl
	818	Et	N	1-(phenylcarbamoyl)-2-cyclohexylethyl
	819	Et	N	1-(N-methylphenylcarbamoyl)-2-cyclohexylethyl
	820	Et	N	1-(benzyloxycarbonyl)-2-(3-pyridyl)ethyl

(continued from Table 1)

	821	Et	N	1-(benzylaminomethyl)-2-(3-pyridyl)ethyl
5	822	Et	N	1-(benzylcarbamoyl)-2-(4-pyridyl)ethyl
	823	Et	N	1-(4-pyridylmethylcarbamoyl)-2-(4-fluoro-phenyl)ethyl
	824	Et	N	1-(benzylcarbamoyl)-2-(7-aza-3-indolyl)ethyl
10	825	Et	N	1-(benzyloxymethyl)-2-(2-indolyl)ethyl
	826	Et	N	1-(N-benzyl-N-methylaminomethyl)-2-(3-pyridyl)ethyl
	827	Et	N	1-(N-methylbenzylcarbamoyl)-2-(3-pyridyl)ethyl
15	828	Et	N	2-hydroxy-2-(4-dimethylaminophenyl)ethyl
	829	Et	N	2-hydroxy-2-(2-quinolyl)ethyl
	830	Et	N	2-hydroxy-2-(3-quinolyl)ethyl
	831	Et	N	2-hydroxy-2-(4-quinolyl)ethyl
20	832	Et	N	2-hydroxy-2-(3,5-difluorophenyl)ethyl
	833	Et	N	1-carboxy-2-cyclohexylethyl
	834	Et	N	2-hydroxy-2-(6-quinolyl)ethyl
25	835	Et	N	2-(benzylamino)-2-phenylethyl
	836	Et	N	2-amino-2-(2-naphthyl)propyl
	837	Et	N	2-(phenylamino)ethyl
	838	Et	N	diphenylmethyl
30	839	Et	N	2,2-diphenylethyl
	840	Et	N	2-phenyl-2-(2-pyridyl)ethyl
	841	Et	N	2-phenyl-2-(3-pyridyl)ethyl
35	842	Et	N	2-phenyl-2-(4-pyridyl)ethyl
	843	Et	N	2-phenoxy-2-phenylethyl
	844	Et	N	2-(benzyloxy)-2-phenylethyl

Table 2



No. A-Ar¹-R⁰

- 845 1-phenyl-3-pyrrolidinyl
- 846 1-(2-fluorophenyl)-3-pyrrolidinyl
- 847 1-(3-fluorophenyl)-3-pyrrolidinyl
- 848 1-(4-fluorophenyl)-3-pyrrolidinyl
- 849 1-(2-chlorophenyl)-3-pyrrolidinyl
- 850 1-(3-chlorophenyl)-3-pyrrolidinyl
- 851 1-(4-chlorophenyl)-3-pyrrolidinyl
- 852 1-(2-methylphenyl)-3-pyrrolidinyl
- 853 1-(3-methylphenyl)-3-pyrrolidinyl
- 854 1-(4-methylphenyl)-3-pyrrolidinyl
- 855 1-(2-methoxyphenyl)-3-pyrrolidinyl
- 856 1-(3-methylphenyl)-3-pyrrolidinyl
- 857 1-(4-methylphenyl)-3-pyrrolidinyl
- 858 1-(2-trifluoromethylphenyl)-3-pyrrolidinyl
- 859 1-(3-trifluoromethylphenyl)-3-pyrrolidinyl
- 860 1-(4-trifluoromethylphenyl)-3-pyrrolidinyl

(continued from Table 2)

- 861 1-(3,5-difluorophenyl)-3-pyrrolidinyl
 862 1-(2-difluoromethoxyphenyl)-3-pyrrolidinyl
 863 1-(3-difluoromethoxyphenyl)-3-pyrrolidinyl
 864 1-(4-difluoromethoxyphenyl)-3-pyrrolidinyl
 865 1-(2-pyridyl)-3-pyrrolidinyl
 866 1-(3-pyridyl)-3-pyrrolidinyl
 867 1-(4-pyridyl)-3-pyrrolidinyl
 868 1-(2-pyrimidinyl)-3-pyrrolidinyl
 869 5-oxo-1-phenyl-3-pyrrolidinyl
 870 1-phenyl-3-piperidyl
 871 1-(2-fluorophenyl)-3-piperidyl
 872 1-(3-fluorophenyl)-3-piperidyl
 873 1-(4-fluorophenyl)-3-piperidyl
 874 1-(2-chlorophenyl)-3-piperidyl
 875 1-(3-chlorophenyl)-3-piperidyl
 876 1-(4-chlorophenyl)-3-piperidyl
 877 1-(2-methylphenyl)-3-piperidyl
 878 1-(3-methylphenyl)-3-piperidyl
 879 1-(4-methylphenyl)-3-piperidyl
 880 1-(2-methoxyphenyl)-3-piperidyl
 881 1-(3-methoxyphenyl)-3-piperidyl
 882 1-(4-methoxyphenyl)-3-piperidyl
 883 1-(2-trifluoromethylphenyl)-3-piperidyl
 884 1-(3-trifluoromethylphenyl)-3-piperidyl
 885 1-(4-trifluoromethylphenyl)-3-piperidyl
 886 1-(3,5-difluorophenyl)-3-piperidyl
 887 1-(2-difluoromethoxyphenyl)-3-piperidyl
 888 1-(3-difluoromethoxyphenyl)-3-piperidyl
 889 1-(4-difluoromethoxyphenyl)-3-piperidyl
 890 1-(2-pyridyl)-3-piperidyl
 891 1-(3-pyridyl)-3-piperidyl
 892 1-(4-pyridyl)-3-piperidyl
 893 1-phenyl-4-piperidyl
 894 1-(2-fluorophenyl)-4-piperidyl
 895 1-(3-fluorophenyl)-4-piperidyl
 896 1-(4-fluorophenyl)-4-piperidyl
 897 1-(2-chlorophenyl)-4-piperidyl
 898 1-(3-chlorophenyl)-4-piperidyl
 899 1-(4-chlorophenyl)-4-piperidyl
 900 1-(2-methylphenyl)-4-piperidyl

(continued from Table 2)

- 901 1-(3-methylphenyl)-4-piperidyl
- 902 1-(4-methylphenyl)-4-piperidyl
- 903 1-(2-methoxyphenyl)-4-piperidyl
- 904 1-(3-methoxyphenyl)-4-piperidyl
- 905 1-(4-methoxyphenyl)-4-piperidyl
- 906 1-(2-trifluoromethylphenyl)-4-piperidyl
- 907 1-(3-trifluoromethylphenyl)-4-piperidyl
- 908 1-(4-trifluoromethylphenyl)-4-piperidyl
- 909 1-(3,5-difluorophenyl)-4-piperidyl
- 910 1-(2-difluoromethoxyphenyl)-4-piperidyl
- 911 1-(3-difluoromethoxyphenyl)-4-piperidyl
- 912 1-(4-difluoromethoxyphenyl)-4-piperidyl
- 913 1-(2-pyridyl)-4-piperidyl
- 914 1-(3-pyridyl)-4-piperidyl
- 915 1-(4-pyridyl)-4-piperidyl
- 916 3-hydroxymethyl-1-phenyl-4-piperidyl
- 917 3-methoxycarbonyl-1-phenyl-4-piperidyl
- 918 3-ethoxycarbonyl-1-phenyl-4-piperidyl
- 919 3-isopropoxycarbonyl-1-phenyl-4-piperidyl
- 920 4-phenylcyclohexyl
- 921 4-(2-fluorophenyl)cyclohexyl
- 922 4-(3-fluorophenyl)cyclohexyl
- 923 4-(4-fluorophenyl)cyclohexyl
- 924 4-(2-chlorophenyl)cyclohexyl
- 925 4-(3-chlorophenyl)cyclohexyl
- 926 4-(4-chlorophenyl)cyclohexyl
- 927 4-(2-methylphenyl)cyclohexyl
- 928 4-(3-methylphenyl)cyclohexyl
- 929 4-(4-methylphenyl)cyclohexyl
- 930 4-(2-methoxyphenyl)cyclohexyl
- 931 4-(3-methoxyphenyl)cyclohexyl
- 932 4-(4-methoxyphenyl)cyclohexyl
- 933 4-(2-trifluoromethylphenyl)cyclohexyl
- 934 4-(3-trifluoromethylphenyl)cyclohexyl
- 935 4-(4-trifluoromethylphenyl)cyclohexyl
- 936 4-(3,5-difluorophenyl)cyclohexyl
- 937 4-(3-acetylphenyl)cyclohexyl
- 938 4-(3-cyanophenyl)cyclohexyl
- 939 4-(2-difluoromethoxyphenyl)cyclohexyl
- 940 4-(3-difluoromethoxyphenyl)cyclohexyl

(continued from Table 2)

- 5 941 4-(4-difluoromethoxyphenyl)cyclohexyl
942 4-(2-pyridyl)cyclohexyl
943 4-(3-pyridyl)cyclohexyl
944 4-(4-pyridyl)cyclohexyl
10 945 4-(4-fluoro-3-pyridyl)cyclohexyl
946 4-(3-quinolyl)cyclohexyl
947 4-(3-fluorophenyl)-4-hydroxycyclohexyl
948 3-phenylcyclohexyl
15 949 3-phenylcyclopentyl
950 6-phenyl-3-tetrahydropyranyl
951 6-(3-fluorophenyl)-3-tetrahydropyranyl
952 2-phenylcyclopropyl
20 953 2-(2-pyridyl)cyclopropyl
954 2-(3-pyridyl)cyclopropyl
955 2-(4-pyridyl)cyclopropyl
956 2-(3-fluorophenyl)cyclopropyl
25 957 2-indanyl
958 2-tetrahydronaphthyl
959 6-methoxy-2-tetrahydronaphthyl
960 benzyl
30 961 phenethyl
962 3-phenylpropyl
963 4-phenylbutyl
964 2-methoxyphenethyl
35 965 3-methoxyphenethyl
966 4-methoxyphenethyl
967 4-fluorophenethyl
968 4-bromophenethyl
40 969 4-chlorophenethyl
970 3-trifluoromethylphenethyl
971 3,4-dimethoxyphenethyl
972 3-propoxyphenethyl
45 973 3,5-difluorophenethyl
974 4-dimethylaminophenethyl
975 3-difluoromethoxyphenethyl
976 2-methylphenethyl
50 977 4-acetylphenethyl
978 4-dimethylamino-2-methoxyphenethyl
979 cyclohexylethyl
55 980 2-(2-pyridyl)ethyl

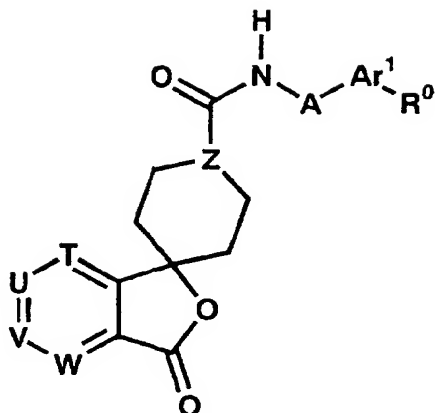
(continued from Table 2)

- 981 2-(3-pyridyl)ethyl
- 982 2-(4-pyridyl)ethyl
- 983 2-(2-quinolyl)ethyl
- 984 2-(3-quinolyl)ethyl
- 985 2-(4-quinolyl)ethyl
- 986 2-(6-quinolyl)ethyl
- 987 2-(2-indolyl)ethyl
- 988 2-(3-indolyl)ethyl
- 989 2-(7-aza-3-indolyl)ethyl
- 990 2-(benzimidazolyl)ethyl
- 991 2-(benzoxazolyl)ethyl
- 992 2-(benzothiazolyl)ethyl
- 993 2-(1-naphthyl)ethyl
- 994 2-(2-naphthyl)ethyl
- 995 1-(hydroxymethyl)-2-phenylethyl
- 996 1-(methoxycarbonyl)-2-phenylethyl
- 997 1-(ethoxycarbonyl)-2-phenylethyl
- 998 1-carboxy-2-phenylethyl
- 999 1-(benzyloxycarbonyl)-2-phenylethyl
- 1000 1-(phenoxymethyl)-2-phenylethyl
- 1001 1-(benzyloxymethyl)-2-phenylethyl
- 1002 1-(benzylcarbamoyl)-2-phenylethyl
- 1003 1-(N-methylbenzylcarbamoyl)-2-phenylethyl
- 1004 1-(phenylcarbamoyl)-2-phenylethyl
- 1005 1-(N-methylphenylcarbamoyl)-2-phenylethyl
- 1006 1-(N-benzylaminomethyl)-2-phenylethyl
- 1007 1-(N-benzyl-N-methylaminomethyl)-2-phenylethyl
- 1008 1-(anilinomethyl)-2-phenylethyl
- 1009 1-(N-methylanilinomethyl)-2-phenylethyl
- 1010 1-(N-methylaminomethyl)-2-phenylethyl
- 1011 1-(N-ethylaminomethyl)-2-phenylethyl
- 1012 1-(N-isobutylaminomethyl)-2-phenylethyl
- 1013 1-(N-cyclopropylmethylaminomethyl)-2-phenylethyl
- 1014 1-(aminomethyl)-2-phenylethyl
- 1015 1-benzyl-2-(2-pyridylmethylamino)ethyl
- 1016 1-benzyl-2-(3-pyridylmethylamino)ethyl
- 1017 1-benzyl-2-(4-pyridylmethylamino)ethyl
- 1018 2-phenyl-1-(2-pyridylmethylcarbamoyl)ethyl
- 1019 2-phenyl-1-(3-pyridylmethylcarbamoyl)ethyl
- 1020 2-phenyl-1-(4-pyridylmethylcarbamoyl)ethyl

(continued from Table 2)

- 1021 2-hydroxy-2-phenylethyl
- 1022 benzoylmethyl
- 1023 1-(benzyloxycarbonyl)-2-(3-indolyl)ethyl
- 1024 1-(benzyloxycarbonyl)-2-cyclohexylethyl
- 1025 1-(phenoxymethyl)-2-(3-indolyl)ethyl
- 1026 2-(2-methoxyphenoxy)ethyl
- 1027 1-(benzylcarbamoyl)-2-cyclohexylethyl
- 1028 1-(N-methylbenzylcarbamoyl)-2-cyclohexylethyl
- 1029 1-(phenylcarbamoyl)-2-cyclohexylethyl
- 1030 1-(N-methylphenylcarbamoyl)-2-cyclohexylethyl
- 1031 1-(benzyloxycarbonyl)-2-(3-pyridyl)ethyl
- 1032 1-(benzylaminomethyl)-2-(3-pyridyl)ethyl
- 1033 1-(benzylcarbamoyl)-2-(4-pyridyl)ethyl
- 1034 1-(4-pyridylmethylcarbamoyl)-2-(4-fluorophenyl)ethyl
- 1035 1-(benzylcarbamoyl)-2-(7-aza-3-indolyl)ethyl
- 1036 1-(benzyloxymethyl)-2-(2-indolyl)ethyl
- 1037 1-(N-benzyl-N-methylaminomethyl)-2-(3-pyridyl)ethyl
- 1038 1-(N-methylbenzylcarbamoyl)-2-(3-pyridyl)ethyl
- 1039 2-hydroxy-2-(4-dimethylaminophenyl)ethyl
- 1040 2-hydroxy-2-(2-quinolyl)ethyl
- 1041 2-hydroxy-2-(3-quinolyl)ethyl
- 1042 2-hydroxy-2-(4-quinolyl)ethyl
- 1043 2-hydroxy-2-(3,5-difluorophenyl)ethyl
- 1044 1-carboxy-2-cyclohexylethyl
- 1045 2-hydroxy-2-(6-quinolyl)ethyl
- 1046 2-(benzylamino)-2-phenylethyl
- 1047 2-amino-2-(2-naphthyl)propyl
- 1048 2-(phenylamino)ethyl
- 1049 diphenylmethyl
- 1050 2,2-diphenylethyl
- 1051 2-phenyl-2-(2-pyridyl)ethyl
- 1052 2-phenyl-2-(3-pyridyl)ethyl
- 1053 2-phenyl-2-(4-pyridyl)ethyl
- 1054 2-phenoxy-2-phenylethyl
- 1055 2-(benzyloxy)-2-phenylethyl

Table 3



No.	T	U	V	W	Z	A-Ar ¹ -R ⁰
1056	CH	CH	CH	CH	CH	1-phenyl-3-pyrrolidinyl
1057	CH	CH	CH	CH	CH	1-(2-fluorophenyl)-3-pyrrolidinyl
1058	CH	CH	CH	CH	CH	1-(3-fluorophenyl)-3-pyrrolidinyl
1059	CH	CH	CH	CH	CH	1-(4-fluorophenyl)-3-pyrrolidinyl
1060	CH	CH	CH	CH	CH	1-(2-chlorophenyl)-3-pyrrolidinyl
1061	CH	CH	CH	CH	CH	1-(3-chlorophenyl)-3-pyrrolidinyl
1062	CH	CH	CH	CH	CH	1-(4-chlorophenyl)-3-pyrrolidinyl
1063	CH	CH	CH	CH	CH	1-(2-methylphenyl)-3-pyrrolidinyl
1064	CH	CH	CH	CH	CH	1-(3-methylphenyl)-3-pyrrolidinyl
1065	CH	CH	CH	CH	CH	1-(4-methylphenyl)-3-pyrrolidinyl
1066	CH	CH	CH	CH	CH	1-(2-methoxyphenyl)-3-pyrrolidinyl
1067	CH	CH	CH	CH	CH	1-(3-methoxyphenyl)-3-pyrrolidinyl
1068	CH	CH	CH	CH	CH	1-(4-methoxyphenyl)-3-pyrrolidinyl
1069	CH	CH	CH	CH	CH	1-(2-trifluoromethylphenyl)-3-pyrrolidinyl
1070	CH	CH	CH	CH	CH	1-(3-trifluoromethylphenyl)-3-pyrrolidinyl

(continued from Table 3)

5	1071	CH	CH	CH	CH	CH	1-(4-trifluoromethylphenyl)-3-pyrrolidinyl
	1072	CH	CH	CH	CH	CH	1-(3,5-difluorophenyl)-3-pyrrolidinyl
	1073	CH	CH	CH	CH	CH	1-(2-difluoromethoxyphenyl)-3-pyrrolidinyl
10	1074	CH	CH	CH	CH	CH	1-(3-difluoromethoxyphenyl)-3-pyrrolidinyl
	1075	CH	CH	CH	CH	CH	1-(4-difluoromethoxyphenyl)-3-pyrrolidinyl
15	1076	CH	CH	CH	CH	CH	1-(2-pyridyl)-3-pyrrolidinyl
	1077	CH	CH	CH	CH	CH	1-(3-pyridyl)-3-pyrrolidinyl
	1078	CH	CH	CH	CH	CH	1-(4-pyridyl)-3-pyrrolidinyl
	1079	CH	CH	CH	CH	CH	1-(2-pyrimidinyl)-3-pyrrolidinyl
20	1080	CH	CH	CH	CH	CH	5-oxo-1-phenyl-3-pyrrolidinyl
	1081	CH	CH	CH	CH	CH	1-phenyl-3-piperidyl
	1082	CH	CH	CH	CH	CH	1-(2-fluorophenyl)-3-piperidyl
	1083	CH	CH	CH	CH	CH	1-(3-fluorophenyl)-3-piperidyl
25	1084	CH	CH	CH	CH	CH	1-(4-fluorophenyl)-3-piperidyl
	1085	CH	CH	CH	CH	CH	1-(2-chlorophenyl)-3-piperidyl
	1086	CH	CH	CH	CH	CH	1-(3-chlorophenyl)-3-piperidyl
	1087	CH	CH	CH	CH	CH	1-(4-chlorophenyl)-3-piperidyl
30	1088	CH	CH	CH	CH	CH	1-(2-methylphenyl)-3-piperidyl
	1089	CH	CH	CH	CH	CH	1-(3-methylphenyl)-3-piperidyl
	1090	CH	CH	CH	CH	CH	1-(4-methylphenyl)-3-piperidyl
	1091	CH	CH	CH	CH	CH	1-(2-methoxyphenyl)-3-piperidyl
35	1092	CH	CH	CH	CH	CH	1-(3-methoxyphenyl)-3-piperidyl
	1093	CH	CH	CH	CH	CH	1-(4-methoxyphenyl)-3-piperidyl
	1094	CH	CH	CH	CH	CH	1-(2-trifluoromethylphenyl)-3-piperidyl
40	1095	CH	CH	CH	CH	CH	1-(3-trifluoromethylphenyl)-3-piperidyl
	1096	CH	CH	CH	CH	CH	1-(4-trifluoromethylphenyl)-3-piperidyl
	1097	CH	CH	CH	CH	CH	1-(3,5-difluorophenyl)-3-piperidyl
45	1098	CH	CH	CH	CH	CH	1-(2-difluoromethoxyphenyl)-3-piperidyl
	1099	CH	CH	CH	CH	CH	1-(3-difluoromethoxyphenyl)-3-piperidyl
50	1100	CH	CH	CH	CH	CH	1-(4-difluoromethoxyphenyl)-3-piperidyl
	1101	CH	CH	CH	CH	CH	1-(2-pyridyl)-3-piperidyl
	1102	CH	CH	CH	CH	CH	1-(3-pyridyl)-3-piperidyl

55

(continued from Table 3)

5	1103	CH	CH	CH	CH	CH	1-(4-pyridyl)-3-piperidyl
	1104	CH	CH	CH	CH	CH	1-phenyl-4-piperidyl
	1105	CH	CH	CH	CH	CH	1-(2-fluorophenyl)-4-piperidyl
	1106	CH	CH	CH	CH	CH	1-(3-fluorophenyl)-4-piperidyl
10	1107	CH	CH	CH	CH	CH	1-(4-fluorophenyl)-4-piperidyl
	1108	CH	CH	CH	CH	CH	1-(2-chlorophenyl)-4-piperidyl
	1109	CH	CH	CH	CH	CH	1-(3-chlorophenyl)-4-piperidyl
	1110	CH	CH	CH	CH	CH	1-(4-chlorophenyl)-4-piperidyl
	1111	CH	CH	CH	CH	CH	1-(2-methylphenyl)-4-piperidyl
15	1112	CH	CH	CH	CH	CH	1-(3-methylphenyl)-4-piperidyl
	1113	CH	CH	CH	CH	CH	1-(4-methylphenyl)-4-piperidyl
	1114	CH	CH	CH	CH	CH	1-(2-methoxyphenyl)-4-piperidyl
	1115	CH	CH	CH	CH	CH	1-(3-methoxyphenyl)-4-piperidyl
20	1116	CH	CH	CH	CH	CH	1-(4-methoxyphenyl)-4-piperidyl
	1117	CH	CH	CH	CH	CH	1-(2-trifluoromethylphenyl)-4-piperidyl
	1118	CH	CH	CH	CH	CH	1-(3-trifluoromethylphenyl)-4-piperidyl
25	1119	CH	CH	CH	CH	CH	1-(4-trifluoromethylphenyl)-4-piperidyl
	1120	CH	CH	CH	CH	CH	1-(3,5-difluorophenyl)-4-piperidyl
30	1121	CH	CH	CH	CH	CH	1-(2-difluoromethoxyphenyl)-4-piperidyl
	1122	CH	CH	CH	CH	CH	1-(3-difluoromethoxyphenyl)-4-piperidyl
	1123	CH	CH	CH	CH	CH	1-(4-difluoromethoxyphenyl)-4-piperidyl
35	1124	CH	CH	CH	CH	CH	1-(2-pyridyl)-4-piperidyl
	1125	CH	CH	CH	CH	CH	1-(3-pyridyl)-4-piperidyl
	1126	CH	CH	CH	CH	CH	1-(4-pyridyl)-4-piperidyl
40	1127	CH	CH	CH	CH	CH	3-hydroxymethyl-1-phenyl-4-piperidyl
	1128	CH	CH	CH	CH	CH	3-methoxycarbonyl-1-phenyl-4-piperidyl
	1129	CH	CH	CH	CH	CH	3-ethoxycarbonyl-1-phenyl-4-piperidyl
45	1130	CH	CH	CH	CH	CH	3-isopropoxycarbonyl-1-phenyl-4-piperidyl
	1131	CH	CH	CH	CH	CH	4-phenylcyclohexyl
50	1132	CH	CH	CH	CH	CH	4-(2-fluorophenyl)cyclohexyl
	1133	CH	CH	CH	CH	CH	4-(3-fluorophenyl)cyclohexyl
	1134	CH	CH	CH	CH	CH	4-(4-fluorophenyl)cyclohexyl
	1135	CH	CH	CH	CH	CH	4-(2-chlorophenyl)cyclohexyl

55

(continued from Table 3)

	1136	CH	CH	CH	CH	CH	4-(3-chlorophenyl)cyclohexyl
5	1137	CH	CH	CH	CH	CH	4-(4-chlorophenyl)cyclohexyl
	1138	CH	CH	CH	CH	CH	4-(2-methylphenyl)cyclohexyl
	1139	CH	CH	CH	CH	CH	4-(3-methylphenyl)cyclohexyl
	1140	CH	CH	CH	CH	CH	4-(4-methylphenyl)cyclohexyl
10	1141	CH	CH	CH	CH	CH	4-(2-methoxyphenyl)cyclohexyl
	1142	CH	CH	CH	CH	CH	4-(3-methoxyphenyl)cyclohexyl
	1143	CH	CH	CH	CH	CH	4-(4-methoxyphenyl)cyclohexyl
	1144	CH	CH	CH	CH	CH	4-(2-trifluoromethylphenyl)cyclo-
15							hexyl
	1145	CH	CH	CH	CH	CH	4-(3-trifluoromethylphenyl)cyclo-
							hexyl
	1146	CH	CH	CH	CH	CH	4-(4-trifluoromethylphenyl)cyclo-
20							hexyl
	1147	CH	CH	CH	CH	CH	4-(3,5-difluorophenyl)cyclohexyl
	1148	CH	CH	CH	CH	CH	4-(3-acetylphenyl)cyclohexyl
	1149	CH	CH	CH	CH	CH	4-(3-cyanophenyl)cyclohexyl
25	1150	CH	CH	CH	CH	CH	4-(2-difluoromethoxyphenyl)cyclo-
							hexyl
	1151	CH	CH	CH	CH	CH	4-(3-difluoromethoxyphenyl)cyclo-
							hexyl
	1152	CH	CH	CH	CH	CH	4-(4-difluoromethoxyphenyl)cyclo-
30							hexyl
	1153	CH	CH	CH	CH	CH	4-(2-pyridyl)cyclohexyl
	1154	CH	CH	CH	CH	CH	4-(3-pyridyl)cyclohexyl
	1155	CH	CH	CH	CH	CH	4-(4-pyridyl)cyclohexyl
35	1156	CH	CH	CH	CH	CH	4-(4-fluoro-3-pyridyl)cyclohexyl
	1157	CH	CH	CH	CH	CH	4-(3-quinolyl)cyclohexyl
	1158	CH	CH	CH	CH	CH	4-(3-fluorophenyl)-4-hydroxy-
							cyclohexyl
40	1159	CH	CH	CH	CH	CH	3-phenylcyclohexyl
	1160	CH	CH	CH	CH	CH	3-phenylcyclopentyl
	1161	CH	CH	CH	CH	CH	6-phenyl-3-tetrahydropyranyl
	1162	CH	CH	CH	CH	CH	6-(3-fluorophenyl)-3-tetrahydro-
45							pyranyl
	1163	CH	CH	CH	CH	CH	2-phenylcyclopropyl
	1164	CH	CH	CH	CH	CH	2-(2-pyridyl)cyclopropyl
	1165	CH	CH	CH	CH	CH	2-(3-pyridyl)cyclopropyl
	1166	CH	CH	CH	CH	CH	2-(4-pyridyl)cyclopropyl
50	1167	CH	CH	CH	CH	CH	2-(3-fluorophenyl)cyclopropyl
	1168	CH	CH	CH	CH	CH	2-indanyl
	1169	CH	CH	CH	CH	CH	2-tetrahydronaphthyl

55

(continued from Table 3)

	1170	CH	CH	CH	CH	CH	6-methoxy-2-tetrahydronaphthyl
5	1171	CH	CH	CH	CH	CH	benzyl
	1172	CH	CH	CH	CH	CH	phenethyl
	1173	CH	CH	CH	CH	CH	3-phenylpropyl
	1174	CH	CH	CH	CH	CH	4-phenylbutyl
10	1175	CH	CH	CH	CH	CH	2-methoxyphenethyl
	1176	CH	CH	CH	CH	CH	3-methoxyphenethyl
	1177	CH	CH	CH	CH	CH	4-methoxyphenethyl
	1178	CH	CH	CH	CH	CH	4-fluorophenethyl
15	1179	CH	CH	CH	CH	CH	4-bromophenethyl
	1180	CH	CH	CH	CH	CH	4-chlorophenethyl
	1181	CH	CH	CH	CH	CH	3-trifluoromethylphenethyl
	1182	CH	CH	CH	CH	CH	3,4-dimethoxyphenethyl
20	1183	CH	CH	CH	CH	CH	3-propoxyphenethyl
	1184	CH	CH	CH	CH	CH	3,5-difluorophenethyl
	1185	CH	CH	CH	CH	CH	4-dimethylaminophenethyl
	1186	CH	CH	CH	CH	CH	3-difluoromethoxyphenethyl
25	1187	CH	CH	CH	CH	CH	2-methylphenethyl
	1188	CH	CH	CH	CH	CH	4-acetylphenethyl
	1189	CH	CH	CH	CH	CH	4-dimethylamino-2-methoxyphenethyl
	1190	CH	CH	CH	CH	CH	cyclohexylethyl
30	1191	CH	CH	CH	CH	CH	2-(2-pyridyl)ethyl
	1192	CH	CH	CH	CH	CH	2-(3-pyridyl)ethyl
	1193	CH	CH	CH	CH	CH	2-(4-pyridyl)ethyl
	1194	CH	CH	CH	CH	CH	2-(2-quinolyl)ethyl
35	1195	CH	CH	CH	CH	CH	2-(3-quinolyl)ethyl
	1196	CH	CH	CH	CH	CH	2-(4-quinolyl)ethyl
	1197	CH	CH	CH	CH	CH	2-(6-quinolyl)ethyl
	1198	CH	CH	CH	CH	CH	2-(2-indolyl)ethyl
40	1199	CH	CH	CH	CH	CH	2-(3-indolyl)ethyl
	1200	CH	CH	CH	CH	CH	2-(7-aza-3-indolyl)ethyl
	1201	CH	CH	CH	CH	CH	2-(benzimidazolyl)ethyl
	1202	CH	CH	CH	CH	CH	2-(benzoxazolyl)ethyl
45	1203	CH	CH	CH	CH	CH	2-(benzothiazolyl)ethyl
	1204	CH	CH	CH	CH	CH	2-(1-naphthyl)ethyl
	1205	CH	CH	CH	CH	CH	2-(2-naphthyl)ethyl
	1206	CH	CH	CH	CH	CH	1-(hydroxymethyl)-2-phenylethyl
50	1207	CH	CH	CH	CH	CH	1-(methoxycarbonyl)-2-phenylethyl
	1208	CH	CH	CH	CH	CH	1-(ethoxycarbonyl)-2-phenylethyl
	1209	CH	CH	CH	CH	CH	1-carboxy-2-phenylethyl

(continued from Table 3)

5	1210	CH	CH	CH	CH	CH	1-(benzyloxycarbonyl)-2-phenyl-ethyl
	1211	CH	CH	CH	CH	CH	1-(phenoxymethyl)-2-phenylethyl
	1212	CH	CH	CH	CH	CH	1-(benzyloxymethyl)-2-phenylethyl
	1213	CH	CH	CH	CH	CH	1-(benzylcarbamoyl)-2-phenylethyl
10	1214	CH	CH	CH	CH	CH	1-(N-methylbenzylcarbamoyl)-2-phenylethyl
	1215	CH	CH	CH	CH	CH	1-(phenylcarbamoyl)-2-phenylethyl
	1216	CH	CH	CH	CH	CH	1-(N-methylphenylcarbamoyl)-2-phenylethyl
15	1217	CH	CH	CH	CH	CH	1-(N-benzylaminomethyl)-2-phenyl-ethyl
	1218	CH	CH	CH	CH	CH	1-(N-benzyl-N-methylaminomethyl)-2-phenylethyl
20	1219	CH	CH	CH	CH	CH	1-(anilinomethyl)-2-phenylethyl
	1220	CH	CH	CH	CH	CH	1-(N-methylanilinomethyl)-2-phenylethyl
	1221	CH	CH	CH	CH	CH	1-(N-methylaminomethyl)-2-phenylethyl
25	1222	CH	CH	CH	CH	CH	1-(N-ethylaminomethyl)-2-phenylethyl
	1223	CH	CH	CH	CH	CH	1-(N-isobutylaminomethyl)-2-phenylethyl
30	1224	CH	CH	CH	CH	CH	1-(N-cyclopropylmethylamino-methyl)-2-phenylethyl
	1225	CH	CH	CH	CH	CH	1-(aminomethyl)-2-phenylethyl
	1226	CH	CH	CH	CH	CH	1-benzyl-2-(2-pyridylmethyl-amino)ethyl
35	1227	CH	CH	CH	CH	CH	1-benzyl-2-(3-pyridylmethyl-amino)ethyl
	1228	CH	CH	CH	CH	CH	1-benzyl-2-(4-pyridylmethyl-amino)ethyl
40	1229	CH	CH	CH	CH	CH	2-phenyl-1-(2-pyridylmethyl-carbamoyl)ethyl
	1230	CH	CH	CH	CH	CH	2-phenyl-1-(3-pyridylmethyl-carbamoyl)ethyl
45	1231	CH	CH	CH	CH	CH	2-phenyl-1-(4-pyridylmethyl-carbamoyl)ethyl
	1232	CH	CH	CH	CH	CH	2-hydroxy-2-phenylethyl
	1233	CH	CH	CH	CH	CH	benzoylmethyl
50	1234	CH	CH	CH	CH	CH	1-(benzyloxycarbonyl)-2-(3-indolyl)ethyl
	1235	CH	CH	CH	CH	CH	1-(benzyloxycarbonyl)-2-cyclo-hexylethyl

55

(continued from Table 3)

5	1236	CH	CH	CH	CH	CH	1-(phenoxyethyl)-2-(3-indolyl)ethyl
	1237	CH	CH	CH	CH	CH	2-(2-methoxyphenoxy)ethyl
	1238	CH	CH	CH	CH	CH	1-(benzylcarbamoyl)-2-cyclohexylethyl
10	1239	CH	CH	CH	CH	CH	1-(N-methylbenzylcarbamoyl)-2-cyclohexylethyl
	1240	CH	CH	CH	CH	CH	1-(phenylcarbamoyl)-2-cyclohexylethyl
15	1241	CH	CH	CH	CH	CH	1-(N-methylphenylcarbamoyl)-2-cyclohexylethyl
	1242	CH	CH	CH	CH	CH	1-(benzyloxycarbonyl)-2-(3-pyridyl)ethyl
	1243	CH	CH	CH	CH	CH	1-(benzylaminomethyl)-2-(3-pyridyl)ethyl
20	1244	CH	CH	CH	CH	CH	1-(benzylcarbamoyl)-2-(4-pyridyl)ethyl
	1245	CH	CH	CH	CH	CH	1-(4-pyridylmethylcarbamoyl)-2-(4-fluorophenyl)ethyl
25	1246	CH	CH	CH	CH	CH	1-(benzylcarbamoyl)-2-(7-aza-3-indolyl)ethyl
	1247	CH	CH	CH	CH	CH	1-(benzyloxymethyl)-2-(2-indolyl)ethyl
30	1248	CH	CH	CH	CH	CH	1-(N-benzyl-N-methylaminomethyl)-2-(3-pyridyl)ethyl
	1249	CH	CH	CH	CH	CH	1-(N-methylbenzylcarbamoyl)-2-(3-pyridyl)ethyl
35	1250	CH	CH	CH	CH	CH	2-hydroxy-2-(4-dimethylaminophenyl)ethyl
	1251	CH	CH	CH	CH	CH	2-hydroxy-2-(2-quinolyl)ethyl
	1252	CH	CH	CH	CH	CH	2-hydroxy-2-(3-quinolyl)ethyl
	1253	CH	CH	CH	CH	CH	2-hydroxy-2-(4-quinolyl)ethyl
40	1254	CH	CH	CH	CH	CH	2-hydroxy-2-(3,5-difluorophenyl)ethyl
	1255	CH	CH	CH	CH	CH	1-carboxy-2-cyclohexylethyl
	1256	CH	CH	CH	CH	CH	2-hydroxy-2-(6-quinolyl)ethyl
45	1257	CH	CH	CH	CH	CH	2-(benzylamino)-2-phenylethyl
	1258	CH	CH	CH	CH	CH	2-amino-2-(2-naphthyl)propyl
	1259	CH	CH	CH	CH	CH	2-(phenylamino)ethyl
	1260	CH	CH	CH	CH	CH	diphenylmethyl
50	1261	CH	CH	CH	CH	CH	2,2-diphenylethyl
	1262	CH	CH	CH	CH	CH	2-phenyl-2-(2-pyridyl)ethyl
	1263	CH	CH	CH	CH	CH	2-phenyl-2-(3-pyridyl)ethyl

55

(continued from Table 3)

5	1264	CH	CH	CH	CH	CH	2-phenyl-2-(4-pyridyl)ethyl
	1265	CH	CH	CH	CH	CH	2-phenoxy-2-phenylethyl
	1266	CH	CH	CH	CH	CH	2-(benzyloxy)-2-phenylethyl
	1267	CH	CH	CH	CH	N	1-phenyl-3-pyrrolidinyl
10	1268	CH	CH	CH	CH	N	1-(2-fluorophenyl)-3-pyrrolidinyl
	1269	CH	CH	CH	CH	N	1-(3-fluorophenyl)-3-pyrrolidinyl
	1270	CH	CH	CH	CH	N	1-(4-fluorophenyl)-3-pyrrolidinyl
	1271	CH	CH	CH	CH	N	1-(2-chlorophenyl)-3-pyrrolidinyl
15	1272	CH	CH	CH	CH	N	1-(3-chlorophenyl)-3-pyrrolidinyl
	1273	CH	CH	CH	CH	N	1-(4-chlorophenyl)-3-pyrrolidinyl
	1274	CH	CH	CH	CH	N	1-(2-methylphenyl)-3-pyrrolidinyl
	1275	CH	CH	CH	CH	N	1-(3-methylphenyl)-3-pyrrolidinyl
20	1276	CH	CH	CH	CH	N	1-(4-methylphenyl)-3-pyrrolidinyl
	1277	CH	CH	CH	CH	N	1-(2-methoxyphenyl)-3-pyrrolidinyl
	1278	CH	CH	CH	CH	N	1-(3-methoxyphenyl)-3-pyrrolidinyl
	1279	CH	CH	CH	CH	N	1-(4-methoxyphenyl)-3-pyrrolidinyl
25	1280	CH	CH	CH	CH	N	1-(2-trifluoromethylphenyl)-3-pyrrolidinyl
	1281	CH	CH	CH	CH	N	1-(3-trifluoromethylphenyl)-3-pyrrolidinyl
30	1282	CH	CH	CH	CH	N	1-(4-trifluoromethylphenyl)-3-pyrrolidinyl
	1283	CH	CH	CH	CH	N	1-(3,5-difluorophenyl)-3-pyrrolidinyl
	1284	CH	CH	CH	CH	N	1-(2-difluoromethoxyphenyl)-3-pyrrolidinyl
35	1285	CH	CH	CH	CH	N	1-(3-difluoromethoxyphenyl)-3-pyrrolidinyl
	1286	CH	CH	CH	CH	N	1-(4-difluoromethoxyphenyl)-3-pyrrolidinyl
40	1287	CH	CH	CH	CH	N	1-(2-pyridyl)-3-pyrrolidinyl
	1288	CH	CH	CH	CH	N	1-(3-pyridyl)-3-pyrrolidinyl
	1289	CH	CH	CH	CH	N	1-(4-pyridyl)-3-pyrrolidinyl
	1290	CH	CH	CH	CH	N	1-(2-pyrimidinyl)-3-pyrrolidinyl
45	1291	CH	CH	CH	CH	N	5-oxo-1-phenyl-3-pyrrolidinyl
	1292	CH	CH	CH	CH	N	1-phenyl-3-piperidyl
	1293	CH	CH	CH	CH	N	1-(2-fluorophenyl)-3-piperidyl
	1294	CH	CH	CH	CH	N	1-(3-fluorophenyl)-3-piperidyl
50	1295	CH	CH	CH	CH	N	1-(4-fluorophenyl)-3-piperidyl
	1296	CH	CH	CH	CH	N	1-(2-chlorophenyl)-3-piperidyl
	1297	CH	CH	CH	CH	N	1-(3-chlorophenyl)-3-piperidyl

55

(continued from Table 3)

5	1298	CH	CH	CH	CH	N	1-(4-chlorophenyl)-3-piperidyl
	1299	CH	CH	CH	CH	N	1-(2-methylphenyl)-3-piperidyl
	1300	CH	CH	CH	CH	N	1-(3-methylphenyl)-3-piperidyl
	1301	CH	CH	CH	CH	N	1-(4-methylphenyl)-3-piperidyl
10	1302	CH	CH	CH	CH	N	1-(2-methoxyphenyl)-3-piperidyl
	1303	CH	CH	CH	CH	N	1-(3-methoxyphenyl)-3-piperidyl
	1304	CH	CH	CH	CH	N	1-(4-methoxyphenyl)-3-piperidyl
	1305	CH	CH	CH	CH	N	1-(2-trifluoromethylphenyl)-3-piperidyl
15	1306	CH	CH	CH	CH	N	1-(3-trifluoromethylphenyl)-3-piperidyl
	1307	CH	CH	CH	CH	N	1-(4-trifluoromethylphenyl)-3-piperidyl
20	1308	CH	CH	CH	CH	N	1-(3,5-difluorophenyl)-3-piperidyl
	1309	CH	CH	CH	CH	N	1-(2-difluoromethoxyphenyl)-3-piperidyl
	1310	CH	CH	CH	CH	N	1-(3-difluoromethoxyphenyl)-3-piperidyl
25	1311	CH	CH	CH	CH	N	1-(4-difluoromethoxyphenyl)-3-piperidyl
	1312	CH	CH	CH	CH	N	1-(2-pyridyl)-3-piperidyl
	1313	CH	CH	CH	CH	N	1-(3-pyridyl)-3-piperidyl
30	1314	CH	CH	CH	CH	N	1-(4-pyridyl)-3-piperidyl
	1315	CH	CH	CH	CH	N	1-phenyl-4-piperidyl
	1316	CH	CH	CH	CH	N	1-(2-fluorophenyl)-4-piperidyl
	1317	CH	CH	CH	CH	N	1-(3-fluorophenyl)-4-piperidyl
35	1318	CH	CH	CH	CH	N	1-(4-fluorophenyl)-4-piperidyl
	1319	CH	CH	CH	CH	N	1-(2-chlorophenyl)-4-piperidyl
	1320	CH	CH	CH	CH	N	1-(3-chlorophenyl)-4-piperidyl
40	1321	CH	CH	CH	CH	N	1-(4-chlorophenyl)-4-piperidyl
	1322	CH	CH	CH	CH	N	1-(2-methylphenyl)-4-piperidyl
	1323	CH	CH	CH	CH	N	1-(3-methylphenyl)-4-piperidyl
	1324	CH	CH	CH	CH	N	1-(4-methylphenyl)-4-piperidyl
	1325	CH	CH	CH	CH	N	1-(2-methoxyphenyl)-4-piperidyl
45	1326	CH	CH	CH	CH	N	1-(3-methoxyphenyl)-4-piperidyl
	1327	CH	CH	CH	CH	N	1-(4-methoxyphenyl)-4-piperidyl
	1328	CH	CH	CH	CH	N	1-(2-trifluoromethylphenyl)-4-piperidyl
50	1329	CH	CH	CH	CH	N	1-(3-trifluoromethylphenyl)-4-piperidyl
	1330	CH	CH	CH	CH	N	1-(4-trifluoromethylphenyl)-4-piperidyl

(continued from Table 3)

5	1331	CH	CH	CH	CH	N	1-(3,5-difluorophenyl)-4-piperidyl
	1332	CH	CH	CH	CH	N	1-(2-difluoromethoxyphenyl)-4-piperidyl
	1333	CH	CH	CH	CH	N	1-(3-difluoromethoxyphenyl)-4-piperidyl
10	1334	CH	CH	CH	CH	N	1-(4-difluoromethoxyphenyl)-4-piperidyl
	1335	CH	CH	CH	CH	N	1-(2-pyridyl)-4-piperidyl
15	1336	CH	CH	CH	CH	N	1-(3-pyridyl)-4-piperidyl
	1337	CH	CH	CH	CH	N	1-(4-pyridyl)-4-piperidyl
	1338	CH	CH	CH	CH	N	3-hydroxymethyl-1-phenyl-4-piperidyl
20	1339	CH	CH	CH	CH	N	3-methoxycarbonyl-1-phenyl-4-piperidyl
	1340	CH	CH	CH	CH	N	3-ethoxycarbonyl-1-phenyl-4-piperidyl
	1341	CH	CH	CH	CH	N	3-isopropoxycarbonyl-1-phenyl-4-piperidyl
25	1342	CH	CH	CH	CH	N	4-phenylcyclohexyl
	1343	CH	CH	CH	CH	N	4-(2-fluorophenyl)cyclohexyl
	1344	CH	CH	CH	CH	N	4-(3-fluorophenyl)cyclohexyl
30	1345	CH	CH	CH	CH	N	4-(4-fluorophenyl)cyclohexyl
	1346	CH	CH	CH	CH	N	4-(2-chlorophenyl)cyclohexyl
	1347	CH	CH	CH	CH	N	4-(3-chlorophenyl)cyclohexyl
	1348	CH	CH	CH	CH	N	4-(4-chlorophenyl)cyclohexyl
35	1349	CH	CH	CH	CH	N	4-(2-methylphenyl)cyclohexyl
	1350	CH	CH	CH	CH	N	4-(3-methylphenyl)cyclohexyl
	1351	CH	CH	CH	CH	N	4-(4-methylphenyl)cyclohexyl
	1352	CH	CH	CH	CH	N	4-(2-methoxyphenyl)cyclohexyl
40	1353	CH	CH	CH	CH	N	4-(3-methoxyphenyl)cyclohexyl
	1354	CH	CH	CH	CH	N	4-(4-methoxyphenyl)cyclohexyl
	1355	CH	CH	CH	CH	N	4-(2-trifluoromethylphenyl)cyclohexyl
45	1356	CH	CH	CH	CH	N	4-(3-trifluoromethylphenyl)cyclohexyl
	1357	CH	CH	CH	CH	N	4-(4-trifluoromethylphenyl)cyclohexyl
	1358	CH	CH	CH	CH	N	4-(3,5-difluorophenyl)cyclohexyl
50	1359	CH	CH	CH	CH	N	4-(3-acetylphenyl)cyclohexyl
	1360	CH	CH	CH	CH	N	4-(3-cyanophenyl)cyclohexyl

(continued from Table 3)

5	1361	CH	CH	CH	CH	N	4-(2-difluoromethoxyphenyl)cyclohexyl
	1362	CH	CH	CH	CH	N	4-(3-difluoromethoxyphenyl)cyclohexyl
	1363	CH	CH	CH	CH	N	4-(4-difluoromethoxyphenyl)cyclohexyl
10	1364	CH	CH	CH	CH	N	4-(2-pyridyl)cyclohexyl
	1365	CH	CH	CH	CH	N	4-(3-pyridyl)cyclohexyl
	1366	CH	CH	CH	CH	N	4-(4-pyridyl)cyclohexyl
15	1367	CH	CH	CH	CH	N	4-(4-fluoro-3-pyridyl)cyclohexyl
	1368	CH	CH	CH	CH	N	4-(3-quinolyl)cyclohexyl
	1369	CH	CH	CH	CH	N	4-(3-fluorophenyl)-4-hydroxycyclohexyl
	1370	CH	CH	CH	CH	N	3-phenylcyclohexyl
20	1371	CH	CH	CH	CH	N	3-phenylcyclopentyl
	1372	CH	CH	CH	CH	N	6-phenyl-3-tetrahydropyranyl
	1373	CH	CH	CH	CH	N	6-(3-fluorophenyl)-3-tetrahydropyranyl
25	1374	CH	CH	CH	CH	N	2-phenylcyclopropyl
	1375	CH	CH	CH	CH	N	2-(2-pyridyl)cyclopropyl
	1376	CH	CH	CH	CH	N	2-(3-pyridyl)cyclopropyl
	1377	CH	CH	CH	CH	N	2-(4-pyridyl)cyclopropyl
30	1378	CH	CH	CH	CH	N	2-(3-fluorophenyl)cyclopropyl
	1379	CH	CH	CH	CH	N	2-indanyl
	1380	CH	CH	CH	CH	N	2-tetrahydronaphthyl
	1381	CH	CH	CH	CH	N	6-methoxy-2-tetrahydronaphthyl
35	1382	CH	CH	CH	CH	N	benzyl
	1383	CH	CH	CH	CH	N	phenethyl
	1384	CH	CH	CH	CH	N	3-phenylpropyl
	1385	CH	CH	CH	CH	N	4-phenylbutyl
40	1386	CH	CH	CH	CH	N	2-methoxyphenethyl
	1387	CH	CH	CH	CH	N	3-methoxyphenethyl
	1388	CH	CH	CH	CH	N	4-methoxyphenethyl
	1389	CH	CH	CH	CH	N	4-fluorophenethyl
45	1390	CH	CH	CH	CH	N	4-bromophenethyl
	1391	CH	CH	CH	CH	N	4-chlorophenethyl
	1392	CH	CH	CH	CH	N	3-trifluoromethylphenethyl
50	1393	CH	CH	CH	CH	N	3,4-dimethoxyphenethyl
	1394	CH	CH	CH	CH	N	3-propoxyphenethyl
	1395	CH	CH	CH	CH	N	3,5-difluorophenethyl

55

(continued from Table 3)

	1396	CH	CH	CH	CH	N	4-dimethylaminophenethyl
5	1397	CH	CH	CH	CH	N	3-difluoromethoxyphenethyl
	1398	CH	CH	CH	CH	N	2-methylphenethyl
	1399	CH	CH	CH	CH	N	4-acetylphenethyl
10	1400	CH	CH	CH	CH	N	4-dimethylamino-2-methoxy-phenethyl
	1401	CH	CH	CH	CH	N	cyclohexylethyl
	1402	CH	CH	CH	CH	N	2-(2-pyridyl)ethyl
	1403	CH	CH	CH	CH	N	2-(3-pyridyl)ethyl
15	1404	CH	CH	CH	CH	N	2-(4-pyridyl)ethyl
	1405	CH	CH	CH	CH	N	2-(2-quinolyl)ethyl
	1406	CH	CH	CH	CH	N	2-(3-quinolyl)ethyl
	1407	CH	CH	CH	CH	N	2-(4-quinolyl)ethyl
20	1408	CH	CH	CH	CH	N	2-(6-quinolyl)ethyl
	1409	CH	CH	CH	CH	N	2-(2-indolyl)ethyl
	1410	CH	CH	CH	CH	N	2-(3-indolyl)ethyl
	1411	CH	CH	CH	CH	N	2-(7-aza-3-indolyl)ethyl
25	1412	CH	CH	CH	CH	N	2-(benzimidazolyl)ethyl
	1413	CH	CH	CH	CH	N	2-(benzoxazolyl)ethyl
	1414	CH	CH	CH	CH	N	2-(benzothiazolyl)ethyl
	1415	CH	CH	CH	CH	N	2-(1-naphthyl)ethyl
30	1416	CH	CH	CH	CH	N	2-(2-naphthyl)ethyl
	1417	CH	CH	CH	CH	N	1-(hydroxymethyl)-2-phenylethyl
	1418	CH	CH	CH	CH	N	1-(methoxycarbonyl)-2-phenyl-ethyl
35	1419	CH	CH	CH	CH	N	1-(ethoxycarbonyl)-2-phenylethyl
	1420	CH	CH	CH	CH	N	1-carboxy-2-phenylethyl
	1421	CH	CH	CH	CH	N	1-(benzyloxycarbonyl)-2-phenyl-ethyl
40	1422	CH	CH	CH	CH	N	1-(phenoxymethyl)-2-phenylethyl
	1423	CH	CH	CH	CH	N	1-(benzyloxymethyl)-2-phenylethyl
	1424	CH	CH	CH	CH	N	1-(benzylcarbamoyl)-2-phenylethyl
	1425	CH	CH	CH	CH	N	1-(N-methylbenzylcarbamoyl)-2-phenylethyl
45	1426	CH	CH	CH	CH	N	1-(phenylcarbamoyl)-2-phenylethyl
	1427	CH	CH	CH	CH	N	1-(N-methylphenylcarbamoyl)-2-phenylethyl
50	1428	CH	CH	CH	CH	N	1-(N-benzylaminomethyl)-2-phenylethyl
	1429	CH	CH	CH	CH	N	1-(N-benzyl-N-methylamino-methyl)-2-phenylethyl

55

(continued from Table 3)

5	1430	CH	CH	CH	CH	N	1-(anilinomethyl)-2-phenylethyl
	1431	CH	CH	CH	CH	N	1-(N-methylanilinomethyl)-2-phenylethyl
	1432	CH	CH	CH	CH	N	1-(N-methylaminomethyl)-2-phenylethyl
10	1433	CH	CH	CH	CH	N	1-(N-ethylaminomethyl)-2-phenylethyl
	1434	CH	CH	CH	CH	N	1-(N-isobutylaminomethyl)-2-phenylethyl
15	1435	CH	CH	CH	CH	N	1-(N-cyclopropylmethylamino-methyl)-2-phenylethyl
	1436	CH	CH	CH	CH	N	1-(aminomethyl)-2-phenylethyl
	1437	CH	CH	CH	CH	N	1-benzyl-2-(2-pyridylmethyl-amino)ethyl
20	1438	CH	CH	CH	CH	N	1-benzyl-2-(3-pyridylmethyl-amino)ethyl
	1439	CH	CH	CH	CH	N	1-benzyl-2-(4-pyridylmethyl-amino)ethyl
25	1440	CH	CH	CH	CH	N	2-phenyl-1-(2-pyridylmethyl-carbamoyl)ethyl
	1441	CH	CH	CH	CH	N	2-phenyl-1-(3-pyridylmethyl-carbamoyl)ethyl
30	1442	CH	CH	CH	CH	N	2-phenyl-1-(4-pyridylmethyl-carbamoyl)ethyl
	1443	CH	CH	CH	CH	N	2-hydroxy-2-phenylethyl
	1444	CH	CH	CH	CH	N	benzoylmethyl
	1445	CH	CH	CH	CH	N	1-(benzyloxycarbonyl)-2-(3-indolyl)ethyl
35	1446	CH	CH	CH	CH	N	1-(benzyloxycarbonyl)-2-cyclohexylethyl
	1447	CH	CH	CH	CH	N	1-(phenoxymethyl)-2-(3-indolyl)ethyl
40	1448	CH	CH	CH	CH	N	2-(2-methoxyphenoxy)ethyl
	1449	CH	CH	CH	CH	N	1-(benzylcarbamoyl)-2-cyclohexylethyl
	1450	CH	CH	CH	CH	N	1-(N-methylbenzylcarbamoyl)-2-cyclohexylethyl
45	1451	CH	CH	CH	CH	N	1-(phenylcarbamoyl)-2-cyclohexylethyl
	1452	CH	CH	CH	CH	N	1-(N-methylphenylcarbamoyl)-2-cyclohexylethyl
50	1453	CH	CH	CH	CH	N	1-(benzyloxycarbonyl)-2-(3-pyridyl)ethyl

55

(continued from Table 3)

5	1454	CH	CH	CH	CH	N	1-(benzylaminomethyl)-2-(3-pyridyl)ethyl
	1455	CH	CH	CH	CH	N	1-(benzylcarbamoyl)-2-(4-pyridyl)ethyl
	1456	CH	CH	CH	CH	N	1-(4-pyridylmethylcarbamoyl)-2-(4-fluorophenyl)ethyl
10	1457	CH	CH	CH	CH	N	1-(benzylcarbamoyl)-2-(7-aza-3-indolyl)ethyl
	1458	CH	CH	CH	CH	N	1-(benzyloxymethyl)-2-(2-indolyl)ethyl
15	1459	CH	CH	CH	CH	N	1-(N-benzyl-N-methylaminomethyl)-2-(3-pyridyl)ethyl
	1460	CH	CH	CH	CH	N	1-(N-methylbenzylcarbamoyl)-2-(3-pyridyl)ethyl
20	1461	CH	CH	CH	CH	N	2-hydroxy-2-(4-dimethylamino-phenyl)ethyl
	1462	CH	CH	CH	CH	N	2-hydroxy-2-(2-quinolyl)ethyl
	1463	CH	CH	CH	CH	N	2-hydroxy-2-(3-quinolyl)ethyl
25	1464	CH	CH	CH	CH	N	2-hydroxy-2-(4-quinolyl)ethyl
	1465	CH	CH	CH	CH	N	2-hydroxy-2-(3,5-difluoro-phenyl)ethyl
	1466	CH	CH	CH	CH	N	1-carboxy-2-cyclohexylethyl
30	1467	CH	CH	CH	CH	N	2-hydroxy-2-(6-quinolyl)ethyl
	1468	CH	CH	CH	CH	N	2-(benzylamino)-2-phenylethyl
	1469	CH	CH	CH	CH	N	2-amino-2-(2-naphthyl)propyl
	1470	CH	CH	CH	CH	N	2-(phenylamino)ethyl
35	1471	CH	CH	CH	CH	N	diphenylmethyl
	1472	CH	CH	CH	CH	N	2,2-diphenylethyl
	1473	CH	CH	CH	CH	N	2-phenyl-2-(2-pyridyl)ethyl
	1474	CH	CH	CH	CH	N	2-phenyl-2-(3-pyridyl)ethyl
40	1475	CH	CH	CH	CH	N	2-phenyl-2-(4-pyridyl)ethyl
	1476	CH	CH	CH	CH	N	2-phenoxy-2-phenylethyl
	1477	CH	CH	CH	CH	N	2-(benzyloxy)-2-phenylethyl
	1478	N	CH	CH	CH	CH	1-phenyl-3-pyrrolidinyl
45	1479	N	CH	CH	CH	CH	1-(2-fluorophenyl)-3-pyrrolidinyl
	1480	N	CH	CH	CH	CH	1-(3-fluorophenyl)-3-pyrrolidinyl
	1481	N	CH	CH	CH	CH	1-(4-fluorophenyl)-3-pyrrolidinyl
	1482	N	CH	CH	CH	CH	1-(2-chlorophenyl)-3-pyrrolidinyl
50	1483	N	CH	CH	CH	CH	1-(3-chlorophenyl)-3-pyrrolidinyl
	1484	N	CH	CH	CH	CH	1-(4-chlorophenyl)-3-pyrrolidinyl
	1485	N	CH	CH	CH	CH	1-(2-methylphenyl)-3-pyrrolidinyl

(continued from Table 3)

5	1486	N	CH	CH	CH	CH	1-(3-methylphenyl)-3-pyrrolidinyl
	1487	N	CH	CH	CH	CH	1-(4-methylphenyl)-3-pyrrolidinyl
	1488	N	CH	CH	CH	CH	1-(2-methoxyphenyl)-3-pyrrolidinyl
10	1489	N	CH	CH	CH	CH	1-(3-methoxyphenyl)-3-pyrrolidinyl
	1490	N	CH	CH	CH	CH	1-(4-methoxyphenyl)-3-pyrrolidinyl
	1491	N	CH	CH	CH	CH	1-(2-trifluoromethylphenyl)-3-pyrrolidinyl
15	1492	N	CH	CH	CH	CH	1-(3-trifluoromethylphenyl)-3-pyrrolidinyl
	1493	N	CH	CH	CH	CH	1-(4-trifluoromethylphenyl)-3-pyrrolidinyl
20	1494	N	CH	CH	CH	CH	1-(3,5-difluorophenyl)-3-pyrrolidinyl
	1495	N	CH	CH	CH	CH	1-(2-difluoromethoxyphenyl)-3-pyrrolidinyl
25	1496	N	CH	CH	CH	CH	1-(3-difluoromethoxyphenyl)-3-pyrrolidinyl
	1497	N	CH	CH	CH	CH	1-(4-difluoromethoxyphenyl)-3-pyrrolidinyl
	1498	N	CH	CH	CH	CH	1-(2-pyridyl)-3-pyrrolidinyl
30	1499	N	CH	CH	CH	CH	1-(3-pyridyl)-3-pyrrolidinyl
	1500	N	CH	CH	CH	CH	1-(4-pyridyl)-3-pyrrolidinyl
	1501	N	CH	CH	CH	CH	1-(2-pyrimidinyl)-3-pyrrolidinyl
	1502	N	CH	CH	CH	CH	5-oxo-1-phenyl-3-pyrrolidinyl
35	1503	N	CH	CH	CH	CH	1-phenyl-3-piperidyl
	1504	N	CH	CH	CH	CH	1-(2-fluorophenyl)-3-piperidyl
	1505	N	CH	CH	CH	CH	1-(3-fluorophenyl)-3-piperidyl
	1506	N	CH	CH	CH	CH	1-(4-fluorophenyl)-3-piperidyl
40	1507	N	CH	CH	CH	CH	1-(2-chlorophenyl)-3-piperidyl
	1508	N	CH	CH	CH	CH	1-(3-chlorophenyl)-3-piperidyl
	1509	N	CH	CH	CH	CH	1-(4-chlorophenyl)-3-piperidyl
	1510	N	CH	CH	CH	CH	1-(2-methylphenyl)-3-piperidyl
45	1511	N	CH	CH	CH	CH	1-(3-methylphenyl)-3-piperidyl
	1512	N	CH	CH	CH	CH	1-(4-methylphenyl)-3-piperidyl
	1513	N	CH	CH	CH	CH	1-(2-methoxyphenyl)-3-piperidyl
50	1514	N	CH	CH	CH	CH	1-(3-methoxyphenyl)-3-piperidyl
	1515	N	CH	CH	CH	CH	1-(4-methoxyphenyl)-3-piperidyl

55

(continued from Table 3)

5	1516	N	CH	CH	CH	CH	1-(2-trifluoromethylphenyl)-3-piperidyl
	1517	N	CH	CH	CH	CH	1-(3-trifluoromethylphenyl)-3-piperidyl
	1518	N	CH	CH	CH	CH	1-(4-trifluoromethylphenyl)-3-piperidyl
10	1519	N	CH	CH	CH	CH	1-(3,5-difluorophenyl)-3-piperidyl
	1520	N	CH	CH	CH	CH	1-(2-difluoromethoxyphenyl)-3-piperidyl
15	1521	N	CH	CH	CH	CH	1-(3-difluoromethoxyphenyl)-3-piperidyl
	1522	N	CH	CH	CH	CH	1-(4-difluoromethoxyphenyl)-3-piperidyl
20	1523	N	CH	CH	CH	CH	1-(2-pyridyl)-3-piperidyl
	1524	N	CH	CH	CH	CH	1-(3-pyridyl)-3-piperidyl
	1525	N	CH	CH	CH	CH	1-(4-pyridyl)-3-piperidyl
	1526	N	CH	CH	CH	CH	1-phenyl-4-piperidyl
25	1527	N	CH	CH	CH	CH	1-(2-fluorophenyl)-4-piperidyl
	1528	N	CH	CH	CH	CH	1-(3-fluorophenyl)-4-piperidyl
	1529	N	CH	CH	CH	CH	1-(4-fluorophenyl)-4-piperidyl
	1530	N	CH	CH	CH	CH	1-(2-chlorophenyl)-4-piperidyl
30	1531	N	CH	CH	CH	CH	1-(3-chlorophenyl)-4-piperidyl
	1532	N	CH	CH	CH	CH	1-(4-chlorophenyl)-4-piperidyl
	1533	N	CH	CH	CH	CH	1-(2-methylphenyl)-4-piperidyl
	1534	N	CH	CH	CH	CH	1-(3-methylphenyl)-4-piperidyl
35	1535	N	CH	CH	CH	CH	1-(4-methylphenyl)-4-piperidyl
	1536	N	CH	CH	CH	CH	1-(2-methoxyphenyl)-4-piperidyl
	1537	N	CH	CH	CH	CH	1-(3-methoxyphenyl)-4-piperidyl
	1538	N	CH	CH	CH	CH	1-(4-methoxyphenyl)-4-piperidyl
40	1539	N	CH	CH	CH	CH	1-(2-trifluoromethylphenyl)-4-piperidyl
	1540	N	CH	CH	CH	CH	1-(3-trifluoromethylphenyl)-4-piperidyl
45	1541	N	CH	CH	CH	CH	1-(4-trifluoromethylphenyl)-4-piperidyl
	1542	N	CH	CH	CH	CH	1-(3,5-difluorophenyl)-4-piperidyl
	1543	N	CH	CH	CH	CH	1-(2-difluoromethoxyphenyl)-4-piperidyl
50	1544	N	CH	CH	CH	CH	1-(3-difluoromethoxyphenyl)-4-piperidyl

55

(continued from Table 3)

5	1545	N	CH	CH	CH	CH	1-(4-difluoromethoxyphenyl)-4-piperidyl
	1546	N	CH	CH	CH	CH	1-(2-pyridyl)-4-piperidyl
	1547	N	CH	CH	CH	CH	1-(3-pyridyl)-4-piperidyl
	1548	N	CH	CH	CH	CH	1-(4-pyridyl)-4-piperidyl
10	1549	N	CH	CH	CH	CH	3-hydroxymethyl-1-phenyl-4-piperidyl
	1550	N	CH	CH	CH	CH	3-methoxycarbonyl-1-phenyl-4-piperidyl
15	1551	N	CH	CH	CH	CH	3-ethoxycarbonyl-1-phenyl-4-piperidyl
	1552	N	CH	CH	CH	CH	3-isopropoxycarbonyl-1-phenyl-4-piperidyl
	1553	N	CH	CH	CH	CH	4-phenylcyclohexyl
20	1554	N	CH	CH	CH	CH	4-(2-fluorophenyl)cyclohexyl
	1555	N	CH	CH	CH	CH	4-(3-fluorophenyl)cyclohexyl
	1556	N	CH	CH	CH	CH	4-(4-fluorophenyl)cyclohexyl
	1557	N	CH	CH	CH	CH	4-(2-chlorophenyl)cyclohexyl
25	1558	N	CH	CH	CH	CH	4-(3-chlorophenyl)cyclohexyl
	1559	N	CH	CH	CH	CH	4-(4-chlorophenyl)cyclohexyl
	1560	N	CH	CH	CH	CH	4-(2-methylphenyl)cyclohexyl
	1561	N	CH	CH	CH	CH	4-(3-methylphenyl)cyclohexyl
30	1562	N	CH	CH	CH	CH	4-(4-methylphenyl)cyclohexyl
	1563	N	CH	CH	CH	CH	4-(2-methoxyphenyl)cyclohexyl
	1564	N	CH	CH	CH	CH	4-(3-methoxyphenyl)cyclohexyl
	1565	N	CH	CH	CH	CH	4-(4-methoxyphenyl)cyclohexyl
35	1566	N	CH	CH	CH	CH	4-(2-trifluoromethylphenyl)cyclohexyl
	1567	N	CH	CH	CH	CH	4-(3-trifluoromethylphenyl)cyclohexyl
40	1568	N	CH	CH	CH	CH	4-(4-trifluoromethylphenyl)cyclohexyl
	1569	N	CH	CH	CH	CH	4-(3,5-difluorophenyl)cyclohexyl
	1570	N	CH	CH	CH	CH	4-(3-acetylphenyl)cyclohexyl
45	1571	N	CH	CH	CH	CH	4-(3-cyanophenyl)cyclohexyl
	1572	N	CH	CH	CH	CH	4-(2-difluoromethoxyphenyl)cyclohexyl
	1573	N	CH	CH	CH	CH	4-(3-difluoromethoxyphenyl)cyclohexyl
50	1574	N	CH	CH	CH	CH	4-(4-difluoromethoxyphenyl)cyclohexyl
	1575	N	CH	CH	CH	CH	4-(2-pyridyl)cyclohexyl

55

(continued from Table 3)

5	1576	N	CH	CH	CH	CH	4-(3-pyridyl)cyclohexyl
	1577	N	CH	CH	CH	CH	4-(4-pyridyl)cyclohexyl
	1578	N	CH	CH	CH	CH	4-(4-fluoro-3-pyridyl)cyclohexyl
	1579	N	CH	CH	CH	CH	4-(3-quinolyl)cyclohexyl
10	1580	N	CH	CH	CH	CH	4-(3-fluorophenyl)-4-hydroxy-cyclohexyl
	1581	N	CH	CH	CH	CH	3-phenylcyclohexyl
	1582	N	CH	CH	CH	CH	3-phenylcyclopentyl
	1583	N	CH	CH	CH	CH	6-phenyl-3-tetrahydropyranyl
15	1584	N	CH	CH	CH	CH	6-(3-fluorophenyl)-3-tetrahydro-pyranyl
	1585	N	CH	CH	CH	CH	2-phenylcyclopropyl
	1586	N	CH	CH	CH	CH	2-(2-pyridyl)cyclopropyl
20	1587	N	CH	CH	CH	CH	2-(3-pyridyl)cyclopropyl
	1588	N	CH	CH	CH	CH	2-(4-pyridyl)cyclopropyl
	1589	N	CH	CH	CH	CH	2-(3-fluorophenyl)cyclopropyl
	1590	N	CH	CH	CH	CH	2-indanyl
25	1591	N	CH	CH	CH	CH	2-tetrahydronaphthyl
	1592	N	CH	CH	CH	CH	6-methoxy-2-tetrahydronaphthyl
	1593	N	CH	CH	CH	CH	benzyl
	1594	N	CH	CH	CH	CH	phenethyl
30	1595	N	CH	CH	CH	CH	3-phenylpropyl
	1596	N	CH	CH	CH	CH	4-phenylbutyl
	1597	N	CH	CH	CH	CH	2-methoxyphenethyl
	1598	N	CH	CH	CH	CH	3-methoxyphenethyl
35	1599	N	CH	CH	CH	CH	4-methoxyphenethyl
	1600	N	CH	CH	CH	CH	4-fluorophenethyl
	1601	N	CH	CH	CH	CH	4-bromophenethyl
	1602	N	CH	CH	CH	CH	4-chlorophenethyl
40	1603	N	CH	CH	CH	CH	3-trifluoromethylphenethyl
	1604	N	CH	CH	CH	CH	3,4-dimethoxyphenethyl
	1605	N	CH	CH	CH	CH	3-propoxyphenethyl
	1606	N	CH	CH	CH	CH	3,5-difluorophenethyl
45	1607	N	CH	CH	CH	CH	4-dimethylaminophenethyl
	1608	N	CH	CH	CH	CH	3-difluoromethoxyphenethyl
	1609	N	CH	CH	CH	CH	2-methylphenethyl
50	1610	N	CH	CH	CH	CH	4-acetylphenethyl
	1611	N	CH	CH	CH	CH	4-dimethylamino-2-methoxy-phenethyl
	1612	N	CH	CH	CH	CH	cyclohexylethyl

55

(continued from Table 3)

5	1613	N	CH	CH	CH	CH	2-(2-pyridyl)ethyl
	1614	N	CH	CH	CH	CH	2-(3-pyridyl)ethyl
	1615	N	CH	CH	CH	CH	2-(4-pyridyl)ethyl
	1616	N	CH	CH	CH	CH	2-(2-quinolyl)ethyl
	1617	N	CH	CH	CH	CH	2-(3-quinolyl)ethyl
10	1618	N	CH	CH	CH	CH	2-(4-quinolyl)ethyl
	1619	N	CH	CH	CH	CH	2-(6-quinolyl)ethyl
	1620	N	CH	CH	CH	CH	2-(2-indolyl)ethyl
	1621	N	CH	CH	CH	CH	2-(3-indolyl)ethyl
15	1622	N	CH	CH	CH	CH	2-(7-aza-3-indolyl)ethyl
	1623	N	CH	CH	CH	CH	2-(benzimidazolyl)ethyl
	1624	N	CH	CH	CH	CH	2-(benzoxazolyl)ethyl
	1625	N	CH	CH	CH	CH	2-(benzothiazolyl)ethyl
20	1626	N	CH	CH	CH	CH	2-(1-naphthyl)ethyl
	1627	N	CH	CH	CH	CH	2-(2-naphthyl)ethyl
	1628	N	CH	CH	CH	CH	1-(hydroxymethyl)-2-phenylethyl
25	1629	N	CH	CH	CH	CH	1-(methoxycarbonyl)-2-phenyl-ethyl
	1630	N	CH	CH	CH	CH	1-(ethoxycarbonyl)-2-phenylethyl
	1631	N	CH	CH	CH	CH	1-carboxy-2-phenylethyl
	1632	N	CH	CH	CH	CH	1-(benzyloxycarbonyl)-2-phenylethyl
30	1633	N	CH	CH	CH	CH	1-(phenoxymethyl)-2-phenylethyl
	1634	N	CH	CH	CH	CH	1-(benzyloxymethyl)-2-phenyl-ethyl
35	1635	N	CH	CH	CH	CH	1-(benzylcarbamoyl)-2-phenyl-ethyl
	1636	N	CH	CH	CH	CH	1-(N-methylbenzylcarbamoyl)-2-phenylethyl
	1637	N	CH	CH	CH	CH	1-(phenylcarbamoyl)-2-phenyl-ethyl
40	1638	N	CH	CH	CH	CH	1-(N-methylphenylcarbamoyl)-2-phenylethyl
	1639	N	CH	CH	CH	CH	1-(N-benzylaminomethyl)-2-phenylethyl
45	1640	N	CH	CH	CH	CH	1-(N-benzyl-N-methylamino-methyl)-2-phenylethyl
	1641	N	CH	CH	CH	CH	1-(anilinomethyl)-2-phenylethyl
50	1642	N	CH	CH	CH	CH	1-(N-methylanilinomethyl)-2-phenylethyl

55

(continued from Table 3)

5	1643	N	CH	CH	CH	CH	1-(N-methylaminomethyl)-2-phenyl-ethyl
	1644	N	CH	CH	CH	CH	1-(N-ethylaminomethyl)-2-phenyl-ethyl
	1645	N	CH	CH	CH	CH	1-(N-isobutylaminomethyl)-2-phenylethyl
10	1646	N	CH	CH	CH	CH	1-(N-cyclopropylmethylamino-methyl)-2-phenylethyl
	1647	N	CH	CH	CH	CH	1-(aminomethyl)-2-phenylethyl
15	1648	N	CH	CH	CH	CH	1-benzyl-2-(2-pyridylmethyl-amino)ethyl
	1649	N	CH	CH	CH	CH	1-benzyl-2-(3-pyridylmethyl-amino)ethyl
	1650	N	CH	CH	CH	CH	1-benzyl-2-(4-pyridylmethyl-amino)ethyl
20	1651	N	CH	CH	CH	CH	2-phenyl-1-(2-pyridylmethyl-carbamoyl)ethyl
	1652	N	CH	CH	CH	CH	2-phenyl-1-(3-pyridylmethyl-carbamoyl)ethyl
25	1653	N	CH	CH	CH	CH	2-phenyl-1-(4-pyridylmethyl-carbamoyl)ethyl
	1654	N	CH	CH	CH	CH	2-hydroxy-2-phenylethyl
	1655	N	CH	CH	CH	CH	benzoylmethyl
30	1656	N	CH	CH	CH	CH	1-(benzyloxycarbonyl)-2-(3-indolyl)ethyl
	1657	N	CH	CH	CH	CH	1-(benzyloxycarbonyl)-2-cyclo-hexylethyl
35	1658	N	CH	CH	CH	CH	1-(phenoxymethyl)-2-(3-indolyl)ethyl
	1659	N	CH	CH	CH	CH	2-(2-methoxyphenoxy)ethyl
	1660	N	CH	CH	CH	CH	1-(benzylcarbamoyl)-2-cyclo-hexylethyl
40	1661	N	CH	CH	CH	CH	1-(N-methylbenzylcarbamoyl)-2-cyclohexylethyl
	1662	N	CH	CH	CH	CH	1-(phenylcarbamoyl)-2-cyclohexyl-ethyl
45	1663	N	CH	CH	CH	CH	1-(N-methylphenylcarbamoyl)-2-cyclohexylethyl
	1664	N	CH	CH	CH	CH	1-(benzyloxycarbonyl)-2-(3-pyridyl)ethyl
	1665	N	CH	CH	CH	CH	1-(benzylaminomethyl)-2-(3-pyridyl)ethyl
50	1666	N	CH	CH	CH	CH	1-(benzylcarbamoyl)-2-(4-pyridyl)ethyl

55

(continued from Table 3)

5	1667	N	CH	CH	CH	CH	1-(4-pyridylmethylcarbamoyl)-2-(4-fluorophenyl)ethyl
	1668	N	CH	CH	CH	CH	1-(benzylcarbamoyl)-2-(7-aza-3-indolyl)ethyl
	1669	N	CH	CH	CH	CH	1-(benzyloxymethyl)-2-(2-indolyl)ethyl
10	1670	N	CH	CH	CH	CH	1-(N-benzyl-N-methylaminomethyl)-2-(3-pyridyl)ethyl
	1671	N	CH	CH	CH	CH	1-(N-methylbenzylcarbamoyl)-2-(3-pyridyl)ethyl
15	1672	N	CH	CH	CH	CH	2-hydroxy-2-(4-dimethylamino-phenyl)ethyl
	1673	N	CH	CH	CH	CH	2-hydroxy-2-(2-quinolyl)ethyl
	1674	N	CH	CH	CH	CH	2-hydroxy-2-(3-quinolyl)ethyl
20	1675	N	CH	CH	CH	CH	2-hydroxy-2-(4-quinolyl)ethyl
	1676	N	CH	CH	CH	CH	2-hydroxy-2-(3,5-difluoro-phenyl)ethyl
	1677	N	CH	CH	CH	CH	1-carboxy-2-cyclohexylethyl
25	1678	N	CH	CH	CH	CH	2-hydroxy-2-(6-quinolyl)ethyl
	1679	N	CH	CH	CH	CH	2-(benzylamino)-2-phenylethyl
	1680	N	CH	CH	CH	CH	2-amino-2-(2-naphthyl)propyl
	1681	N	CH	CH	CH	CH	2-(phenylamino)ethyl
30	1682	N	CH	CH	CH	CH	diphenylmethyl
	1683	N	CH	CH	CH	CH	2,2-diphenylethyl
	1684	N	CH	CH	CH	CH	2-phenyl-2-(2-pyridyl)ethyl
	1685	N	CH	CH	CH	CH	2-phenyl-2-(3-pyridyl)ethyl
35	1686	N	CH	CH	CH	CH	2-phenyl-2-(4-pyridyl)ethyl
	1687	N	CH	CH	CH	CH	2-phenoxy-2-phenylethyl
	1688	N	CH	CH	CH	CH	2-(benzyloxy)-2-phenylethyl
	1689	N	CH	CH	CH	N	1-phenyl-3-pyrrolidinyl
40	1690	N	CH	CH	CH	N	1-(2-fluorophenyl)-3-pyrrolidinyl
	1691	N	CH	CH	CH	N	1-(3-fluorophenyl)-3-pyrrolidinyl
	1692	N	CH	CH	CH	N	1-(4-fluorophenyl)-3-pyrrolidinyl
	1693	N	CH	CH	CH	N	1-(2-chlorophenyl)-3-pyrrolidinyl
45	1694	N	CH	CH	CH	N	1-(3-chlorophenyl)-3-pyrrolidinyl
	1695	N	CH	CH	CH	N	1-(4-chlorophenyl)-3-pyrrolidinyl
	1696	N	CH	CH	CH	N	1-(2-methylphenyl)-3-pyrrolidinyl
	1697	N	CH	CH	CH	N	1-(3-methylphenyl)-3-pyrrolidinyl
50	1698	N	CH	CH	CH	N	1-(4-methylphenyl)-3-pyrrolidinyl
	1699	N	CH	CH	CH	N	1-(2-methoxyphenyl)-3-pyrrolidinyl

(continued from Table 3)

5	1700	N	CH	CH	CH	N	1-(3-methoxyphenyl)-3-pyrrolidinyl
	1701	N	CH	CH	CH	N	1-(4-methoxyphenyl)-3-pyrrolidinyl
	1702	N	CH	CH	CH	N	1-(2-trifluoromethylphenyl)-3-pyrrolidinyl
10	1703	N	CH	CH	CH	N	1-(3-trifluoromethylphenyl)-3-pyrrolidinyl
	1704	N	CH	CH	CH	N	1-(4-trifluoromethylphenyl)-3-pyrrolidinyl
15	1705	N	CH	CH	CH	N	1-(3,5-difluorophenyl)-3-pyrrolidinyl
	1706	N	CH	CH	CH	N	1-(2-difluoromethoxyphenyl)-3-pyrrolidinyl
20	1707	N	CH	CH	CH	N	1-(3-difluoromethoxyphenyl)-3-pyrrolidinyl
	1708	N	CH	CH	CH	N	1-(4-difluoromethoxyphenyl)-3-pyrrolidinyl
	1709	N	CH	CH	CH	N	1-(2-pyridyl)-3-pyrrolidinyl
25	1710	N	CH	CH	CH	N	1-(3-pyridyl)-3-pyrrolidinyl
	1711	N	CH	CH	CH	N	1-(4-pyridyl)-3-pyrrolidinyl
	1712	N	CH	CH	CH	N	1-(2-pyrimidinyl)-3-pyrrolidinyl
	1713	N	CH	CH	CH	N	5-oxo-1-phenyl-3-pyrrolidinyl
30	1714	N	CH	CH	CH	N	1-phenyl-3-piperidyl
	1715	N	CH	CH	CH	N	1-(2-fluorophenyl)-3-piperidyl
	1716	N	CH	CH	CH	N	1-(3-fluorophenyl)-3-piperidyl
	1717	N	CH	CH	CH	N	1-(4-fluorophenyl)-3-piperidyl
35	1718	N	CH	CH	CH	N	1-(2-chlorophenyl)-3-piperidyl
	1719	N	CH	CH	CH	N	1-(3-chlorophenyl)-3-piperidyl
	1720	N	CH	CH	CH	N	1-(4-chlorophenyl)-3-piperidyl
40	1721	N	CH	CH	CH	N	1-(2-methylphenyl)-3-piperidyl
	1722	N	CH	CH	CH	N	1-(3-methylphenyl)-3-piperidyl
	1723	N	CH	CH	CH	N	1-(4-methylphenyl)-3-piperidyl
	1724	N	CH	CH	CH	N	1-(2-methoxyphenyl)-3-piperidyl
45	1725	N	CH	CH	CH	N	1-(3-methoxyphenyl)-3-piperidyl
	1726	N	CH	CH	CH	N	1-(4-methoxyphenyl)-3-piperidyl
	1727	N	CH	CH	CH	N	1-(2-trifluoromethylphenyl)-3-piperidyl
50	1728	N	CH	CH	CH	N	1-(3-trifluoromethylphenyl)-3-piperidyl

55

(continued from Table 3)

5	1729	N	CH	CH	CH	N	1-(4-trifluoromethylphenyl)-3-piperidyl
	1730	N	CH	CH	CH	N	1-(3,5-difluorophenyl)-3-piperidyl
	1731	N	CH	CH	CH	N	1-(2-difluoromethoxyphenyl)-3-piperidyl
10	1732	N	CH	CH	CH	N	1-(3-difluoromethoxyphenyl)-3-piperidyl
	1733	N	CH	CH	CH	N	1-(4-difluoromethoxyphenyl)-3-piperidyl
15	1734	N	CH	CH	CH	N	1-(2-pyridyl)-3-piperidyl
	1735	N	CH	CH	CH	N	1-(3-pyridyl)-3-piperidyl
	1736	N	CH	CH	CH	N	1-(4-pyridyl)-3-piperidyl
	1737	N	CH	CH	CH	N	1-phenyl-4-piperidyl
20	1738	N	CH	CH	CH	N	1-(2-fluorophenyl)-4-piperidyl
	1739	N	CH	CH	CH	N	1-(3-fluorophenyl)-4-piperidyl
	1740	N	CH	CH	CH	N	1-(4-fluorophenyl)-4-piperidyl
	1741	N	CH	CH	CH	N	1-(2-chlorophenyl)-4-piperidyl
25	1742	N	CH	CH	CH	N	1-(3-chlorophenyl)-4-piperidyl
	1743	N	CH	CH	CH	N	1-(4-chlorophenyl)-4-piperidyl
	1744	N	CH	CH	CH	N	1-(2-methylphenyl)-4-piperidyl
	1745	N	CH	CH	CH	N	1-(3-methylphenyl)-4-piperidyl
30	1746	N	CH	CH	CH	N	1-(4-methylphenyl)-4-piperidyl
	1747	N	CH	CH	CH	N	1-(2-methoxyphenyl)-4-piperidyl
	1748	N	CH	CH	CH	N	1-(3-methoxyphenyl)-4-piperidyl
	1749	N	CH	CH	CH	N	1-(4-methoxyphenyl)-4-piperidyl
35	1750	N	CH	CH	CH	N	1-(2-trifluoromethylphenyl)-4-piperidyl
	1751	N	CH	CH	CH	N	1-(3-trifluoromethylphenyl)-4-piperidyl
40	1752	N	CH	CH	CH	N	1-(4-trifluoromethylphenyl)-4-piperidyl
	1753	N	CH	CH	CH	N	1-(3,5-difluorophenyl)-4-piperidyl
	1754	N	CH	CH	CH	N	1-(2-difluoromethoxyphenyl)-4-piperidyl
45	1755	N	CH	CH	CH	N	1-(3-difluoromethoxyphenyl)-4-piperidyl
	1756	N	CH	CH	CH	N	1-(4-difluoromethoxyphenyl)-4-piperidyl
50	1757	N	CH	CH	CH	N	1-(2-pyridyl)-4-piperidyl
	1758	N	CH	CH	CH	N	1-(3-pyridyl)-4-piperidyl
	1759	N	CH	CH	CH	N	1-(4-pyridyl)-4-piperidyl

55

(continued from Table 3)

5	1760	N	CH	CH	CH	N	3-hydroxymethyl-1-phenyl-4-piperidyl
	1761	N	CH	CH	CH	N	3-methoxycarbonyl-1-phenyl-4-piperidyl
	1762	N	CH	CH	CH	N	3-ethoxycarbonyl-1-phenyl-4-piperidyl
10	1763	N	CH	CH	CH	N	3-isopropoxycarbonyl-1-phenyl-4-piperidyl
	1764	N	CH	CH	CH	N	4-phenylcyclohexyl
15	1765	N	CH	CH	CH	N	4-(2-fluorophenyl)cyclohexyl
	1766	N	CH	CH	CH	N	4-(3-fluorophenyl)cyclohexyl
	1767	N	CH	CH	CH	N	4-(4-fluorophenyl)cyclohexyl
	1768	N	CH	CH	CH	N	4-(2-chlorophenyl)cyclohexyl
20	1769	N	CH	CH	CH	N	4-(3-chlorophenyl)cyclohexyl
	1770	N	CH	CH	CH	N	4-(4-chlorophenyl)cyclohexyl
	1771	N	CH	CH	CH	N	4-(2-methylphenyl)cyclohexyl
	1772	N	CH	CH	CH	N	4-(3-methylphenyl)cyclohexyl
25	1773	N	CH	CH	CH	N	4-(4-methylphenyl)cyclohexyl
	1774	N	CH	CH	CH	N	4-(2-methoxyphenyl)cyclohexyl
	1775	N	CH	CH	CH	N	4-(3-methoxyphenyl)cyclohexyl
	1776	N	CH	CH	CH	N	4-(4-methoxyphenyl)cyclohexyl
30	1777	N	CH	CH	CH	N	4-(2-trifluoromethylphenyl)cyclohexyl
	1778	N	CH	CH	CH	N	4-(3-trifluoromethylphenyl)cyclohexyl
35	1779	N	CH	CH	CH	N	4-(4-trifluoromethylphenyl)cyclohexyl
	1780	N	CH	CH	CH	N	4-(3,5-difluorophenyl)cyclohexyl
	1781	N	CH	CH	CH	N	4-(3-acetylphenyl)cyclohexyl
	1782	N	CH	CH	CH	N	4-(3-cyanophenyl)cyclohexyl
40	1783	N	CH	CH	CH	N	4-(2-difluoromethoxyphenyl)cyclohexyl
	1784	N	CH	CH	CH	N	4-(3-difluoromethoxyphenyl)cyclohexyl
45	1785	N	CH	CH	CH	N	4-(4-difluoromethoxyphenyl)cyclohexyl
	1786	N	CH	CH	CH	N	4-(2-pyridyl)cyclohexyl
	1787	N	CH	CH	CH	N	4-(3-pyridyl)cyclohexyl
	1788	N	CH	CH	CH	N	4-(4-pyridyl)cyclohexyl
50	1789	N	CH	CH	CH	N	4-(4-fluoro-3-pyridyl)cyclohexyl
	1790	N	CH	CH	CH	N	4-(3-quinolyl)cyclohexyl

55

(continued from Table 3)

5	1791	N	CH	CH	CH	N	4-(3-fluorophenyl)-4-hydroxy-cyclohexyl
	1792	N	CH	CH	CH	N	3-phenylcyclohexyl
	1793	N	CH	CH	CH	N	3-phenylcyclopentyl
	1794	N	CH	CH	CH	N	6-phenyl-3-tetrahydropyranyl
10	1795	N	CH	CH	CH	N	6-(3-fluorophenyl)-3-tetrahydro-pyranyl
	1796	N	CH	CH	CH	N	2-phenylcyclopropyl
	1797	N	CH	CH	CH	N	2-(2-pyridyl)cyclopropyl
15	1798	N	CH	CH	CH	N	2-(3-pyridyl)cyclopropyl
	1799	N	CH	CH	CH	N	2-(4-pyridyl)cyclopropyl
	1800	N	CH	CH	CH	N	2-(3-fluorophenyl)cyclopropyl
	1801	N	CH	CH	CH	N	2-indanyl
20	1802	N	CH	CH	CH	N	2-tetrahydronaphthyl
	1803	N	CH	CH	CH	N	6-methoxy-2-tetrahydronaphthyl
	1804	N	CH	CH	CH	N	benzyl
	1805	N	CH	CH	CH	N	phenethyl
25	1806	N	CH	CH	CH	N	3-phenylpropyl
	1807	N	CH	CH	CH	N	4-phenylbutyl
	1808	N	CH	CH	CH	N	2-methoxyphenethyl
	1809	N	CH	CH	CH	N	3-methoxyphenethyl
30	1810	N	CH	CH	CH	N	4-methoxyphenethyl
	1811	N	CH	CH	CH	N	4-fluorophenethyl
	1812	N	CH	CH	CH	N	4-bromophenethyl
	1813	N	CH	CH	CH	N	4-chlorophenethyl
35	1814	N	CH	CH	CH	N	3-trifluoromethylphenethyl
	1815	N	CH	CH	CH	N	3,4-dimethoxyphenethyl
	1816	N	CH	CH	CH	N	3-propoxyphenethyl
	1817	N	CH	CH	CH	N	3,5-difluorophenethyl
40	1818	N	CH	CH	CH	N	4-dimethylaminophenethyl
	1819	N	CH	CH	CH	N	3-difluoromethoxyphenethyl
	1820	N	CH	CH	CH	N	2-methylphenethyl
	1821	N	CH	CH	CH	N	4-acetylphenethyl
45	1822	N	CH	CH	CH	N	4-dimethylamino-2-methoxy-phenethyl
	1823	N	CH	CH	CH	N	cyclohexylethyl
	1824	N	CH	CH	CH	N	2-(2-pyridyl)ethyl
50	1825	N	CH	CH	CH	N	2-(3-pyridyl)ethyl
	1826	N	CH	CH	CH	N	2-(4-pyridyl)ethyl
	1827	N	CH	CH	CH	N	2-(2-quinolyl)ethyl

55

(continued from Table 3)

5	1828	N	CH	CH	CH	N	2-(3-quinolyl)ethyl
	1829	N	CH	CH	CH	N	2-(4-quinolyl)ethyl
	1830	N	CH	CH	CH	N	2-(6-quinolyl)ethyl
	1831	N	CH	CH	CH	N	2-(2-indolyl)ethyl
	1832	N	CH	CH	CH	N	2-(3-indolyl)ethyl
10	1833	N	CH	CH	CH	N	2-(7-aza-3-indolyl)ethyl
	1834	N	CH	CH	CH	N	2-(benzimidazolyl)ethyl
	1835	N	CH	CH	CH	N	2-(benzoxazolyl)ethyl
15	1836	N	CH	CH	CH	N	2-(benzothiazolyl)ethyl
	1837	N	CH	CH	CH	N	2-(1-naphthyl)ethyl
	1838	N	CH	CH	CH	N	2-(2-naphthyl)ethyl
	1839	N	CH	CH	CH	N	1-(hydroxymethyl)-2-phenylethyl
20	1840	N	CH	CH	CH	N	1-(methoxycarbonyl)-2-phenylethyl
	1841	N	CH	CH	CH	N	1-(ethoxycarbonyl)-2-phenylethyl
	1842	N	CH	CH	CH	N	1-carboxy-2-phenylethyl
	1843	N	CH	CH	CH	N	1-(benzyloxycarbonyl)-2-phenyl-ethyl
25	1844	N	CH	CH	CH	N	1-(phenoxymethyl)-2-phenylethyl
	1845	N	CH	CH	CH	N	1-(benzyloxymethyl)-2-phenylethyl
	1846	N	CH	CH	CH	N	1-(benzylcarbamoyl)-2-phenylethyl
30	1847	N	CH	CH	CH	N	1-(N-methylbenzylcarbamoyl)-2-phenylethyl
	1848	N	CH	CH	CH	N	1-(phenylcarbamoyl)-2-phenylethyl
	1849	N	CH	CH	CH	N	1-(N-methylphenylcarbamoyl)-2-phenylethyl
35	1850	N	CH	CH	CH	N	1-(N-benzylaminomethyl)-2-phenylethyl
	1851	N	CH	CH	CH	N	1-(N-benzyl-N-methylaminomethyl)-2-phenylethyl
40	1852	N	CH	CH	CH	N	1-(anilinomethyl)-2-phenylethyl
	1853	N	CH	CH	CH	N	1-(N-methylanilinomethyl)-2-phenylethyl
	1854	N	CH	CH	CH	N	1-(N-methylaminomethyl)-2-phenylethyl
45	1855	N	CH	CH	CH	N	1-(N-ethylaminomethyl)-2-phenylethyl
	1856	N	CH	CH	CH	N	1-(N-isobutylaminomethyl)-2-phenylethyl
50	1857	N	CH	CH	CH	N	1-(N-cyclopropylmethylamino-methyl)-2-phenylethyl
	1858	N	CH	CH	CH	N	1-(aminomethyl)-2-phenylethyl

55

(continued from Table 3)

5	1859	N	CH	CH	CH	N	1-benzyl-2-(2-pyridylmethyl-amino)ethyl
	1860	N	CH	CH	CH	N	1-benzyl-2-(3-pyridylmethyl-amino)ethyl
	1861	N	CH	CH	CH	N	1-benzyl-2-(4-pyridylmethyl-amino)ethyl
10	1862	N	CH	CH	CH	N	2-phenyl-1-(2-pyridylmethyl-carbamoyl)ethyl
	1863	N	CH	CH	CH	N	2-phenyl-1-(3-pyridylmethyl-carbamoyl)ethyl
15	1864	N	CH	CH	CH	N	2-phenyl-1-(4-pyridylmethyl-carbamoyl)ethyl
	1865	N	CH	CH	CH	N	2-hydroxy-2-phenylethyl
	1866	N	CH	CH	CH	N	benzoylmethyl
20	1867	N	CH	CH	CH	N	1-(benzyloxycarbonyl)-2-(3-indolyl)ethyl
	1868	N	CH	CH	CH	N	1-(benzyloxycarbonyl)-2-cyclohexylethyl
25	1869	N	CH	CH	CH	N	1-(phenoxymethyl)-2-(3-indolyl)ethyl
	1870	N	CH	CH	CH	N	2-(2-methoxyphenoxy)ethyl
	1871	N	CH	CH	CH	N	1-(benzylcarbamoyl)-2-cyclohexylethyl
30	1872	N	CH	CH	CH	N	1-(N-methylbenzylcarbamoyl)-2-cyclohexylethyl
	1873	N	CH	CH	CH	N	1-(phenylcarbamoyl)-2-cyclohexylethyl
35	1874	N	CH	CH	CH	N	1-(N-methylphenylcarbamoyl)-2-cyclohexylethyl
	1875	N	CH	CH	CH	N	1-(benzyloxycarbonyl)-2-(3-pyridyl)ethyl
	1876	N	CH	CH	CH	N	1-(benzylaminomethyl)-2-(3-pyridyl)ethyl
40	1877	N	CH	CH	CH	N	1-(benzylcarbamoyl)-2-(4-pyridyl)ethyl
	1878	N	CH	CH	CH	N	1-(4-pyridylmethylcarbamoyl)-2-(4-fluorophenyl)ethyl
45	1879	N	CH	CH	CH	N	1-(benzylcarbamoyl)-2-(7-aza-3-indolyl)ethyl
	1880	N	CH	CH	CH	N	1-(benzyloxymethyl)-2-(2-indolyl)ethyl
50	1881	N	CH	CH	CH	N	1-(N-benzyl-N-methylaminomethyl)-2-(3-pyridyl)ethyl

55

(continued from Table 3)

5	1882	N	CH	CH	CH	N	1-(N-methylbenzylcarbamoyl)-2-(3-pyridyl)ethyl
	1883	N	CH	CH	CH	N	2-hydroxy-2-(4-dimethylamino-phenyl)ethyl
	1884	N	CH	CH	CH	N	2-hydroxy-2-(2-quinolyl)ethyl
10	1885	N	CH	CH	CH	N	2-hydroxy-2-(3-quinolyl)ethyl
	1886	N	CH	CH	CH	N	2-hydroxy-2-(4-quinolyl)ethyl
	1887	N	CH	CH	CH	N	2-hydroxy-2-(3,5-difluoro-phenyl)ethyl
15	1888	N	CH	CH	CH	N	1-carboxy-2-cyclohexylethyl
	1889	N	CH	CH	CH	N	2-hydroxy-2-(6-quinolyl)ethyl
	1890	N	CH	CH	CH	N	2-(benzylamino)-2-phenylethyl
	1891	N	CH	CH	CH	N	2-amino-2-(2-naphthyl)propyl
20	1892	N	CH	CH	CH	N	2-(phenylamino)ethyl
	1893	N	CH	CH	CH	N	diphenylmethyl
	1894	N	CH	CH	CH	N	2,2-diphenylethyl
	1895	N	CH	CH	CH	N	2-phenyl-2-(2-pyridyl)ethyl
25	1896	N	CH	CH	CH	N	2-phenyl-2-(3-pyridyl)ethyl
	1897	N	CH	CH	CH	N	2-phenyl-2-(4-pyridyl)ethyl
	1898	N	CH	CH	CH	N	2-phenoxy-2-phenylethyl
	1899	N	CH	CH	CH	N	2-(benzyloxy)-2-phenylethyl
30	1900	CH	N	CH	CH	CH	1-phenyl-3-pyrrolidinyl
	1901	CH	N	CH	CH	CH	1-(2-fluorophenyl)-3-pyrrolidinyl
	1902	CH	N	CH	CH	CH	1-(3-fluorophenyl)-3-pyrrolidinyl
	1903	CH	N	CH	CH	CH	1-(4-fluorophenyl)-3-pyrrolidinyl
35	1904	CH	N	CH	CH	CH	1-(2-chlorophenyl)-3-pyrrolidinyl
	1905	CH	N	CH	CH	CH	1-(3-chlorophenyl)-3-pyrrolidinyl
	1906	CH	N	CH	CH	CH	1-(4-chlorophenyl)-3-pyrrolidinyl
	1907	CH	N	CH	CH	CH	1-(2-methylphenyl)-3-pyrrolidinyl
40	1908	CH	N	CH	CH	CH	1-(3-methylphenyl)-3-pyrrolidinyl
	1909	CH	N	CH	CH	CH	1-(4-methylphenyl)-3-pyrrolidinyl
	1910	CH	N	CH	CH	CH	1-(2-methoxyphenyl)-3-pyrrolidinyl
	1911	CH	N	CH	CH	CH	1-(3-methoxyphenyl)-3-pyrrolidinyl
45	1912	CH	N	CH	CH	CH	1-(4-methoxyphenyl)-3-pyrrolidinyl
	1913	CH	N	CH	CH	CH	1-(2-trifluoromethylphenyl)-3-pyrrolidinyl
	1914	CH	N	CH	CH	CH	1-(3-trifluoromethylphenyl)-3-pyrrolidinyl
50	1915	CH	N	CH	CH	CH	1-(4-trifluoromethylphenyl)-3-pyrrolidinyl

55

(continued from Table 3)

5	1916	CH	N	CH	CH	CH	1-(3,5-difluorophenyl)-3-pyrrolidinyl
	1917	CH	N	CH	CH	CH	1-(2-difluoromethoxyphenyl)-3-pyrrolidinyl
	1918	CH	N	CH	CH	CH	1-(3-difluoromethoxyphenyl)-3-pyrrolidinyl
10	1919	CH	N	CH	CH	CH	1-(4-difluoromethoxyphenyl)-3-pyrrolidinyl
	1920	CH	N	CH	CH	CH	1-(2-pyridyl)-3-pyrrolidinyl
	1921	CH	N	CH	CH	CH	1-(3-pyridyl)-3-pyrrolidinyl
15	1922	CH	N	CH	CH	CH	1-(4-pyridyl)-3-pyrrolidinyl
	1923	CH	N	CH	CH	CH	1-(2-pyrimidinyl)-3-pyrrolidinyl
	1924	CH	N	CH	CH	CH	5-oxo-1-phenyl-3-pyrrolidinyl
	1925	CH	N	CH	CH	CH	1-phenyl-3-piperidyl
20	1926	CH	N	CH	CH	CH	1-(2-fluorophenyl)-3-piperidyl
	1927	CH	N	CH	CH	CH	1-(3-fluorophenyl)-3-piperidyl
	1928	CH	N	CH	CH	CH	1-(4-fluorophenyl)-3-piperidyl
	1929	CH	N	CH	CH	CH	1-(2-chlorophenyl)-3-piperidyl
25	1930	CH	N	CH	CH	CH	1-(3-chlorophenyl)-3-piperidyl
	1931	CH	N	CH	CH	CH	1-(4-chlorophenyl)-3-piperidyl
	1932	CH	N	CH	CH	CH	1-(2-methylphenyl)-3-piperidyl
	1933	CH	N	CH	CH	CH	1-(3-methylphenyl)-3-piperidyl
30	1934	CH	N	CH	CH	CH	1-(4-methylphenyl)-3-piperidyl
	1935	CH	N	CH	CH	CH	1-(2-methoxyphenyl)-3-piperidyl
	1936	CH	N	CH	CH	CH	1-(3-methoxyphenyl)-3-piperidyl
	1937	CH	N	CH	CH	CH	1-(4-methoxyphenyl)-3-piperidyl
35	1938	CH	N	CH	CH	CH	1-(2-trifluoromethylphenyl)-3-piperidyl
	1939	CH	N	CH	CH	CH	1-(3-trifluoromethylphenyl)-3-piperidyl
40	1940	CH	N	CH	CH	CH	1-(4-trifluoromethylphenyl)-3-piperidyl
	1941	CH	N	CH	CH	CH	1-(3,5-difluorophenyl)-3-piperidyl
	1942	CH	N	CH	CH	CH	1-(2-difluoromethoxyphenyl)-3-piperidyl
45	1943	CH	N	CH	CH	CH	1-(3-difluoromethoxyphenyl)-3-piperidyl
	1944	CH	N	CH	CH	CH	1-(4-difluoromethoxyphenyl)-3-piperidyl
50	1945	CH	N	CH	CH	CH	1-(2-pyridyl)-3-piperidyl
	1946	CH	N	CH	CH	CH	1-(3-pyridyl)-3-piperidyl

(continued from Table 3)

5	1947	CH	N	CH	CH	CH	1-(4-pyridyl)-3-piperidyl
	1948	CH	N	CH	CH	CH	1-phenyl-4-piperidyl
	1949	CH	N	CH	CH	CH	1-(2-fluorophenyl)-4-piperidyl
	1950	CH	N	CH	CH	CH	1-(3-fluorophenyl)-4-piperidyl
10	1951	CH	N	CH	CH	CH	1-(4-fluorophenyl)-4-piperidyl
	1952	CH	N	CH	CH	CH	1-(2-chlorophenyl)-4-piperidyl
	1953	CH	N	CH	CH	CH	1-(3-chlorophenyl)-4-piperidyl
	1954	CH	N	CH	CH	CH	1-(4-chlorophenyl)-4-piperidyl
15	1955	CH	N	CH	CH	CH	1-(2-methylphenyl)-4-piperidyl
	1956	CH	N	CH	CH	CH	1-(3-methylphenyl)-4-piperidyl
	1957	CH	N	CH	CH	CH	1-(4-methylphenyl)-4-piperidyl
	1958	CH	N	CH	CH	CH	1-(2-methoxyphenyl)-4-piperidyl
20	1959	CH	N	CH	CH	CH	1-(3-methoxyphenyl)-4-piperidyl
	1960	CH	N	CH	CH	CH	1-(4-methoxyphenyl)-4-piperidyl
	1961	CH	N	CH	CH	CH	1-(2-trifluoromethylphenyl)-4-piperidyl
25	1962	CH	N	CH	CH	CH	1-(3-trifluoromethylphenyl)-4-piperidyl
	1963	CH	N	CH	CH	CH	1-(4-trifluoromethylphenyl)-4-piperidyl
	1964	CH	N	CH	CH	CH	1-(3,5-difluorophenyl)-4-piperidyl
30	1965	CH	N	CH	CH	CH	1-(2-difluoromethoxyphenyl)-4-piperidyl
	1966	CH	N	CH	CH	CH	1-(3-difluoromethoxyphenyl)-4-piperidyl
35	1967	CH	N	CH	CH	CH	1-(4-difluoromethoxyphenyl)-4-piperidyl
	1968	CH	N	CH	CH	CH	1-(2-pyridyl)-4-piperidyl
	1969	CH	N	CH	CH	CH	1-(3-pyridyl)-4-piperidyl
	1970	CH	N	CH	CH	CH	1-(4-pyridyl)-4-piperidyl
40	1971	CH	N	CH	CH	CH	3-hydroxymethyl-1-phenyl-4-piperidyl
	1972	CH	N	CH	CH	CH	3-methoxycarbonyl-1-phenyl-4-piperidyl
45	1973	CH	N	CH	CH	CH	3-ethoxycarbonyl-1-phenyl-4-piperidyl
	1974	CH	N	CH	CH	CH	3-isopropoxycarbonyl-1-phenyl-4-piperidyl
50	1975	CH	N	CH	CH	CH	4-phenylcyclohexyl
	1976	CH	N	CH	CH	CH	4-(2-fluorophenyl)cyclohexyl
	1977	CH	N	CH	CH	CH	4-(3-fluorophenyl)cyclohexyl
	1978	CH	N	CH	CH	CH	4-(4-fluorophenyl)cyclohexyl

(continued from Table 3)

	1979	CH	N	CH	CH	CH	4-(2-chlorophenyl)cyclohexyl
5	1980	CH	N	CH	CH	CH	4-(3-chlorophenyl)cyclohexyl
	1981	CH	N	CH	CH	CH	4-(4-chlorophenyl)cyclohexyl
	1982	CH	N	CH	CH	CH	4-(2-methylphenyl)cyclohexyl
	1983	CH	N	CH	CH	CH	4-(3-methylphenyl)cyclohexyl
10	1984	CH	N	CH	CH	CH	4-(4-methylphenyl)cyclohexyl
	1985	CH	N	CH	CH	CH	4-(2-methoxyphenyl)cyclohexyl
	1986	CH	N	CH	CH	CH	4-(3-methoxyphenyl)cyclohexyl
	1987	CH	N	CH	CH	CH	4-(4-methoxyphenyl)cyclohexyl
15	1988	CH	N	CH	CH	CH	4-(2-trifluoromethylphenyl)cyclohexyl
	1989	CH	N	CH	CH	CH	4-(3-trifluoromethylphenyl)cyclohexyl
20	1990	CH	N	CH	CH	CH	4-(4-trifluoromethylphenyl)cyclohexyl
	1991	CH	N	CH	CH	CH	4-(3,5-difluorophenyl)cyclohexyl
	1992	CH	N	CH	CH	CH	4-(3-acetylphenyl)cyclohexyl
25	1993	CH	N	CH	CH	CH	4-(3-cyanophenyl)cyclohexyl
	1994	CH	N	CH	CH	CH	4-(2-difluoromethoxyphenyl)cyclohexyl
	1995	CH	N	CH	CH	CH	4-(3-difluoromethoxyphenyl)cyclohexyl
30	1996	CH	N	CH	CH	CH	4-(4-difluoromethoxyphenyl)cyclohexyl
	1997	CH	N	CH	CH	CH	4-(2-pyridyl)cyclohexyl
	1998	CH	N	CH	CH	CH	4-(3-pyridyl)cyclohexyl
35	1999	CH	N	CH	CH	CH	4-(4-pyridyl)cyclohexyl
	2000	CH	N	CH	CH	CH	4-(4-fluoro-3-pyridyl)cyclohexyl
	2001	CH	N	CH	CH	CH	4-(3-quinolyl)cyclohexyl
40	2002	CH	N	CH	CH	CH	4-(3-fluorophenyl)-4-hydroxycyclohexyl
	2003	CH	N	CH	CH	CH	3-phenylcyclohexyl
	2004	CH	N	CH	CH	CH	3-phenylcyclopentyl
	2005	CH	N	CH	CH	CH	6-phenyl-3-tetrahydropyranyl
45	2006	CH	N	CH	CH	CH	6-(3-fluorophenyl)-3-tetrahydropyranyl
	2007	CH	N	CH	CH	CH	2-phenylcyclopropyl
	2008	CH	N	CH	CH	CH	2-(2-pyridyl)cyclopropyl
50	2009	CH	N	CH	CH	CH	2-(3-pyridyl)cyclopropyl
	2010	CH	N	CH	CH	CH	2-(4-pyridyl)cyclopropyl
	2011	CH	N	CH	CH	CH	2-(3-fluorophenyl)cyclopropyl

55

(continued from Table 3)

	2012	CH	N	CH	CH	CH	2-indanyl
5	2013	CH	N	CH	CH	CH	2-tetrahydronaphthyl
	2014	CH	N	CH	CH	CH	6-methoxy-2-tetrahydronaphthyl
	2015	CH	N	CH	CH	CH	benzyl
	2016	CH	N	CH	CH	CH	phenethyl
10	2017	CH	N	CH	CH	CH	3-phenylpropyl
	2018	CH	N	CH	CH	CH	4-phenylbutyl
	2019	CH	N	CH	CH	CH	2-methoxyphenethyl
	2020	CH	N	CH	CH	CH	3-methoxyphenethyl
15	2021	CH	N	CH	CH	CH	4-methoxyphenethyl
	2022	CH	N	CH	CH	CH	4-fluorophenethyl
	2023	CH	N	CH	CH	CH	4-bromophenethyl
	2024	CH	N	CH	CH	CH	4-chlorophenethyl
20	2025	CH	N	CH	CH	CH	3-trifluoromethylphenethyl
	2026	CH	N	CH	CH	CH	3,4-dimethoxyphenethyl
	2027	CH	N	CH	CH	CH	3-propoxyphenethyl
	2028	CH	N	CH	CH	CH	3,5-difluorophenethyl
25	2029	CH	N	CH	CH	CH	4-dimethylaminophenethyl
	2030	CH	N	CH	CH	CH	3-difluoromethoxyphenethyl
	2031	CH	N	CH	CH	CH	2-methylphenethyl
	2032	CH	N	CH	CH	CH	4-acetylphenethyl
30	2033	CH	N	CH	CH	CH	4-dimethylamino-2-methoxyphenethyl
	2034	CH	N	CH	CH	CH	cyclohexylethyl
	2035	CH	N	CH	CH	CH	2-(2-pyridyl)ethyl
	2036	CH	N	CH	CH	CH	2-(3-pyridyl)ethyl
35	2037	CH	N	CH	CH	CH	2-(4-pyridyl)ethyl
	2038	CH	N	CH	CH	CH	2-(2-quinolyl)ethyl
	2039	CH	N	CH	CH	CH	2-(3-quinolyl)ethyl
	2040	CH	N	CH	CH	CH	2-(4-quinolyl)ethyl
40	2041	CH	N	CH	CH	CH	2-(6-quinolyl)ethyl
	2042	CH	N	CH	CH	CH	2-(2-indolyl)ethyl
	2043	CH	N	CH	CH	CH	2-(3-indolyl)ethyl
	2044	CH	N	CH	CH	CH	2-(7-aza-3-indolyl)ethyl
45	2045	CH	N	CH	CH	CH	2-(benzimidazolyl)ethyl
	2046	CH	N	CH	CH	CH	2-(benzoxazolyl)ethyl
	2047	CH	N	CH	CH	CH	2-(benzothiazolyl)ethyl
	2048	CH	N	CH	CH	CH	2-(1-naphthyl)ethyl
50	2049	CH	N	CH	CH	CH	2-(2-naphthyl)ethyl
	2050	CH	N	CH	CH	CH	1-(hydroxymethyl)-2-phenylethyl

55

(continued from Table 3)

5	2051	CH	N	CH	CH	CH	1-(methoxycarbonyl)-2-phenylethyl
	2052	CH	N	CH	CH	CH	1-(ethoxycarbonyl)-2-phenylethyl
	2053	CH	N	CH	CH	CH	1-carboxy-2-phenylethyl
	2054	CH	N	CH	CH	CH	1-(benzyloxycarbonyl)-2-phenylethyl
10	2055	CH	N	CH	CH	CH	1-(phenoxymethyl)-2-phenylethyl
	2056	CH	N	CH	CH	CH	1-(benzyloxymethyl)-2-phenylethyl
	2057	CH	N	CH	CH	CH	1-(benzylcarbamoyl)-2-phenylethyl
	2058	CH	N	CH	CH	CH	1-(N-methylbenzylcarbamoyl)-2-phenylethyl
15	2059	CH	N	CH	CH	CH	1-(phenylcarbamoyl)-2-phenylethyl
	2060	CH	N	CH	CH	CH	1-(N-methylphenylcarbamoyl)-2-phenylethyl
20	2061	CH	N	CH	CH	CH	1-(N-benzylaminomethyl)-2-phenylethyl
	2062	CH	N	CH	CH	CH	1-(N-benzyl-N-methylaminomethyl)-2-phenylethyl
	2063	CH	N	CH	CH	CH	1-(anilinomethyl)-2-phenylethyl
25	2064	CH	N	CH	CH	CH	1-(N-methylanilinomethyl)-2-phenylethyl
	2065	CH	N	CH	CH	CH	1-(N-methylaminomethyl)-2-phenylethyl
30	2066	CH	N	CH	CH	CH	1-(N-ethylaminomethyl)-2-phenylethyl
	2067	CH	N	CH	CH	CH	1-(N-isobutylaminomethyl)-2-phenylethyl
35	2068	CH	N	CH	CH	CH	1-(N-cyclopropylmethylamino-methyl)-2-phenylethyl
	2069	CH	N	CH	CH	CH	1-(aminomethyl)-2-phenylethyl
	2070	CH	N	CH	CH	CH	1-benzyl-2-(2-pyridylmethyl-2-amino)ethyl
40	2071	CH	N	CH	CH	CH	1-benzyl-2-(3-pyridylmethyl-2-amino)ethyl
	2072	CH	N	CH	CH	CH	1-benzyl-2-(4-pyridylmethyl-2-amino)ethyl
45	2073	CH	N	CH	CH	CH	2-phenyl-1-(2-pyridylmethyl-carbamoyl)ethyl
	2074	CH	N	CH	CH	CH	2-phenyl-1-(3-pyridylmethyl-carbamoyl)ethyl
	2075	CH	N	CH	CH	CH	2-phenyl-1-(4-pyridylmethyl-carbamoyl)ethyl
50	2076	CH	N	CH	CH	CH	2-hydroxy-2-phenylethyl
	2077	CH	N	CH	CH	CH	benzoylmethyl

55

(continued from Table 3)

5	2078	CH	N	CH	CH	CH	1-(benzyloxycarbonyl)-2-(3-indolyl)ethyl
	2079	CH	N	CH	CH	CH	1-(benzyloxycarbonyl)-2-cyclohexylethyl
	2080	CH	N	CH	CH	CH	1-(phenoxymethyl)-2-(3-indolyl)ethyl
10	2081	CH	N	CH	CH	CH	2-(2-methoxyphenoxy)ethyl
	2082	CH	N	CH	CH	CH	1-(benzylcarbamoyl)-2-cyclohexylethyl
15	2083	CH	N	CH	CH	CH	1-(N-methylbenzylcarbamoyl)-2-cyclohexylethyl
	2084	CH	N	CH	CH	CH	1-(phenylcarbamoyl)-2-cyclohexylethyl
	2085	CH	N	CH	CH	CH	1-(N-methylphenylcarbamoyl)-2-cyclohexylethyl
20	2086	CH	N	CH	CH	CH	1-(benzyloxycarbonyl)-2-(3-pyridyl)ethyl
	2087	CH	N	CH	CH	CH	1-(benzylaminomethyl)-2-(3-pyridyl)ethyl
25	2088	CH	N	CH	CH	CH	1-(benzylcarbamoyl)-2-(4-pyridyl)ethyl
	2089	CH	N	CH	CH	CH	1-(4-pyridylmethylcarbamoyl)-2-(4-fluorophenyl)ethyl
30	2090	CH	N	CH	CH	CH	1-(benzylcarbamoyl)-2-(7-aza-3-indolyl)ethyl
	2091	CH	N	CH	CH	CH	1-(benzyloxymethyl)-2-(2-indolyl)ethyl
35	2092	CH	N	CH	CH	CH	1-(N-benzyl-N-methylaminomethyl)-2-(3-pyridyl)ethyl
	2093	CH	N	CH	CH	CH	1-(N-methylbenzylcarbamoyl)-2-(3-pyridyl)ethyl
	2094	CH	N	CH	CH	CH	2-hydroxy-2-(4-dimethylamino-phenyl)ethyl
40	2095	CH	N	CH	CH	CH	2-hydroxy-2-(2-quinolyl)ethyl
	2096	CH	N	CH	CH	CH	2-hydroxy-2-(3-quinolyl)ethyl
	2097	CH	N	CH	CH	CH	2-hydroxy-2-(4-quinolyl)ethyl
45	2098	CH	N	CH	CH	CH	2-hydroxy-2-(3,5-difluorophenyl)ethyl
	2099	CH	N	CH	CH	CH	1-carboxy-2-cyclohexylethyl
	2100	CH	N	CH	CH	CH	2-hydroxy-2-(6-quinolyl)ethyl
50	2101	CH	N	CH	CH	CH	2-(benzylamino)-2-phenylethyl
	2102	CH	N	CH	CH	CH	2-amino-2-(2-naphthyl)propyl
	2103	CH	N	CH	CH	CH	2-(phenylamino)ethyl
	2104	CH	N	CH	CH	CH	diphenylmethyl

55

(continued from Table 3)

	2105	CH	N	CH	CH	CH	2,2-diphenylethyl
5	2106	CH	N	CH	CH	CH	2-phenyl-2-(2-pyridyl)ethyl
	2107	CH	N	CH	CH	CH	2-phenyl-2-(3-pyridyl)ethyl
	2108	CH	N	CH	CH	CH	2-phenyl-2-(4-pyridyl)ethyl
	2109	CH	N	CH	CH	CH	2-phenoxy-2-phenylethyl
10	2110	CH	N	CH	CH	CH	2-(benzyloxy)-2-phenylethyl
	2111	CH	N	CH	CH	N	1-phenyl-3-pyrrolidinyl
	2112	CH	N	CH	CH	N	1-(2-fluorophenyl)-3-pyrrolidinyl
	2113	CH	N	CH	CH	N	1-(3-fluorophenyl)-3-pyrrolidinyl
15	2114	CH	N	CH	CH	N	1-(4-fluorophenyl)-3-pyrrolidinyl
	2115	CH	N	CH	CH	N	1-(2-chlorophenyl)-3-pyrrolidinyl
	2116	CH	N	CH	CH	N	1-(3-chlorophenyl)-3-pyrrolidinyl
	2117	CH	N	CH	CH	N	1-(4-chlorophenyl)-3-pyrrolidinyl
20	2118	CH	N	CH	CH	N	1-(2-methylphenyl)-3-pyrrolidinyl
	2119	CH	N	CH	CH	N	1-(3-methylphenyl)-3-pyrrolidinyl
	2120	CH	N	CH	CH	N	1-(4-methylphenyl)-3-pyrrolidinyl
	2121	CH	N	CH	CH	N	1-(2-methoxyphenyl)-3-pyrrolidinyl
25	2122	CH	N	CH	CH	N	1-(3-methoxyphenyl)-3-pyrrolidinyl
	2123	CH	N	CH	CH	N	1-(4-methoxyphenyl)-3-pyrrolidinyl
	2124	CH	N	CH	CH	N	1-(2-trifluoromethylphenyl)-3-pyrrolidinyl
30	2125	CH	N	CH	CH	N	1-(3-trifluoromethylphenyl)-3-pyrrolidinyl
	2126	CH	N	CH	CH	N	1-(4-trifluoromethylphenyl)-3-pyrrolidinyl
35	2127	CH	N	CH	CH	N	1-(3,5-difluorophenyl)-3-pyrrolidinyl
	2128	CH	N	CH	CH	N	1-(2-difluoromethoxyphenyl)-3-pyrrolidinyl
	2129	CH	N	CH	CH	N	1-(3-difluoromethoxyphenyl)-3-pyrrolidinyl
40	2130	CH	N	CH	CH	N	1-(4-difluoromethoxyphenyl)-3-pyrrolidinyl
	2131	CH	N	CH	CH	N	1-(2-pyridyl)-3-pyrrolidinyl
45	2132	CH	N	CH	CH	N	1-(3-pyridyl)-3-pyrrolidinyl
	2133	CH	N	CH	CH	N	1-(4-pyridyl)-3-pyrrolidinyl
	2134	CH	N	CH	CH	N	1-(2-pyrimidinyl)-3-pyrrolidinyl
	2135	CH	N	CH	CH	N	5-oxo-1-phenyl-3-pyrrolidinyl
50	2136	CH	N	CH	CH	N	1-phenyl-3-piperidyl
	2137	CH	N	CH	CH	N	1-(2-fluorophenyl)-3-piperidyl
	2138	CH	N	CH	CH	N	1-(3-fluorophenyl)-3-piperidyl

55

(continued from Table 3)

	2139	CH	N	CH	CH	N	1-(4-fluorophenyl)-3-piperidyl
5	2140	CH	N	CH	CH	N	1-(2-chlorophenyl)-3-piperidyl
	2141	CH	N	CH	CH	N	1-(3-chlorophenyl)-3-piperidyl
	2142	CH	N	CH	CH	N	1-(4-chlorophenyl)-3-piperidyl
	2143	CH	N	CH	CH	N	1-(2-methylphenyl)-3-piperidyl
10	2144	CH	N	CH	CH	N	1-(3-methylphenyl)-3-piperidyl
	2145	CH	N	CH	CH	N	1-(4-methylphenyl)-3-piperidyl
	2146	CH	N	CH	CH	N	1-(2-methoxyphenyl)-3-piperidyl
	2147	CH	N	CH	CH	N	1-(3-methoxyphenyl)-3-piperidyl
15	2148	CH	N	CH	CH	N	1-(4-methoxyphenyl)-3-piperidyl
	2149	CH	N	CH	CH	N	1-(2-trifluoromethylphenyl)-3-piperidyl
	2150	CH	N	CH	CH	N	1-(3-trifluoromethylphenyl)-3-piperidyl
20	2151	CH	N	CH	CH	N	1-(4-trifluoromethylphenyl)-3-piperidyl
	2152	CH	N	CH	CH	N	1-(3,5-difluorophenyl)-3-piperidyl
25	2153	CH	N	CH	CH	N	1-(2-difluoromethoxyphenyl)-3-piperidyl
	2154	CH	N	CH	CH	N	1-(3-difluoromethoxyphenyl)-3-piperidyl
	2155	CH	N	CH	CH	N	1-(4-difluoromethoxyphenyl)-3-piperidyl
30	2156	CH	N	CH	CH	N	1-(2-pyridyl)-3-piperidyl
	2157	CH	N	CH	CH	N	1-(3-pyridyl)-3-piperidyl
	2158	CH	N	CH	CH	N	1-(4-pyridyl)-3-piperidyl
35	2159	CH	N	CH	CH	N	1-phenyl-4-piperidyl
	2160	CH	N	CH	CH	N	1-(2-fluorophenyl)-4-piperidyl
	2161	CH	N	CH	CH	N	1-(3-fluorophenyl)-4-piperidyl
	2162	CH	N	CH	CH	N	1-(4-fluorophenyl)-4-piperidyl
40	2163	CH	N	CH	CH	N	1-(2-chlorophenyl)-4-piperidyl
	2164	CH	N	CH	CH	N	1-(3-chlorophenyl)-4-piperidyl
	2165	CH	N	CH	CH	N	1-(4-chlorophenyl)-4-piperidyl
	2166	CH	N	CH	CH	N	1-(2-methylphenyl)-4-piperidyl
45	2167	CH	N	CH	CH	N	1-(3-methylphenyl)-4-piperidyl
	2168	CH	N	CH	CH	N	1-(4-methylphenyl)-4-piperidyl
	2169	CH	N	CH	CH	N	1-(2-methoxyphenyl)-4-piperidyl
	2170	CH	N	CH	CH	N	1-(3-methoxyphenyl)-4-piperidyl
50	2171	CH	N	CH	CH	N	1-(4-methoxyphenyl)-4-piperidyl
	2172	CH	N	CH	CH	N	1-(2-trifluoromethylphenyl)-4-piperidyl

55

(continued from Table 3)

5	2173	CH	N	CH	CH	N	1-(3-trifluoromethylphenyl)-4-piperidyl
	2174	CH	N	CH	CH	N	1-(4-trifluoromethylphenyl)-4-piperidyl
	2175	CH	N	CH	CH	N	1-(3,5-difluorophenyl)-4-piperidyl
10	2176	CH	N	CH	CH	N	1-(2-difluoromethoxyphenyl)-4-piperidyl
	2177	CH	N	CH	CH	N	1-(3-difluoromethoxyphenyl)-4-piperidyl
15	2178	CH	N	CH	CH	N	1-(4-difluoromethoxyphenyl)-4-piperidyl
	2179	CH	N	CH	CH	N	1-(2-pyridyl)-4-piperidyl
	2180	CH	N	CH	CH	N	1-(3-pyridyl)-4-piperidyl
	2181	CH	N	CH	CH	N	1-(4-pyridyl)-4-piperidyl
20	2182	CH	N	CH	CH	N	3-hydroxymethyl-1-phenyl-4-piperidyl
	2183	CH	N	CH	CH	N	3-methoxycarbonyl-1-phenyl-4-piperidyl
25	2184	CH	N	CH	CH	N	3-ethoxycarbonyl-1-phenyl-4-piperidyl
	2185	CH	N	CH	CH	N	3-isopropoxycarbonyl-1-phenyl-4-piperidyl
	2186	CH	N	CH	CH	N	4-phenylcyclohexyl
30	2187	CH	N	CH	CH	N	4-(2-fluorophenyl)cyclohexyl
	2188	CH	N	CH	CH	N	4-(3-fluorophenyl)cyclohexyl
	2189	CH	N	CH	CH	N	4-(4-fluorophenyl)cyclohexyl
35	2190	CH	N	CH	CH	N	4-(2-chlorophenyl)cyclohexyl
	2191	CH	N	CH	CH	N	4-(3-chlorophenyl)cyclohexyl
	2192	CH	N	CH	CH	N	4-(4-chlorophenyl)cyclohexyl
	2193	CH	N	CH	CH	N	4-(2-methylphenyl)cyclohexyl
40	2194	CH	N	CH	CH	N	4-(3-methylphenyl)cyclohexyl
	2195	CH	N	CH	CH	N	4-(4-methylphenyl)cyclohexyl
	2196	CH	N	CH	CH	N	4-(2-methoxyphenyl)cyclohexyl
	2197	CH	N	CH	CH	N	4-(3-methoxyphenyl)cyclohexyl
45	2198	CH	N	CH	CH	N	4-(4-methoxyphenyl)cyclohexyl
	2199	CH	N	CH	CH	N	4-(2-trifluoromethylphenyl)cyclohexyl
	2200	CH	N	CH	CH	N	4-(3-trifluoromethylphenyl)cyclohexyl
50	2201	CH	N	CH	CH	N	4-(4-trifluoromethylphenyl)cyclohexyl
	2202	CH	N	CH	CH	N	4-(3,5-difluorophenyl)cyclohexyl

55

(continued from Table 3)

	2203	CH	N	CH	CH	N	4-(3-acetylphenyl)cyclohexyl
5	2204	CH	N	CH	CH	N	4-(3-cyanophenyl)cyclohexyl
	2205	CH	N	CH	CH	N	4-(2-difluoromethoxyphenyl)cyclohexyl
	2206	CH	N	CH	CH	N	4-(3-difluoromethoxyphenyl)cyclohexyl
10	2207	CH	N	CH	CH	N	4-(4-difluoromethoxyphenyl)cyclohexyl
	2208	CH	N	CH	CH	N	4-(2-pyridyl)cyclohexyl
15	2209	CH	N	CH	CH	N	4-(3-pyridyl)cyclohexyl
	2210	CH	N	CH	CH	N	4-(4-pyridyl)cyclohexyl
	2211	CH	N	CH	CH	N	4-(4-fluoro-3-pyridyl)cyclohexyl
	2212	CH	N	CH	CH	N	4-(3-quinolyl)cyclohexyl
20	2213	CH	N	CH	CH	N	4-(3-fluorophenyl)-4-hydroxycyclohexyl
	2214	CH	N	CH	CH	N	3-phenylcyclohexyl
	2215	CH	N	CH	CH	N	3-phenylcyclopentyl
25	2216	CH	N	CH	CH	N	6-phenyl-3-tetrahydropyranyl
	2217	CH	N	CH	CH	N	6-(3-fluorophenyl)-3-tetrahydropyranyl
	2218	CH	N	CH	CH	N	2-phenylcyclopropyl
	2219	CH	N	CH	CH	N	2-(2-pyridyl)cyclopropyl
30	2220	CH	N	CH	CH	N	2-(3-pyridyl)cyclopropyl
	2221	CH	N	CH	CH	N	2-(4-pyridyl)cyclopropyl
	2222	CH	N	CH	CH	N	2-(3-fluorophenyl)cyclopropyl
	2223	CH	N	CH	CH	N	2-indanyl
35	2224	CH	N	CH	CH	N	2-tetrahydronaphthyl
	2225	CH	N	CH	CH	N	6-methoxy-2-tetrahydronaphthyl
	2226	CH	N	CH	CH	N	benzyl
	2227	CH	N	CH	CH	N	phenethyl
40	2228	CH	N	CH	CH	N	3-phenylpropyl
	2229	CH	N	CH	CH	N	4-phenylbutyl
	2230	CH	N	CH	CH	N	2-methoxyphenethyl
	2231	CH	N	CH	CH	N	3-methoxyphenethyl
45	2232	CH	N	CH	CH	N	4-methoxyphenethyl
	2233	CH	N	CH	CH	N	4-fluorophenethyl
	2234	CH	N	CH	CH	N	4-bromophenethyl
	2235	CH	N	CH	CH	N	4-chlorophenethyl
50	2236	CH	N	CH	CH	N	3-trifluoromethylphenethyl
	2237	CH	N	CH	CH	N	3,4-dimethoxyphenethyl

(continued from Table 3)

	2238	CH	N	CH	CH	N	3-propoxyphenethyl
5	2239	CH	N	CH	CH	N	3,5-difluorophenethyl
	2240	CH	N	CH	CH	N	4-dimethylaminophenethyl
	2241	CH	N	CH	CH	N	3-difluoromethoxyphenethyl
	2242	CH	N	CH	CH	N	2-methylphenethyl
10	2243	CH	N	CH	CH	N	4-acetylphenethyl
	2244	CH	N	CH	CH	N	4-dimethylamino-2-methoxyphenethyl
	2245	CH	N	CH	CH	N	cyclohexylethyl
	2246	CH	N	CH	CH	N	2-(2-pyridyl)ethyl
15	2247	CH	N	CH	CH	N	2-(3-pyridyl)ethyl
	2248	CH	N	CH	CH	N	2-(4-pyridyl)ethyl
	2249	CH	N	CH	CH	N	2-(2-quinolyl)ethyl
	2250	CH	N	CH	CH	N	2-(3-quinolyl)ethyl
20	2251	CH	N	CH	CH	N	2-(4-quinolyl)ethyl
	2252	CH	N	CH	CH	N	2-(6-quinolyl)ethyl
	2253	CH	N	CH	CH	N	2-(2-indolyl)ethyl
	2254	CH	N	CH	CH	N	2-(3-indolyl)ethyl
25	2255	CH	N	CH	CH	N	2-(7-aza-3-indolyl)ethyl
	2256	CH	N	CH	CH	N	2-(benzimidazolyl)ethyl
	2257	CH	N	CH	CH	N	2-(benzoxazolyl)ethyl
	2258	CH	N	CH	CH	N	2-(benzothiazolyl)ethyl
30	2259	CH	N	CH	CH	N	2-(1-naphthyl)ethyl
	2260	CH	N	CH	CH	N	2-(2-naphthyl)ethyl
	2261	CH	N	CH	CH	N	1-(hydroxymethyl)-2-phenylethyl
	2262	CH	N	CH	CH	N	1-(methoxycarbonyl)-2-phenylethyl
35	2263	CH	N	CH	CH	N	1-(ethoxycarbonyl)-2-phenylethyl
	2264	CH	N	CH	CH	N	1-carboxy-2-phenylethyl
	2265	CH	N	CH	CH	N	1-(benzyloxycarbonyl)-2-phenyl-ethyl
40	2266	CH	N	CH	CH	N	1-(phenoxymethyl)-2-phenylethyl
	2267	CH	N	CH	CH	N	1-(benzyloxymethyl)-2-phenylethyl
	2268	CH	N	CH	CH	N	1-(benzylcarbamoyl)-2-phenylethyl
	2269	CH	N	CH	CH	N	1-(N-methylbenzylcarbamoyl)-2-phenylethyl
45	2270	CH	N	CH	CH	N	1-(phenylcarbamoyl)-2-phenylethyl
	2271	CH	N	CH	CH	N	1-(N-methylphenylcarbamoyl)-2-phenylethyl
50	2272	CH	N	CH	CH	N	1-(N-benzylaminomethyl)-2-phenylethyl
	2273	CH	N	CH	CH	N	1-(N-benzyl-N-methylaminomethyl)-2-phenylethyl

55

(continued from Table 3)

5	2274	CH	N	CH	CH	N	1-(anilinomethyl)-2-phenylethyl
	2275	CH	N	CH	CH	N	1-(N-methylanilinomethyl)-2-phenylethyl
	2276	CH	N	CH	CH	N	1-(N-methylaminomethyl)-2-phenylethyl
10	2277	CH	N	CH	CH	N	1-(N-ethylaminomethyl)-2-phenylethyl
	2278	CH	N	CH	CH	N	1-(N-isobutylaminomethyl)-2-phenylethyl
15	2279	CH	N	CH	CH	N	1-(N-cyclopropylmethylamino-methyl)-2-phenylethyl
	2280	CH	N	CH	CH	N	1-(aminomethyl)-2-phenylethyl
	2281	CH	N	CH	CH	N	1-benzyl-2-(2-pyridylmethyl-amino)ethyl
20	2282	CH	N	CH	CH	N	1-benzyl-2-(3-pyridylmethyl-amino)ethyl
	2283	CH	N	CH	CH	N	1-benzyl-2-(4-pyridylmethyl-amino)ethyl
25	2284	CH	N	CH	CH	N	2-phenyl-1-(2-pyridylmethyl-carbamoyl)ethyl
	2285	CH	N	CH	CH	N	2-phenyl-1-(3-pyridylmethyl-carbamoyl)ethyl
30	2286	CH	N	CH	CH	N	2-phenyl-1-(4-pyridylmethyl-carbamoyl)ethyl
	2287	CH	N	CH	CH	N	2-hydroxy-2-phenylethyl
	2288	CH	N	CH	CH	N	benzoylmethyl
	2289	CH	N	CH	CH	N	1-(benzyloxycarbonyl)-2-(3-indolyl)ethyl
35	2290	CH	N	CH	CH	N	1-(benzyloxycarbonyl)-2-cyclohexylethyl
	2291	CH	N	CH	CH	N	1-(phenoxymethyl)-2-(3-indolyl)ethyl
40	2292	CH	N	CH	CH	N	2-(2-methoxyphenoxy)ethyl
	2293	CH	N	CH	CH	N	1-(benzylcarbamoyl)-2-cyclohexylethyl
	2294	CH	N	CH	CH	N	1-(N-methylbenzylcarbamoyl)-2-cyclohexylethyl
45	2295	CH	N	CH	CH	N	1-(phenylcarbamoyl)-2-cyclohexylethyl
	2296	CH	N	CH	CH	N	1-(N-methylphenylcarbamoyl)-2-cyclohexylethyl
50	2297	CH	N	CH	CH	N	1-(benzyloxycarbonyl)-2-(3-pyridyl)ethyl
	2298	CH	N	CH	CH	N	1-(benzylaminomethyl)-2-(3-pyridyl)ethyl

55

(continued from Table 3)

5	2299	CH	N	CH	CH	N	1-(benzylcarbamoyl)-2-(4-pyridyl)ethyl
	2300	CH	N	CH	CH	N	1-(4-pyridylmethylcarbamoyl)-2-(4-fluorophenyl)ethyl
10	2301	CH	N	CH	CH	N	1-(benzylcarbamoyl)-2-(7-aza-3-indolyl)ethyl
	2302	CH	N	CH	CH	N	1-(benzyloxymethyl)-2-(2-indolyl)ethyl
	2303	CH	N	CH	CH	N	1-(N-benzyl-N-methylaminomethyl)-2-(3-pyridyl)ethyl
15	2304	CH	N	CH	CH	N	1-(N-methylbenzylcarbamoyl)-2-(3-pyridyl)ethyl
	2305	CH	N	CH	CH	N	2-hydroxy-2-(4-dimethylamino-phenyl)ethyl
20	2306	CH	N	CH	CH	N	2-hydroxy-2-(2-quinolyl)ethyl
	2307	CH	N	CH	CH	N	2-hydroxy-2-(3-quinolyl)ethyl
	2308	CH	N	CH	CH	N	2-hydroxy-2-(4-quinolyl)ethyl
	2309	CH	N	CH	CH	N	2-hydroxy-2-(3,5-difluoro-phenyl)ethyl
25	2310	CH	N	CH	CH	N	1-carboxy-2-cyclohexylethyl
	2311	CH	N	CH	CH	N	2-hydroxy-2-(6-quinolyl)ethyl
	2312	CH	N	CH	CH	N	2-(benzylamino)-2-phenylethyl
30	2313	CH	N	CH	CH	N	2-amino-2-(2-naphthyl)propyl
	2314	CH	N	CH	CH	N	2-(phenylamino)ethyl
	2315	CH	N	CH	CH	N	diphenylmethyl
	2316	CH	N	CH	CH	N	2,2-diphenylethyl
35	2317	CH	N	CH	CH	N	2-phenyl-2-(2-pyridyl)ethyl
	2318	CH	N	CH	CH	N	2-phenyl-2-(3-pyridyl)ethyl
	2319	CH	N	CH	CH	N	2-phenyl-2-(4-pyridyl)ethyl
	2320	CH	N	CH	CH	N	2-phenoxy-2-phenylethyl
40	2321	CH	N	CH	CH	N	2-(benzyloxy)-2-phenylethyl
	2322	CH	CH	N	CH	CH	1-phenyl-3-pyrrolidinyl
	2323	CH	CH	N	CH	CH	1-(2-fluorophenyl)-3-pyrrolidinyl
	2324	CH	CH	N	CH	CH	1-(3-fluorophenyl)-3-pyrrolidinyl
45	2325	CH	CH	N	CH	CH	1-(4-fluorophenyl)-3-pyrrolidinyl
	2326	CH	CH	N	CH	CH	1-(2-chlorophenyl)-3-pyrrolidinyl
	2327	CH	CH	N	CH	CH	1-(3-chlorophenyl)-3-pyrrolidinyl
	2328	CH	CH	N	CH	CH	1-(4-chlorophenyl)-3-pyrrolidinyl
50	2329	CH	CH	N	CH	CH	1-(2-methylphenyl)-3-pyrrolidinyl
	2330	CH	CH	N	CH	CH	1-(3-methylphenyl)-3-pyrrolidinyl
	2331	CH	CH	N	CH	CH	1-(4-methylphenyl)-3-pyrrolidinyl
	2332	CH	CH	N	CH	CH	1-(2-methoxyphenyl)-3-pyrrolidinyl

55

(continued from Table 3)

	2333	CH	CH	N	CH	CH	1-(3-methoxyphenyl)-3-pyrrolidinyl
5	2334	CH	CH	N	CH	CH	1-(4-methoxyphenyl)-3-pyrrolidinyl
	2335	CH	CH	N	CH	CH	1-(2-trifluoromethylphenyl)-3-pyrrolidinyl
10	2336	CH	CH	N	CH	CH	1-(3-trifluoromethylphenyl)-3-pyrrolidinyl
	2337	CH	CH	N	CH	CH	1-(4-trifluoromethylphenyl)-3-pyrrolidinyl
15	2338	CH	CH	N	CH	CH	1-(3,5-difluorophenyl)-3-pyrrolidinyl
	2339	CH	CH	N	CH	CH	1-(2-difluoromethoxyphenyl)-3-pyrrolidinyl
	2340	CH	CH	N	CH	CH	1-(3-difluoromethoxyphenyl)-3-pyrrolidinyl
20	2341	CH	CH	N	CH	CH	1-(4-difluoromethoxyphenyl)-3-pyrrolidinyl
	2342	CH	CH	N	CH	CH	1-(2-pyridyl)-3-pyrrolidinyl
25	2343	CH	CH	N	CH	CH	1-(3-pyridyl)-3-pyrrolidinyl
	2344	CH	CH	N	CH	CH	1-(4-pyridyl)-3-pyrrolidinyl
	2345	CH	CH	N	CH	CH	1-(2-pyrimidinyl)-3-pyrrolidinyl
	2346	CH	CH	N	CH	CH	5-oxo-1-phenyl-3-pyrrolidinyl
30	2347	CH	CH	N	CH	CH	1-phenyl-3-piperidyl
	2348	CH	CH	N	CH	CH	1-(2-fluorophenyl)-3-piperidyl
	2349	CH	CH	N	CH	CH	1-(3-fluorophenyl)-3-piperidyl
	2350	CH	CH	N	CH	CH	1-(4-fluorophenyl)-3-piperidyl
35	2351	CH	CH	N	CH	CH	1-(2-chlorophenyl)-3-piperidyl
	2352	CH	CH	N	CH	CH	1-(3-chlorophenyl)-3-piperidyl
	2353	CH	CH	N	CH	CH	1-(4-chlorophenyl)-3-piperidyl
	2354	CH	CH	N	CH	CH	1-(2-methylphenyl)-3-piperidyl
40	2355	CH	CH	N	CH	CH	1-(3-methylphenyl)-3-piperidyl
	2356	CH	CH	N	CH	CH	1-(4-methylphenyl)-3-piperidyl
	2357	CH	CH	N	CH	CH	1-(2-methoxyphenyl)-3-piperidyl
	2358	CH	CH	N	CH	CH	1-(3-methoxyphenyl)-3-piperidyl
45	2359	CH	CH	N	CH	CH	1-(4-methoxyphenyl)-3-piperidyl
	2360	CH	CH	N	CH	CH	1-(2-trifluoromethylphenyl)-3-piperidyl
	2361	CH	CH	N	CH	CH	1-(3-trifluoromethylphenyl)-3-piperidyl
50	2362	CH	CH	N	CH	CH	1-(4-trifluoromethylphenyl)-3-piperidyl

55

(continued from Table 3)

5	2363	CH	CH	N	CH	CH	1-(3,5-difluorophenyl)-3-piperidyl
	2364	CH	CH	N	CH	CH	1-(2-difluoromethoxyphenyl)-3-piperidyl
	2365	CH	CH	N	CH	CH	1-(3-difluoromethoxyphenyl)-3-piperidyl
10	2366	CH	CH	N	CH	CH	1-(4-difluoromethoxyphenyl)-3-piperidyl
	2367	CH	CH	N	CH	CH	1-(2-pyridyl)-3-piperidyl
	2368	CH	CH	N	CH	CH	1-(3-pyridyl)-3-piperidyl
15	2369	CH	CH	N	CH	CH	1-(4-pyridyl)-3-piperidyl
	2370	CH	CH	N	CH	CH	1-phenyl-4-piperidyl
	2371	CH	CH	N	CH	CH	1-(2-fluorophenyl)-4-piperidyl
	2372	CH	CH	N	CH	CH	1-(3-fluorophenyl)-4-piperidyl
20	2373	CH	CH	N	CH	CH	1-(4-fluorophenyl)-4-piperidyl
	2374	CH	CH	N	CH	CH	1-(2-chlorophenyl)-4-piperidyl
	2375	CH	CH	N	CH	CH	1-(3-chlorophenyl)-4-piperidyl
	2376	CH	CH	N	CH	CH	1-(4-chlorophenyl)-4-piperidyl
25	2377	CH	CH	N	CH	CH	1-(2-methylphenyl)-4-piperidyl
	2378	CH	CH	N	CH	CH	1-(3-methylphenyl)-4-piperidyl
	2379	CH	CH	N	CH	CH	1-(4-methylphenyl)-4-piperidyl
	2380	CH	CH	N	CH	CH	1-(2-methoxyphenyl)-4-piperidyl
30	2381	CH	CH	N	CH	CH	1-(3-methoxyphenyl)-4-piperidyl
	2382	CH	CH	N	CH	CH	1-(4-methoxyphenyl)-4-piperidyl
	2383	CH	CH	N	CH	CH	1-(2-trifluoromethylphenyl)-4-piperidyl
35	2384	CH	CH	N	CH	CH	1-(3-trifluoromethylphenyl)-4-piperidyl
	2385	CH	CH	N	CH	CH	1-(4-trifluoromethylphenyl)-4-piperidyl
	2386	CH	CH	N	CH	CH	1-(3,5-difluorophenyl)-4-piperidyl
40	2387	CH	CH	N	CH	CH	1-(2-difluoromethoxyphenyl)-4-piperidyl
	2388	CH	CH	N	CH	CH	1-(3-difluoromethoxyphenyl)-4-piperidyl
45	2389	CH	CH	N	CH	CH	1-(4-difluoromethoxyphenyl)-4-piperidyl
	2390	CH	CH	N	CH	CH	1-(2-pyridyl)-4-piperidyl
	2391	CH	CH	N	CH	CH	1-(3-pyridyl)-4-piperidyl
50	2392	CH	CH	N	CH	CH	1-(4-pyridyl)-4-piperidyl
	2393	CH	CH	N	CH	CH	3-hydroxymethyl-1-phenyl-4-piperidyl

55

(continued from Table 3)

5	2394	CH	CH	N	CH	CH	3-methoxycarbonyl-1-phenyl-4-piperidyl
	2395	CH	CH	N	CH	CH	3-ethoxycarbonyl-1-phenyl-4-piperidyl
	2396	CH	CH	N	CH	CH	3-isopropoxycarbonyl-1-phenyl-4-piperidyl
10	2397	CH	CH	N	CH	CH	4-phenylcyclohexyl
	2398	CH	CH	N	CH	CH	4-(2-fluorophenyl)cyclohexyl
	2399	CH	CH	N	CH	CH	4-(3-fluorophenyl)cyclohexyl
15	2400	CH	CH	N	CH	CH	4-(4-fluorophenyl)cyclohexyl
	2401	CH	CH	N	CH	CH	4-(2-chlorophenyl)cyclohexyl
	2402	CH	CH	N	CH	CH	4-(3-chlorophenyl)cyclohexyl
	2403	CH	CH	N	CH	CH	4-(4-chlorophenyl)cyclohexyl
20	2404	CH	CH	N	CH	CH	4-(2-methylphenyl)cyclohexyl
	2405	CH	CH	N	CH	CH	4-(3-methylphenyl)cyclohexyl
	2406	CH	CH	N	CH	CH	4-(4-methylphenyl)cyclohexyl
	2407	CH	CH	N	CH	CH	4-(2-methoxyphenyl)cyclohexyl
25	2408	CH	CH	N	CH	CH	4-(3-methoxyphenyl)cyclohexyl
	2409	CH	CH	N	CH	CH	4-(4-methoxyphenyl)cyclohexyl
	2410	CH	CH	N	CH	CH	4-(2-trifluoromethylphenyl)cyclohexyl
30	2411	CH	CH	N	CH	CH	4-(3-trifluoromethylphenyl)cyclohexyl
	2412	CH	CH	N	CH	CH	4-(4-trifluoromethylphenyl)cyclohexyl
	2413	CH	CH	N	CH	CH	4-(3,5-difluorophenyl)cyclohexyl
35	2414	CH	CH	N	CH	CH	4-(3-acetylphenyl)cyclohexyl
	2415	CH	CH	N	CH	CH	4-(3-cyanophenyl)cyclohexyl
	2416	CH	CH	N	CH	CH	4-(2-difluoromethoxyphenyl)cyclohexyl
40	2417	CH	CH	N	CH	CH	4-(3-difluoromethoxyphenyl)cyclohexyl
	2418	CH	CH	N	CH	CH	4-(4-difluoromethoxyphenyl)cyclohexyl
45	2419	CH	CH	N	CH	CH	4-(2-pyridyl)cyclohexyl
	2420	CH	CH	N	CH	CH	4-(3-pyridyl)cyclohexyl
	2421	CH	CH	N	CH	CH	4-(4-pyridyl)cyclohexyl
	2422	CH	CH	N	CH	CH	4-(4-fluoro-3-pyridyl)cyclohexyl
50	2423	CH	CH	N	CH	CH	4-(3-quinolyl)cyclohexyl
	2424	CH	CH	N	CH	CH	4-(3-fluorophenyl)-4-hydroxy-cyclohexyl
	2425	CH	CH	N	CH	CH	3-phenylcyclohexyl

(continued from Table 3)

5	2426	CH	CH	N	CH	CH	3-phenylcyclopentyl
	2427	CH	CH	N	CH	CH	6-phenyl-3-tetrahydropyranyl
	2428	CH	CH	N	CH	CH	6-(3-fluorophenyl)-3-tetrahydro- pyranyl
	2429	CH	CH	N	CH	CH	2-phenylcyclopropyl
10	2430	CH	CH	N	CH	CH	2-(2-pyridyl)cyclopropyl
	2431	CH	CH	N	CH	CH	2-(3-pyridyl)cyclopropyl
	2432	CH	CH	N	CH	CH	2-(4-pyridyl)cyclopropyl
	2433	CH	CH	N	CH	CH	2-(3-fluorophenyl)cyclopropyl
15	2434	CH	CH	N	CH	CH	2-indanyl
	2435	CH	CH	N	CH	CH	2-tetrahydronaphthyl
	2436	CH	CH	N	CH	CH	6-methoxy-2-tetrahydronaphthyl
	2437	CH	CH	N	CH	CH	benzyl
20	2438	CH	CH	N	CH	CH	phenethyl
	2439	CH	CH	N	CH	CH	3-phenylpropyl
	2440	CH	CH	N	CH	CH	4-phenylbutyl
	2441	CH	CH	N	CH	CH	2-methoxyphenethyl
25	2442	CH	CH	N	CH	CH	3-methoxyphenethyl
	2443	CH	CH	N	CH	CH	4-methoxyphenethyl
	2444	CH	CH	N	CH	CH	4-fluorophenethyl
	2445	CH	CH	N	CH	CH	4-bromophenethyl
30	2446	CH	CH	N	CH	CH	4-chlorophenethyl
	2447	CH	CH	N	CH	CH	3-trifluoromethylphenethyl
	2448	CH	CH	N	CH	CH	3,4-dimethoxyphenethyl
	2449	CH	CH	N	CH	CH	3-propoxyphenethyl
35	2450	CH	CH	N	CH	CH	3,5-difluorophenethyl
	2451	CH	CH	N	CH	CH	4-dimethylaminophenethyl
	2452	CH	CH	N	CH	CH	3-difluoromethoxyphenethyl
	2453	CH	CH	N	CH	CH	2-methylphenethyl
40	2454	CH	CH	N	CH	CH	4-acetylphenethyl
	2455	CH	CH	N	CH	CH	4-dimethylamino-2-methoxyphenethyl
	2456	CH	CH	N	CH	CH	cyclohexylethyl
45	2457	CH	CH	N	CH	CH	2-(2-pyridyl)ethyl
	2458	CH	CH	N	CH	CH	2-(3-pyridyl)ethyl
	2459	CH	CH	N	CH	CH	2-(4-pyridyl)ethyl
	2460	CH	CH	N	CH	CH	2-(2-quinolyl)ethyl
50	2461	CH	CH	N	CH	CH	2-(3-quinolyl)ethyl
	2462	CH	CH	N	CH	CH	2-(4-quinolyl)ethyl
	2463	CH	CH	N	CH	CH	2-(6-quinolyl)ethyl

55

(continued from Table 3)

2464	CH	CH	N	CH	CH	2-(2-indolyl)ethyl
2465	CH	CH	N	CH	CH	2-(3-indolyl)ethyl
2466	CH	CH	N	CH	CH	2-(7-aza-3-indolyl)ethyl
2467	CH	CH	N	CH	CH	2-(benzimidazolyl)ethyl
2468	CH	CH	N	CH	CH	2-(benzoxazolyl)ethyl
2469	CH	CH	N	CH	CH	2-(benzothiazolyl)ethyl
2470	CH	CH	N	CH	CH	2-(1-naphthyl)ethyl
2471	CH	CH	N	CH	CH	2-(2-naphthyl)ethyl
2472	CH	CH	N	CH	CH	1-(hydroxymethyl)-2-phenylethyl
2473	CH	CH	N	CH	CH	1-(methoxycarbonyl)-2-phenylethyl
2474	CH	CH	N	CH	CH	1-(ethoxycarbonyl)-2-phenylethyl
2475	CH	CH	N	CH	CH	1-carboxy-2-phenylethyl
2476	CH	CH	N	CH	CH	1-(benzyloxycarbonyl)-2-phenyl-ethyl
2477	CH	CH	N	CH	CH	1-(phenoxymethyl)-2-phenylethyl
2478	CH	CH	N	CH	CH	1-(benzyloxymethyl)-2-phenylethyl
2479	CH	CH	N	CH	CH	1-(benzylcarbamoyl)-2-phenylethyl
2480	CH	CH	N	CH	CH	1-(N-methylbenzylcarbamoyl)-2-phenylethyl
2481	CH	CH	N	CH	CH	1-(phenylcarbamoyl)-2-phenylethyl
2482	CH	CH	N	CH	CH	1-(N-methylphenylcarbamoyl)-2-phenylethyl
2483	CH	CH	N	CH	CH	1-(N-benzylaminomethyl)-2-phenyl-ethyl
2484	CH	CH	N	CH	CH	1-(N-benzyl-N-methylaminomethyl)-2-phenylethyl
2485	CH	CH	N	CH	CH	1-(anilinomethyl)-2-phenylethyl
2486	CH	CH	N	CH	CH	1-(N-methylanilinomethyl)-2-phenylethyl
2487	CH	CH	N	CH	CH	1-(N-methylaminomethyl)-2-phenylethyl
2488	CH	CH	N	CH	CH	1-(N-ethylaminomethyl)-2-phenylethyl
2489	CH	CH	N	CH	CH	1-(N-isobutylaminomethyl)-2-phenylethyl
2490	CH	CH	N	CH	CH	1-(N-cyclopropylmethylamino-methyl)-2-phenylethyl
2491	CH	CH	N	CH	CH	1-(aminomethyl)-2-phenylethyl
2492	CH	CH	N	CH	CH	1-benzyl-2-(2-pyridylmethyl-amino)ethyl
2493	CH	CH	N	CH	CH	1-benzyl-2-(3-pyridylmethyl-amino)ethyl

(continued from Table 3)

5	2494	CH	CH	N	CH	CH	1-benzyl-2-(4-pyridylmethyl-amino)ethyl
	2495	CH	CH	N	CH	CH	2-phenyl-1-(2-pyridylmethyl-carbamoyl)ethyl
	2496	CH	CH	N	CH	CH	2-phenyl-1-(3-pyridylmethyl-carbamoyl)ethyl
10	2497	CH	CH	N	CH	CH	2-phenyl-1-(4-pyridylmethyl-carbamoyl)ethyl
	2498	CH	CH	N	CH	CH	2-hydroxy-2-phenylethyl
	2499	CH	CH	N	CH	CH	benzoylmethyl
15	2500	CH	CH	N	CH	CH	1-(benzyloxycarbonyl)-2-(3-indolyl)ethyl
	2501	CH	CH	N	CH	CH	1-(benzyloxycarbonyl)-2-cyclohexylethyl
20	2502	CH	CH	N	CH	CH	1-(phenoxymethyl)-2-(3-indolyl)ethyl
	2503	CH	CH	N	CH	CH	2-(2-methoxyphenoxy)ethyl
	2504	CH	CH	N	CH	CH	1-(benzylcarbamoyl)-2-cyclohexylethyl
25	2505	CH	CH	N	CH	CH	1-(N-methylbenzylcarbamoyl)-2-cyclohexylethyl
	2506	CH	CH	N	CH	CH	1-(phenylcarbamoyl)-2-cyclohexylethyl
30	2507	CH	CH	N	CH	CH	1-(N-methylphenylcarbamoyl)-2-cyclohexylethyl
	2508	CH	CH	N	CH	CH	1-(benzyloxycarbonyl)-2-(3-pyridyl)ethyl
35	2509	CH	CH	N	CH	CH	1-(benzylaminomethyl)-2-(3-pyridyl)ethyl
	2510	CH	CH	N	CH	CH	1-(benzylcarbamoyl)-2-(4-pyridyl)ethyl
40	2511	CH	CH	N	CH	CH	1-(4-pyridylmethylcarbamoyl)-2-(4-fluorophenyl)ethyl
	2512	CH	CH	N	CH	CH	1-(benzylcarbamoyl)-2-(7-aza-3-indolyl)ethyl
	2513	CH	CH	N	CH	CH	1-(benzyloxymethyl)-2-(2-indolyl)ethyl
45	2514	CH	CH	N	CH	CH	1-(N-benzyl-N-methylaminomethyl)-2-(3-pyridyl)ethyl
	2515	CH	CH	N	CH	CH	1-(N-methylbenzylcarbamoyl)-2-(3-pyridyl)ethyl
50	2516	CH	CH	N	CH	CH	2-hydroxy-2-(4-dimethylamino-phenyl)ethyl
	2517	CH	CH	N	CH	CH	2-hydroxy-2-(2-quinolyl)ethyl

55

(continued from Table 3)

5	2518	CH	CH	N	CH	CH	2-hydroxy-2-(3-quinolyl)ethyl
	2519	CH	CH	N	CH	CH	2-hydroxy-2-(4-quinolyl)ethyl
	2520	CH	CH	N	CH	CH	2-hydroxy-2-(3,5-difluoro-phenyl)ethyl
	2521	CH	CH	N	CH	CH	1-carboxy-2-cyclohexylethyl
10	2522	CH	CH	N	CH	CH	2-hydroxy-2-(6-quinolyl)ethyl
	2523	CH	CH	N	CH	CH	2-(benzylamino)-2-phenylethyl
	2524	CH	CH	N	CH	CH	2-amino-2-(2-naphthyl)propyl
	2525	CH	CH	N	CH	CH	2-(phenylamino)ethyl
15	2526	CH	CH	N	CH	CH	diphenylmethyl
	2527	CH	CH	N	CH	CH	2,2-diphenylethyl
	2528	CH	CH	N	CH	CH	2-phenyl-2-(2-pyridyl)ethyl
	2529	CH	CH	N	CH	CH	2-phenyl-2-(3-pyridyl)ethyl
20	2530	CH	CH	N	CH	CH	2-phenyl-2-(4-pyridyl)ethyl
	2531	CH	CH	N	CH	CH	2-phenoxy-2-phenylethyl
	2532	CH	CH	N	CH	CH	2-(benzyloxy)-2-phenylethyl
	2533	CH	CH	N	CH	N	1-phenyl-3-pyrrolidinyl
25	2534	CH	CH	N	CH	N	1-(2-fluorophenyl)-3-pyrrolidinyl
	2535	CH	CH	N	CH	N	1-(3-fluorophenyl)-3-pyrrolidinyl
	2536	CH	CH	N	CH	N	1-(4-fluorophenyl)-3-pyrrolidinyl
	2537	CH	CH	N	CH	N	1-(2-chlorophenyl)-3-pyrrolidinyl
30	2538	CH	CH	N	CH	N	1-(3-chlorophenyl)-3-pyrrolidinyl
	2539	CH	CH	N	CH	N	1-(4-chlorophenyl)-3-pyrrolidinyl
	2540	CH	CH	N	CH	N	1-(2-methylphenyl)-3-pyrrolidinyl
	2541	CH	CH	N	CH	N	1-(3-methylphenyl)-3-pyrrolidinyl
35	2542	CH	CH	N	CH	N	1-(4-methylphenyl)-3-pyrrolidinyl
	2543	CH	CH	N	CH	N	1-(2-methoxyphenyl)-3-pyrrolidinyl
	2544	CH	CH	N	CH	N	1-(3-methoxyphenyl)-3-pyrrolidinyl
	2545	CH	CH	N	CH	N	1-(4-methoxyphenyl)-3-pyrrolidinyl
40	2546	CH	CH	N	CH	N	1-(2-trifluoromethylphenyl)-3-pyrrolidinyl
	2547	CH	CH	N	CH	N	1-(3-trifluoromethylphenyl)-3-pyrrolidinyl
45	2548	CH	CH	N	CH	N	1-(4-trifluoromethylphenyl)-3-pyrrolidinyl
	2549	CH	CH	N	CH	N	1-(3,5-difluorophenyl)-3-pyrrolidinyl
50	2550	CH	CH	N	CH	N	1-(2-difluoromethoxyphenyl)-3-pyrrolidinyl
	2551	CH	CH	N	CH	N	1-(3-difluoromethoxyphenyl)-3-pyrrolidinyl

55

(continued from Table 3)

5	2552	CH	CH	N	CH	N	1-(4-difluoromethoxyphenyl)-3-pyrrolidinyl
	2553	CH	CH	N	CH	N	1-(2-pyridyl)-3-pyrrolidinyl
	2554	CH	CH	N	CH	N	1-(3-pyridyl)-3-pyrrolidinyl
	2555	CH	CH	N	CH	N	1-(4-pyridyl)-3-pyrrolidinyl
10	2556	CH	CH	N	CH	N	1-(2-pyrimidinyl)-3-pyrrolidinyl
	2557	CH	CH	N	CH	N	5-oxo-1-phenyl-3-pyrrolidinyl
	2558	CH	CH	N	CH	N	1-phenyl-3-piperidyl
	2559	CH	CH	N	CH	N	1-(2-fluorophenyl)-3-piperidyl
15	2560	CH	CH	N	CH	N	1-(3-fluorophenyl)-3-piperidyl
	2561	CH	CH	N	CH	N	1-(4-fluorophenyl)-3-piperidyl
	2562	CH	CH	N	CH	N	1-(2-chlorophenyl)-3-piperidyl
	2563	CH	CH	N	CH	N	1-(3-chlorophenyl)-3-piperidyl
20	2564	CH	CH	N	CH	N	1-(4-chlorophenyl)-3-piperidyl
	2565	CH	CH	N	CH	N	1-(2-methylphenyl)-3-piperidyl
	2566	CH	CH	N	CH	N	1-(3-methylphenyl)-3-piperidyl
	2567	CH	CH	N	CH	N	1-(4-methylphenyl)-3-piperidyl
25	2568	CH	CH	N	CH	N	1-(2-methoxyphenyl)-3-piperidyl
	2569	CH	CH	N	CH	N	1-(3-methoxyphenyl)-3-piperidyl
	2570	CH	CH	N	CH	N	1-(4-methoxyphenyl)-3-piperidyl
30	2571	CH	CH	N	CH	N	1-(2-trifluoromethylphenyl)-3-piperidyl
	2572	CH	CH	N	CH	N	1-(3-trifluoromethylphenyl)-3-piperidyl
	2573	CH	CH	N	CH	N	1-(4-trifluoromethylphenyl)-3-piperidyl
35	2574	CH	CH	N	CH	N	1-(3,5-difluorophenyl)-3-piperidyl
	2575	CH	CH	N	CH	N	1-(2-difluoromethoxyphenyl)-3-piperidyl
	2576	CH	CH	N	CH	N	1-(3-difluoromethoxyphenyl)-3-piperidyl
40	2577	CH	CH	N	CH	N	1-(4-difluoromethoxyphenyl)-3-piperidyl
	2578	CH	CH	N	CH	N	1-(2-pyridyl)-3-piperidyl
45	2579	CH	CH	N	CH	N	1-(3-pyridyl)-3-piperidyl
	2580	CH	CH	N	CH	N	1-(4-pyridyl)-3-piperidyl
	2581	CH	CH	N	CH	N	1-phenyl-4-piperidyl
	2582	CH	CH	N	CH	N	1-(2-fluorophenyl)-4-piperidyl
50	2583	CH	CH	N	CH	N	1-(3-fluorophenyl)-4-piperidyl
	2584	CH	CH	N	CH	N	1-(4-fluorophenyl)-4-piperidyl
	2585	CH	CH	N	CH	N	1-(2-chlorophenyl)-4-piperidyl

55

(continued from Table 3)

5	2586	CH	CH	N	CH	N	1-(3-chlorophenyl)-4-piperidyl
	2587	CH	CH	N	CH	N	1-(4-chlorophenyl)-4-piperidyl
	2588	CH	CH	N	CH	N	1-(2-methylphenyl)-4-piperidyl
	2589	CH	CH	N	CH	N	1-(3-methylphenyl)-4-piperidyl
	2590	CH	CH	N	CH	N	1-(4-methylphenyl)-4-piperidyl
10	2591	CH	CH	N	CH	N	1-(2-methoxyphenyl)-4-piperidyl
	2592	CH	CH	N	CH	N	1-(3-methoxyphenyl)-4-piperidyl
	2593	CH	CH	N	CH	N	1-(4-methoxyphenyl)-4-piperidyl
	2594	CH	CH	N	CH	N	1-(2-trifluoromethylphenyl)-4-piperidyl
15	2595	CH	CH	N	CH	N	1-(3-trifluoromethylphenyl)-4-piperidyl
	2596	CH	CH	N	CH	N	1-(4-trifluoromethylphenyl)-4-piperidyl
20	2597	CH	CH	N	CH	N	1-(3,5-difluorophenyl)-4-piperidyl
	2598	CH	CH	N	CH	N	1-(2-difluoromethoxyphenyl)-4-piperidyl
25	2599	CH	CH	N	CH	N	1-(3-difluoromethoxyphenyl)-4-piperidyl
	2600	CH	CH	N	CH	N	1-(4-difluoromethoxyphenyl)-4-piperidyl
30	2601	CH	CH	N	CH	N	1-(2-pyridyl)-4-piperidyl
	2602	CH	CH	N	CH	N	1-(3-pyridyl)-4-piperidyl
	2603	CH	CH	N	CH	N	1-(4-pyridyl)-4-piperidyl
	2604	CH	CH	N	CH	N	3-hydroxymethyl-1-phenyl-4-piperidyl
35	2605	CH	CH	N	CH	N	3-methoxycarbonyl-1-phenyl-4-piperidyl
	2606	CH	CH	N	CH	N	3-ethoxycarbonyl-1-phenyl-4-piperidyl
40	2607	CH	CH	N	CH	N	3-isopropoxycarbonyl-1-phenyl-4-piperidyl
	2608	CH	CH	N	CH	N	4-phenylcyclohexyl
	2609	CH	CH	N	CH	N	4-(2-fluorophenyl)cyclohexyl
45	2610	CH	CH	N	CH	N	4-(3-fluorophenyl)cyclohexyl
	2611	CH	CH	N	CH	N	4-(4-fluorophenyl)cyclohexyl
	2612	CH	CH	N	CH	N	4-(2-chlorophenyl)cyclohexyl
	2613	CH	CH	N	CH	N	4-(3-chlorophenyl)cyclohexyl
50	2614	CH	CH	N	CH	N	4-(4-chlorophenyl)cyclohexyl
	2615	CH	CH	N	CH	N	4-(2-methylphenyl)cyclohexyl
	2616	CH	CH	N	CH	N	4-(3-methylphenyl)cyclohexyl

55

(continued from Table 3)

	2617	CH	CH	N	CH	N	4-(4-methylphenyl)cyclohexyl
5	2618	CH	CH	N	CH	N	4-(2-methoxyphenyl)cyclohexyl
	2619	CH	CH	N	CH	N	4-(3-methoxyphenyl)cyclohexyl
	2620	CH	CH	N	CH	N	4-(4-methoxyphenyl)cyclohexyl
10	2621	CH	CH	N	CH	N	4-(2-trifluoromethylphenyl)cyclohexyl
	2622	CH	CH	N	CH	N	4-(3-trifluoromethylphenyl)cyclohexyl
	2623	CH	CH	N	CH	N	4-(4-trifluoromethylphenyl)cyclohexyl
15	2624	CH	CH	N	CH	N	4-(3,5-difluorophenyl)cyclohexyl
	2625	CH	CH	N	CH	N	4-(3-acetylphenyl)cyclohexyl
	2626	CH	CH	N	CH	N	4-(3-cyanophenyl)cyclohexyl
20	2627	CH	CH	N	CH	N	4-(2-difluoromethoxyphenyl)cyclohexyl
	2628	CH	CH	N	CH	N	4-(3-difluoromethoxyphenyl)cyclohexyl
	2629	CH	CH	N	CH	N	4-(4-difluoromethoxyphenyl)cyclohexyl
25	2630	CH	CH	N	CH	N	4-(2-pyridyl)cyclohexyl
	2631	CH	CH	N	CH	N	4-(3-pyridyl)cyclohexyl
	2632	CH	CH	N	CH	N	4-(4-pyridyl)cyclohexyl
30	2633	CH	CH	N	CH	N	4-(4-fluoro-3-pyridyl)cyclohexyl
	2634	CH	CH	N	CH	N	4-(3-quinolyl)cyclohexyl
	2635	CH	CH	N	CH	N	4-(3-fluorophenyl)-4-hydroxy-cyclohexyl
35	2636	CH	CH	N	CH	N	3-phenylcyclohexyl
	2637	CH	CH	N	CH	N	3-phenylcyclopentyl
	2638	CH	CH	N	CH	N	6-phenyl-3-tetrahydropyranyl
	2639	CH	CH	N	CH	N	6-(3-fluorophenyl)-3-tetrahydropyranyl
40	2640	CH	CH	N	CH	N	2-phenylcyclopropyl
	2641	CH	CH	N	CH	N	2-(2-pyridyl)cyclopropyl
	2642	CH	CH	N	CH	N	2-(3-pyridyl)cyclopropyl
45	2643	CH	CH	N	CH	N	2-(4-pyridyl)cyclopropyl
	2644	CH	CH	N	CH	N	2-(3-fluorophenyl)cyclopropyl
	2645	CH	CH	N	CH	N	2-indanyl
	2646	CH	CH	N	CH	N	2-tetrahydronaphthyl
50	2647	CH	CH	N	CH	N	6-methoxy-2-tetrahydronaphthyl
	2648	CH	CH	N	CH	N	benzyl
	2649	CH	CH	N	CH	N	phenethyl

(continued from Table 3)

5	2650	CH	CH	N	CH	N	3-phenylpropyl
	2651	CH	CH	N	CH	N	4-phenylbutyl
	2652	CH	CH	N	CH	N	2-methoxyphenethyl
	2653	CH	CH	N	CH	N	3-methoxyphenethyl
	2654	CH	CH	N	CH	N	4-methoxyphenethyl
10	2655	CH	CH	N	CH	N	4-fluorophenethyl
	2656	CH	CH	N	CH	N	4-bromophenethyl
	2657	CH	CH	N	CH	N	4-chlorophenethyl
	2658	CH	CH	N	CH	N	3-trifluoromethylphenethyl
15	2659	CH	CH	N	CH	N	3,4-dimethoxyphenethyl
	2660	CH	CH	N	CH	N	3-propoxyphenethyl
	2661	CH	CH	N	CH	N	3,5-difluorophenethyl
	2662	CH	CH	N	CH	N	4-dimethylaminophenethyl
20	2663	CH	CH	N	CH	N	3-difluoromethoxyphenethyl
	2664	CH	CH	N	CH	N	2-methylphenethyl
	2665	CH	CH	N	CH	N	4-acetylphenethyl
	2666	CH	CH	N	CH	N	4-dimethylamino-2-methoxyphenethyl
25	2667	CH	CH	N	CH	N	cyclohexylethyl
	2668	CH	CH	N	CH	N	2-(2-pyridyl)ethyl
	2669	CH	CH	N	CH	N	2-(3-pyridyl)ethyl
	2670	CH	CH	N	CH	N	2-(4-pyridyl)ethyl
30	2671	CH	CH	N	CH	N	2-(2-quinolyl)ethyl
	2672	CH	CH	N	CH	N	2-(3-quinolyl)ethyl
	2673	CH	CH	N	CH	N	2-(4-quinolyl)ethyl
	2674	CH	CH	N	CH	N	2-(6-quinolyl)ethyl
35	2675	CH	CH	N	CH	N	2-(2-indolyl)ethyl
	2676	CH	CH	N	CH	N	2-(3-indolyl)ethyl
	2677	CH	CH	N	CH	N	2-(7-aza-3-indolyl)ethyl
	2678	CH	CH	N	CH	N	2-(benzimidazolyl)ethyl
40	2679	CH	CH	N	CH	N	2-(benzoxazolyl)ethyl
	2680	CH	CH	N	CH	N	2-(benzothiazolyl)ethyl
	2681	CH	CH	N	CH	N	2-(1-naphthyl)ethyl
	2682	CH	CH	N	CH	N	2-(2-naphthyl)ethyl
45	2683	CH	CH	N	CH	N	1-(hydroxymethyl)-2-phenylethyl
	2684	CH	CH	N	CH	N	1-(methoxycarbonyl)-2-phenylethyl
	2685	CH	CH	N	CH	N	1-(ethoxycarbonyl)-2-phenylethyl
	2686	CH	CH	N	CH	N	1-carboxy-2-phenylethyl
50	2687	CH	CH	N	CH	N	1-(benzyloxycarbonyl)-2-phenyl-ethyl

55

(continued from Table 3)

5	2688	CH	CH	N	CH	N	1-(phenoxyethyl)-2-phenylethyl
	2689	CH	CH	N	CH	N	1-(benzyloxymethyl)-2-phenylethyl
	2690	CH	CH	N	CH	N	1-(benzylcarbamoyl)-2-phenylethyl
	2691	CH	CH	N	CH	N	1-(N-methylbenzylcarbamoyl)-2-phenylethyl
10	2692	CH	CH	N	CH	N	1-(phenylcarbamoyl)-2-phenylethyl
	2693	CH	CH	N	CH	N	1-(N-methylphenylcarbamoyl)-2-phenylethyl
15	2694	CH	CH	N	CH	N	1-(N-benzylaminomethyl)-2-phenylethyl
	2695	CH	CH	N	CH	N	1-(N-benzyl-N-methylamino-methyl)-2-phenylethyl
	2696	CH	CH	N	CH	N	1-(anilinomethyl)-2-phenylethyl
	2697	CH	CH	N	CH	N	1-(N-methylanilinomethyl)-2-phenylethyl
20	2698	CH	CH	N	CH	N	1-(N-methylaminomethyl)-2-phenylethyl
	2699	CH	CH	N	CH	N	1-(N-ethylaminomethyl)-2-phenylethyl
25	2700	CH	CH	N	CH	N	1-(N-isobutylaminomethyl)-2-phenylethyl
	2701	CH	CH	N	CH	N	1-(N-cyclopropylmethylamino-methyl)-2-phenylethyl
30	2702	CH	CH	N	CH	N	1-(aminomethyl)-2-phenylethyl
	2703	CH	CH	N	CH	N	1-benzyl-2-(2-pyridylmethyl-amino)ethyl
35	2704	CH	CH	N	CH	N	1-benzyl-2-(3-pyridylmethyl-amino)ethyl
	2705	CH	CH	N	CH	N	1-benzyl-2-(4-pyridylmethyl-amino)ethyl
40	2706	CH	CH	N	CH	N	2-phenyl-1-(2-pyridylmethyl-carbamoyl)ethyl
	2707	CH	CH	N	CH	N	2-phenyl-1-(3-pyridylmethyl-carbamoyl)ethyl
	2708	CH	CH	N	CH	N	2-phenyl-1-(4-pyridylmethyl-carbamoyl)ethyl
45	2709	CH	CH	N	CH	N	2-hydroxy-2-phenylethyl
	2710	CH	CH	N	CH	N	benzoylmethyl
50	2711	CH	CH	N	CH	N	1-(benzyloxycarbonyl)-2-(3-indolyl)ethyl
	2712	CH	CH	N	CH	N	1-(benzyloxycarbonyl)-2-cyclohexylethyl
	2713	CH	CH	N	CH	N	1-(phenoxyethyl)-2-(3-indolyl)ethyl

55

(continued from Table 3)

	2714	CH	CH	N	CH	N	2-(2-methoxyphenoxy)ethyl
5	2715	CH	CH	N	CH	N	1-(benzylcarbamoyl)-2-cyclohexylethyl
	2716	CH	CH	N	CH	N	1-(N-methylbenzylcarbamoyl)-2-cyclohexylethyl
10	2717	CH	CH	N	CH	N	1-(phenylcarbamoyl)-2-cyclohexylethyl
	2718	CH	CH	N	CH	N	1-(N-methylphenylcarbamoyl)-2-cyclohexylethyl
15	2719	CH	CH	N	CH	N	1-(benzyloxycarbonyl)-2-(3-pyridyl)ethyl
	2720	CH	CH	N	CH	N	1-(benzylaminomethyl)-2-(3-pyridyl)ethyl
20	2721	CH	CH	N	CH	N	1-(benzylcarbamoyl)-2-4-pyridyl)ethyl
	2722	CH	CH	N	CH	N	1-(4-pyridylmethylcarbamoyl)-2-(4-fluorophenyl)ethyl
	2723	CH	CH	N	CH	N	1-(benzylcarbamoyl)-2-(7-aza-3-indolyl)ethyl
25	2724	CH	CH	N	CH	N	1-(benzyloxymethyl)-2-(2-indolyl)ethyl
	2725	CH	CH	N	CH	N	1-(N-benzyl-N-methylaminomethyl)-2-(3-pyridyl)ethyl
30	2726	CH	CH	N	CH	N	1-(N-methylbenzylcarbamoyl)-2-(3-pyridyl)ethyl
	2727	CH	CH	N	CH	N	2-hydroxy-2-(4-dimethylamino-phenyl)ethyl
	2728	CH	CH	N	CH	N	2-hydroxy-2-(2-quinolyl)ethyl
35	2729	CH	CH	N	CH	N	2-hydroxy-2-(3-quinolyl)ethyl
	2730	CH	CH	N	CH	N	2-hydroxy-2-(4-quinolyl)ethyl
	2731	CH	CH	N	CH	N	2-hydroxy-2-(3,5-difluorophenyl)ethyl
40	2732	CH	CH	N	CH	N	1-carboxy-2-cyclohexylethyl
	2733	CH	CH	N	CH	N	2-hydroxy-2-(6-quinolyl)ethyl
	2734	CH	CH	N	CH	N	2-(benzylamino)-2-phenylethyl
	2735	CH	CH	N	CH	N	2-amino-2-(2-naphthyl)propyl
45	2736	CH	CH	N	CH	N	2-(phenylamino)ethyl
	2737	CH	CH	N	CH	N	diphenylmethyl
	2738	CH	CH	N	CH	N	2,2-diphenylethyl
	2739	CH	CH	N	CH	N	2-phenyl-2-(2-pyridyl)ethyl
50	2740	CH	CH	N	CH	N	2-phenyl-2-(3-pyridyl)ethyl
	2741	CH	CH	N	CH	N	2-phenyl-2-(4-pyridyl)ethyl
	2742	CH	CH	N	CH	N	2-phenoxy-2-phenylethyl

55

(continued from Table 3)

	2743	CH	CH	N	CH	N	2-(benzyloxy)-2-phenylethyl
5	2744	CH	CH	CH	N	CH	1-phenyl-3-pyrrolidinyl
	2745	CH	CH	CH	N	CH	1-(2-fluorophenyl)-3-pyrrolidinyl
	2746	CH	CH	CH	N	CH	1-(3-fluorophenyl)-3-pyrrolidinyl
	2747	CH	CH	CH	N	CH	1-(4-fluorophenyl)-3-pyrrolidinyl
10	2748	CH	CH	CH	N	CH	1-(2-chlorophenyl)-3-pyrrolidinyl
	2749	CH	CH	CH	N	CH	1-(3-chlorophenyl)-3-pyrrolidinyl
	2750	CH	CH	CH	N	CH	1-(4-chlorophenyl)-3-pyrrolidinyl
	2751	CH	CH	CH	N	CH	1-(2-methylphenyl)-3-pyrrolidinyl
15	2752	CH	CH	CH	N	CH	1-(3-methylphenyl)-3-pyrrolidinyl
	2753	CH	CH	CH	N	CH	1-(4-methylphenyl)-3-pyrrolidinyl
	2754	CH	CH	CH	N	CH	1-(2-methoxyphenyl)-3-pyrrolidinyl
20	2755	CH	CH	CH	N	CH	1-(3-methoxyphenyl)-3-pyrrolidinyl
	2756	CH	CH	CH	N	CH	1-(4-methoxyphenyl)-3-pyrrolidinyl
25	2757	CH	CH	CH	N	CH	1-(2-trifluoromethylphenyl)-3-pyrrolidinyl
	2758	CH	CH	CH	N	CH	1-(3-trifluoromethylphenyl)-3-pyrrolidinyl
	2759	CH	CH	CH	N	CH	1-(4-trifluoromethylphenyl)-3-pyrrolidinyl
30	2760	CH	CH	CH	N	CH	1-(3,5-difluorophenyl)-3-pyrrolidinyl
	2761	CH	CH	CH	N	CH	1-(2-difluoromethoxyphenyl)-3-pyrrolidinyl
35	2762	CH	CH	CH	N	CH	1-(3-difluoromethoxyphenyl)-3-pyrrolidinyl
	2763	CH	CH	CH	N	CH	1-(4-difluoromethoxyphenyl)-3-pyrrolidinyl
40	2764	CH	CH	CH	N	CH	1-(2-pyridyl)-3-pyrrolidinyl
	2765	CH	CH	CH	N	CH	1-(3-pyridyl)-3-pyrrolidinyl
	2766	CH	CH	CH	N	CH	1-(4-pyridyl)-3-pyrrolidinyl
	2767	CH	CH	CH	N	CH	1-(2-pyrimidinyl)-3-pyrrolidinyl
45	2768	CH	CH	CH	N	CH	5-oxo-1-phenyl-3-pyrrolidinyl
	2769	CH	CH	CH	N	CH	1-phenyl-3-piperidyl
	2770	CH	CH	CH	N	CH	1-(2-fluorophenyl)-3-piperidyl
	2771	CH	CH	CH	N	CH	1-(3-fluorophenyl)-3-piperidyl
50	2772	CH	CH	CH	N	CH	1-(4-fluorophenyl)-3-piperidyl
	2773	CH	CH	CH	N	CH	1-(2-chlorophenyl)-3-piperidyl
	2774	CH	CH	CH	N	CH	1-(3-chlorophenyl)-3-piperidyl

55

(continued from Table 3)

5	2775	CH	CH	CH	N	CH	1-(4-chlorophenyl)-3-piperidyl
	2776	CH	CH	CH	N	CH	1-(2-methylphenyl)-3-piperidyl
	2777	CH	CH	CH	N	CH	1-(3-methylphenyl)-3-piperidyl
	2778	CH	CH	CH	N	CH	1-(4-methylphenyl)-3-piperidyl
	2779	CH	CH	CH	N	CH	1-(2-methoxyphenyl)-3-piperidyl
10	2780	CH	CH	CH	N	CH	1-(3-methoxyphenyl)-3-piperidyl
	2781	CH	CH	CH	N	CH	1-(4-methoxyphenyl)-3-piperidyl
	2782	CH	CH	CH	N	CH	1-(2-trifluoromethylphenyl)-3-piperidyl
15	2783	CH	CH	CH	N	CH	1-(3-trifluoromethylphenyl)-3-piperidyl
	2784	CH	CH	CH	N	CH	1-(4-trifluoromethylphenyl)-3-piperidyl
20	2785	CH	CH	CH	N	CH	1-(3,5-difluorophenyl)-3-piperidyl
	2786	CH	CH	CH	N	CH	1-(2-difluoromethoxyphenyl)-3-piperidyl
	2787	CH	CH	CH	N	CH	1-(3-difluoromethoxyphenyl)-3-piperidyl
25	2788	CH	CH	CH	N	CH	1-(4-difluoromethoxyphenyl)-3-piperidyl
	2789	CH	CH	CH	N	CH	1-(2-pyridyl)-3-piperidyl
30	2790	CH	CH	CH	N	CH	1-(3-pyridyl)-3-piperidyl
	2791	CH	CH	CH	N	CH	1-(4-pyridyl)-3-piperidyl
	2792	CH	CH	CH	N	CH	1-phenyl-4-piperidyl
	2793	CH	CH	CH	N	CH	1-(2-fluorophenyl)-4-piperidyl
35	2794	CH	CH	CH	N	CH	1-(3-fluorophenyl)-4-piperidyl
	2795	CH	CH	CH	N	CH	1-(4-fluorophenyl)-4-piperidyl
	2796	CH	CH	CH	N	CH	1-(2-chlorophenyl)-4-piperidyl
	2797	CH	CH	CH	N	CH	1-(3-chlorophenyl)-4-piperidyl
40	2798	CH	CH	CH	N	CH	1-(4-chlorophenyl)-4-piperidyl
	2799	CH	CH	CH	N	CH	1-(2-methylphenyl)-4-piperidyl
	2800	CH	CH	CH	N	CH	1-(3-methylphenyl)-4-piperidyl
	2801	CH	CH	CH	N	CH	1-(4-methylphenyl)-4-piperidyl
45	2802	CH	CH	CH	N	CH	1-(2-methoxyphenyl)-4-piperidyl
	2803	CH	CH	CH	N	CH	1-(3-methoxyphenyl)-4-piperidyl
	2804	CH	CH	CH	N	CH	1-(4-methoxyphenyl)-4-piperidyl
	2805	CH	CH	CH	N	CH	1-(2-trifluoromethylphenyl)-4-piperidyl
50	2806	CH	CH	CH	N	CH	1-(3-trifluoromethylphenyl)-4-piperidyl

55

(continued from Table 3)

5	2807	CH	CH	CH	N	CH	1-(4-trifluoromethylphenyl)-4-piperidyl
	2808	CH	CH	CH	N	CH	1-(3,5-difluorophenyl)-4-piperidyl
	2809	CH	CH	CH	N	CH	1-(2-difluoromethoxyphenyl)-4-piperidyl
10	2810	CH	CH	CH	N	CH	1-(3-difluoromethoxyphenyl)-4-piperidyl
	2811	CH	CH	CH	N	CH	1-(4-difluoromethoxyphenyl)-4-piperidyl
15	2812	CH	CH	CH	N	CH	1-(2-pyridyl)-4-piperidyl
	2813	CH	CH	CH	N	CH	1-(3-pyridyl)-4-piperidyl
	2814	CH	CH	CH	N	CH	1-(4-pyridyl)-4-piperidyl
	2815	CH	CH	CH	N	CH	3-hydroxymethyl-1-phenyl-4-piperidyl
20	2816	CH	CH	CH	N	CH	3-methoxycarbonyl-1-phenyl-4-piperidyl
	2817	CH	CH	CH	N	CH	3-ethoxycarbonyl-1-phenyl-4-piperidyl
25	2818	CH	CH	CH	N	CH	3-isopropoxycarbonyl-1-phenyl-4-piperidyl
	2819	CH	CH	CH	N	CH	4-phenylcyclohexyl
	2820	CH	CH	CH	N	CH	4-(2-fluorophenyl)cyclohexyl
30	2821	CH	CH	CH	N	CH	4-(3-fluorophenyl)cyclohexyl
	2822	CH	CH	CH	N	CH	4-(4-fluorophenyl)cyclohexyl
	2823	CH	CH	CH	N	CH	4-(2-chlorophenyl)cyclohexyl
	2824	CH	CH	CH	N	CH	4-(3-chlorophenyl)cyclohexyl
35	2825	CH	CH	CH	N	CH	4-(4-chlorophenyl)cyclohexyl
	2826	CH	CH	CH	N	CH	4-(2-methylphenyl)cyclohexyl
	2827	CH	CH	CH	N	CH	4-(3-methylphenyl)cyclohexyl
	2828	CH	CH	CH	N	CH	4-(4-methylphenyl)cyclohexyl
40	2829	CH	CH	CH	N	CH	4-(2-methoxyphenyl)cyclohexyl
	2830	CH	CH	CH	N	CH	4-(3-methoxyphenyl)cyclohexyl
	2831	CH	CH	CH	N	CH	4-(4-methoxyphenyl)cyclohexyl
	2832	CH	CH	CH	N	CH	4-(2-trifluoromethylphenyl)cyclohexyl
45	2833	CH	CH	CH	N	CH	4-(3-trifluoromethylphenyl)cyclohexyl
	2834	CH	CH	CH	N	CH	4-(4-trifluoromethylphenyl)cyclohexyl
50	2835	CH	CH	CH	N	CH	4-(3,5-difluorophenyl)cyclohexyl
	2836	CH	CH	CH	N	CH	4-(3-acetylphenyl)cyclohexyl

55

(continued from Table 3)

5	2837	CH	CH	CH	N	CH	4-(3-cyanophenyl)cyclohexyl
	2838	CH	CH	CH	N	CH	4-(2-difluoromethoxyphenyl)cyclohexyl
	2839	CH	CH	CH	N	CH	4-(3-difluoromethoxyphenyl)cyclohexyl
10	2840	CH	CH	CH	N	CH	4-(4-difluoromethoxyphenyl)cyclohexyl
	2841	CH	CH	CH	N	CH	4-(2-pyridyl)cyclohexyl
	2842	CH	CH	CH	N	CH	4-(3-pyridyl)cyclohexyl
15	2843	CH	CH	CH	N	CH	4-(4-pyridyl)cyclohexyl
	2844	CH	CH	CH	N	CH	4-(4-fluoro-3-pyridyl)cyclohexyl
	2845	CH	CH	CH	N	CH	4-(3-quinolyl)cyclohexyl
	2846	CH	CH	CH	N	CH	4-(3-fluorophenyl)-4-hydroxy-cyclohexyl
20	2847	CH	CH	CH	N	CH	3-phenylcyclohexyl
	2848	CH	CH	CH	N	CH	3-phenylcyclopentyl
	2849	CH	CH	CH	N	CH	6-phenyl-3-tetrahydropyranyl
25	2850	CH	CH	CH	N	CH	6-(3-fluorophenyl)-3-tetrahydropyranyl
	2851	CH	CH	CH	N	CH	2-phenylcyclopropyl
	2852	CH	CH	CH	N	CH	2-(2-pyridyl)cyclopropyl
	2853	CH	CH	CH	N	CH	2-(3-pyridyl)cyclopropyl
30	2854	CH	CH	CH	N	CH	2-(4-pyridyl)cyclopropyl
	2855	CH	CH	CH	N	CH	2-(3-fluorophenyl)cyclopropyl
	2856	CH	CH	CH	N	CH	2-indanyl
	2857	CH	CH	CH	N	CH	2-tetrahydronaphthyl
35	2858	CH	CH	CH	N	CH	6-methoxy-2-tetrahydronaphthyl
	2859	CH	CH	CH	N	CH	benzyl
	2860	CH	CH	CH	N	CH	phenethyl
40	2861	CH	CH	CH	N	CH	3-phenylpropyl
	2862	CH	CH	CH	N	CH	4-phenylbutyl
	2863	CH	CH	CH	N	CH	2-methoxyphenethyl
	2864	CH	CH	CH	N	CH	3-methoxyphenethyl
	2865	CH	CH	CH	N	CH	4-methoxyphenethyl
45	2866	CH	CH	CH	N	CH	4-fluorophenethyl
	2867	CH	CH	CH	N	CH	4-bromophenethyl
	2868	CH	CH	CH	N	CH	4-chlorophenethyl
50	2869	CH	CH	CH	N	CH	3-trifluoromethylphenethyl
	2870	CH	CH	CH	N	CH	3,4-dimethoxyphenethyl
	2871	CH	CH	CH	N	CH	3-propoxyphenethyl

55

(continued from Table 3)

	2872	CH	CH	CH	N	CH	3,5-difluorophenethyl
5	2873	CH	CH	CH	N	CH	4-dimethylaminophenethyl
	2874	CH	CH	CH	N	CH	3-difluoromethoxyphenethyl
	2875	CH	CH	CH	N	CH	2-methylphenethyl
	2876	CH	CH	CH	N	CH	4-acetylphenethyl
10	2877	CH	CH	CH	N	CH	4-dimethylamino-2-methoxy- phenethyl
	2878	CH	CH	CH	N	CH	cyclohexylethyl
	2879	CH	CH	CH	N	CH	2-(2-pyridyl)ethyl
15	2880	CH	CH	CH	N	CH	2-(3-pyridyl)ethyl
	2881	CH	CH	CH	N	CH	2-(4-pyridyl)ethyl
	2882	CH	CH	CH	N	CH	2-(2-quinolyl)ethyl
	2883	CH	CH	CH	N	CH	2-(3-quinolyl)ethyl
20	2884	CH	CH	CH	N	CH	2-(4-quinolyl)ethyl
	2885	CH	CH	CH	N	CH	2-(6-quinolyl)ethyl
	2886	CH	CH	CH	N	CH	2-(2-indolyl)ethyl
	2887	CH	CH	CH	N	CH	2-(3-indolyl)ethyl
25	2888	CH	CH	CH	N	CH	2-(7-aza-3-indolyl)ethyl
	2889	CH	CH	CH	N	CH	2-(benzimidazolyl)ethyl
	2890	CH	CH	CH	N	CH	2-(benzoxazolyl)ethyl
	2891	CH	CH	CH	N	CH	2-(benzothiazolyl)ethyl
30	2892	CH	CH	CH	N	CH	2-(1-naphthyl)ethyl
	2893	CH	CH	CH	N	CH	2-(2-naphthyl)ethyl
	2894	CH	CH	CH	N	CH	1-(hydroxymethyl)-2-phenylethyl
	2895	CH	CH	CH	N	CH	1-(methoxycarbonyl)-2-phenylethyl
35	2896	CH	CH	CH	N	CH	1-(ethoxycarbonyl)-2-phenylethyl
	2897	CH	CH	CH	N	CH	1-carboxy-2-phenylethyl
	2898	CH	CH	CH	N	CH	1-(benzyloxycarbonyl)-2- phenylethyl
40	2899	CH	CH	CH	N	CH	1-(phenoxymethyl)-2-phenylethyl
	2900	CH	CH	CH	N	CH	1-(benzyloxymethyl)-2-phenyl- ethyl
	2901	CH	CH	CH	N	CH	1-(benzylcarbamoyl)-2-phenylethyl
45	2902	CH	CH	CH	N	CH	1-(N-methylbenzylcarbamoyl)-2- phenylethyl
	2903	CH	CH	CH	N	CH	1-(phenylcarbamoyl)-2-phenyl- ethyl
50	2904	CH	CH	CH	N	CH	1-(N-methylphenylcarbamoyl)-2- phenylethyl
	2905	CH	CH	CH	N	CH	1-(N-benzylaminomethyl)-2- phenylethyl

55

(continued from Table 3)

5	2906	CH	CH	CH	N	CH	1-(N-benzyl-N-methylamino-methyl)-2-phenylethyl
	2907	CH	CH	CH	N	CH	1-(anilinomethyl)-2-phenylethyl
	2908	CH	CH	CH	N	CH	1-(N-methylanilinomethyl)-2-phenylethyl
10	2909	CH	CH	CH	N	CH	1-(N-methylaminomethyl)-2-phenylethyl
	2910	CH	CH	CH	N	CH	1-(N-ethylaminomethyl)-2-phenylethyl
15	2911	CH	CH	CH	N	CH	1-(N-isobutylaminomethyl)-2-phenylethyl
	2912	CH	CH	CH	N	CH	1-(N-cyclopropylmethylaminomethyl)-2-phenylethyl
	2913	CH	CH	CH	N	CH	1-(aminomethyl)-2-phenylethyl
20	2914	CH	CH	CH	N	CH	1-benzyl-2-(2-pyridylmethyl-amino)ethyl
	2915	CH	CH	CH	N	CH	1-benzyl-2-(3-pyridylmethyl-amino)ethyl
25	2916	CH	CH	CH	N	CH	1-benzyl-2-(4-pyridylmethyl-amino)ethyl
	2917	CH	CH	CH	N	CH	2-phenyl-1-(2-pyridylmethyl-carbamoyl)ethyl
	2918	CH	CH	CH	N	CH	2-phenyl-1-(3-pyridylmethyl-carbamoyl)ethyl
30	2919	CH	CH	CH	N	CH	2-phenyl-1-(4-pyridylmethyl-carbamoyl)ethyl
	2920	CH	CH	CH	N	CH	2-hydroxy-2-phenylethyl
35	2921	CH	CH	CH	N	CH	benzoylmethyl
	2922	CH	CH	CH	N	CH	1-(benzyloxycarbonyl)-2-(3-indolyl)ethyl
	2923	CH	CH	CH	N	CH	1-(benzyloxycarbonyl)-2-cyclohexylethyl
40	2924	CH	CH	CH	N	CH	1-(phenoxymethyl)-2-(3-indolyl)ethyl
	2925	CH	CH	CH	N	CH	2-(2-methoxyphenoxy)ethyl
	2926	CH	CH	CH	N	CH	1-(benzylcarbamoyl)-2-cyclohexylethyl
45	2927	CH	CH	CH	N	CH	1-(N-methylbenzylcarbamoyl)-2-cyclohexylethyl
	2928	CH	CH	CH	N	CH	1-(phenylcarbamoyl)-2-cyclohexylethyl
50	2929	CH	CH	CH	N	CH	1-(N-methylphenylcarbamoyl)-2-cyclohexylethyl
	2930	CH	CH	CH	N	CH	1-(benzyloxycarbonyl)-2-(3-pyridyl)ethyl

55

(continued from Table 3)

5	2931	CH	CH	CH	N	CH	1-(benzylaminomethyl)-2-(3-pyridyl)ethyl
	2932	CH	CH	CH	N	CH	1-(benzylcarbamoyl)-2-(4-pyridyl)ethyl
	2933	CH	CH	CH	N	CH	1-(4-pyridylmethylcarbamoyl)-2-(4-fluorophenyl)ethyl
10	2934	CH	CH	CH	N	CH	1-(benzylcarbamoyl)-2-(7-aza-3-indolyl)ethyl
	2935	CH	CH	CH	N	CH	1-(benzyloxymethyl)-2-(2-indolyl)ethyl
15	2936	CH	CH	CH	N	CH	1-(N-benzyl-N-methylaminomethyl)-2-(3-pyridyl)ethyl
	2937	CH	CH	CH	N	CH	1-(N-methylbenzylcarbamoyl)-2-(3-pyridyl)ethyl
20	2938	CH	CH	CH	N	CH	2-hydroxy-2-(4-dimethylamino-phenyl)ethyl
	2939	CH	CH	CH	N	CH	2-hydroxy-2-(2-quinolyl)ethyl
	2940	CH	CH	CH	N	CH	2-hydroxy-2-(3-quinolyl)ethyl
25	2941	CH	CH	CH	N	CH	2-hydroxy-2-(4-quinolyl)ethyl
	2942	CH	CH	CH	N	CH	2-hydroxy-2-(3,5-difluoro-phenyl)ethyl
	2943	CH	CH	CH	N	CH	1-carboxy-2-cyclohexylethyl
	2944	CH	CH	CH	N	CH	2-hydroxy-2-(6-quinolyl)ethyl
30	2945	CH	CH	CH	N	CH	2-(benzylamino)-2-phenylethyl
	2946	CH	CH	CH	N	CH	2-amino-2-(2-naphthyl)propyl
	2947	CH	CH	CH	N	CH	2-(phenylamino)ethyl
	2948	CH	CH	CH	N	CH	diphenylmethyl
35	2949	CH	CH	CH	N	CH	2,2-diphenylethyl
	2950	CH	CH	CH	N	CH	2-phenyl-2-(2-pyridyl)ethyl
	2951	CH	CH	CH	N	CH	2-phenyl-2-(3-pyridyl)ethyl
	2952	CH	CH	CH	N	CH	2-phenyl-2-(4-pyridyl)ethyl
40	2953	CH	CH	CH	N	CH	2-phenoxy-2-phenylethyl
	2954	CH	CH	CH	N	CH	2-(benzyloxy)-2-phenylethyl
	2955	CH	CH	CH	N	N	1-phenyl-3-pyrrolidinyl
45	2956	CH	CH	CH	N	N	1-(2-fluorophenyl)-3-pyrrolidinyl
	2957	CH	CH	CH	N	N	1-(3-fluorophenyl)-3-pyrrolidinyl
	2958	CH	CH	CH	N	N	1-(4-fluorophenyl)-3-pyrrolidinyl
	2959	CH	CH	CH	N	N	1-(2-chlorophenyl)-3-pyrrolidinyl
50	2960	CH	CH	CH	N	N	1-(3-chlorophenyl)-3-pyrrolidinyl
	2961	CH	CH	CH	N	N	1-(4-chlorophenyl)-3-pyrrolidinyl
	2962	CH	CH	CH	N	N	1-(2-methylphenyl)-3-pyrrolidinyl
	2963	CH	CH	CH	N	N	1-(3-methylphenyl)-3-pyrrolidinyl

55

(continued from Table 3)

5	2964	CH	CH	CH	N	N	1-(4-methylphenyl)-3-pyrrolidinyl
	2965	CH	CH	CH	N	N	1-(2-methoxyphenyl)-3-pyrrolidinyl
	2966	CH	CH	CH	N	N	1-(3-methoxyphenyl)-3-pyrrolidinyl
	2967	CH	CH	CH	N	N	1-(4-methoxyphenyl)-3-pyrrolidinyl
10	2968	CH	CH	CH	N	N	1-(2-trifluoromethylphenyl)-3-pyrrolidinyl
	2969	CH	CH	CH	N	N	1-(3-trifluoromethylphenyl)-3-pyrrolidinyl
	2970	CH	CH	CH	N	N	1-(4-trifluoromethylphenyl)-3-pyrrolidinyl
15	2971	CH	CH	CH	N	N	1-(3,5-difluorophenyl)-3-pyrrolidinyl
	2972	CH	CH	CH	N	N	1-(2-difluoromethoxyphenyl)-3-pyrrolidinyl
20	2973	CH	CH	CH	N	N	1-(3-difluoromethoxyphenyl)-3-pyrrolidinyl
	2974	CH	CH	CH	N	N	1-(4-difluoromethoxyphenyl)-3-pyrrolidinyl
25	2975	CH	CH	CH	N	N	1-(2-pyridyl)-3-pyrrolidinyl
	2976	CH	CH	CH	N	N	1-(3-pyridyl)-3-pyrrolidinyl
	2977	CH	CH	CH	N	N	1-(4-pyridyl)-3-pyrrolidinyl
	2978	CH	CH	CH	N	N	1-(2-pyrimidinyl)-3-pyrrolidinyl
30	2979	CH	CH	CH	N	N	5-oxo-1-phenyl-3-pyrrolidinyl
	2980	CH	CH	CH	N	N	1-phenyl-3-piperidyl
	2981	CH	CH	CH	N	N	1-(2-fluorophenyl)-3-piperidyl
	2982	CH	CH	CH	N	N	1-(3-fluorophenyl)-3-piperidyl
35	2983	CH	CH	CH	N	N	1-(4-fluorophenyl)-3-piperidyl
	2984	CH	CH	CH	N	N	1-(2-chlorophenyl)-3-piperidyl
	2985	CH	CH	CH	N	N	1-(3-chlorophenyl)-3-piperidyl
	2986	CH	CH	CH	N	N	1-(4-chlorophenyl)-3-piperidyl
40	2987	CH	CH	CH	N	N	1-(2-methylphenyl)-3-piperidyl
	2988	CH	CH	CH	N	N	1-(3-methylphenyl)-3-piperidyl
	2989	CH	CH	CH	N	N	1-(4-methylphenyl)-3-piperidyl
	2990	CH	CH	CH	N	N	1-(2-methoxyphenyl)-3-piperidyl
45	2991	CH	CH	CH	N	N	1-(3-methoxyphenyl)-3-piperidyl
	2992	CH	CH	CH	N	N	1-(4-methoxyphenyl)-3-piperidyl
	2993	CH	CH	CH	N	N	1-(2-trifluoromethylphenyl)-3-piperidyl
50	2994	CH	CH	CH	N	N	1-(3-trifluoromethylphenyl)-3-piperidyl
	2995	CH	CH	CH	N	N	1-(4-trifluoromethylphenyl)-3-piperidyl

55

(continued from Table 3)

5	2996	CH	CH	CH	N	N	1-(3,5-difluorophenyl)-3-piperidyl
	2997	CH	CH	CH	N	N	1-(2-difluoromethoxyphenyl)-3-piperidyl
	2998	CH	CH	CH	N	N	1-(3-difluoromethoxyphenyl)-3-piperidyl
10	2999	CH	CH	CH	N	N	1-(4-difluoromethoxyphenyl)-3-piperidyl
	3000	CH	CH	CH	N	N	1-(2-pyridyl)-3-piperidyl
	3001	CH	CH	CH	N	N	1-(3-pyridyl)-3-piperidyl
15	3002	CH	CH	CH	N	N	1-(4-pyridyl)-3-piperidyl
	3003	CH	CH	CH	N	N	1-phenyl-4-piperidyl
	3004	CH	CH	CH	N	N	1-(2-fluorophenyl)-4-piperidyl
	3005	CH	CH	CH	N	N	1-(3-fluorophenyl)-4-piperidyl
20	3006	CH	CH	CH	N	N	1-(4-fluorophenyl)-4-piperidyl
	3007	CH	CH	CH	N	N	1-(2-chlorophenyl)-4-piperidyl
	3008	CH	CH	CH	N	N	1-(3-chlorophenyl)-4-piperidyl
	3009	CH	CH	CH	N	N	1-(4-chlorophenyl)-4-piperidyl
25	3010	CH	CH	CH	N	N	1-(2-methylphenyl)-4-piperidyl
	3011	CH	CH	CH	N	N	1-(3-methylphenyl)-4-piperidyl
	3012	CH	CH	CH	N	N	1-(4-methylphenyl)-4-piperidyl
	3013	CH	CH	CH	N	N	1-(2-methoxyphenyl)-4-piperidyl
30	3014	CH	CH	CH	N	N	1-(3-methoxyphenyl)-4-piperidyl
	3015	CH	CH	CH	N	N	1-(4-methoxyphenyl)-4-piperidyl
	3016	CH	CH	CH	N	N	1-(2-trifluoromethylphenyl)-4-piperidyl
35	3017	CH	CH	CH	N	N	1-(3-trifluoromethylphenyl)-4-piperidyl
	3018	CH	CH	CH	N	N	1-(4-trifluoromethylphenyl)-4-piperidyl
40	3019	CH	CH	CH	N	N	1-(3,5-difluorophenyl)-4-piperidyl
	3020	CH	CH	CH	N	N	1-(2-difluoromethoxyphenyl)-4-piperidyl
	3021	CH	CH	CH	N	N	1-(3-difluoromethoxyphenyl)-4-piperidyl
45	3022	CH	CH	CH	N	N	1-(4-difluoromethoxyphenyl)-4-piperidyl
	3023	CH	CH	CH	N	N	1-(2-pyridyl)-4-piperidyl
50	3024	CH	CH	CH	N	N	1-(3-pyridyl)-4-piperidyl
	3025	CH	CH	CH	N	N	1-(4-pyridyl)-4-piperidyl
	3026	CH	CH	CH	N	N	3-hydroxymethyl-1-phenyl-4-piperidyl

(continued from Table 3)

5	3027	CH	CH	CH	N	N	3-methoxycarbonyl-1-phenyl-4-piperidyl
	3028	CH	CH	CH	N	N	3-ethoxycarbonyl-1-phenyl-4-piperidyl
	3029	CH	CH	CH	N	N	3-isopropoxycarbonyl-1-phenyl-4-piperidyl
10	3030	CH	CH	CH	N	N	4-phenylcyclohexyl
	3031	CH	CH	CH	N	N	4-(2-fluorophenyl)cyclohexyl
	3032	CH	CH	CH	N	N	4-(3-fluorophenyl)cyclohexyl
15	3033	CH	CH	CH	N	N	4-(4-fluorophenyl)cyclohexyl
	3034	CH	CH	CH	N	N	4-(2-chlorophenyl)cyclohexyl
	3035	CH	CH	CH	N	N	4-(3-chlorophenyl)cyclohexyl
	3036	CH	CH	CH	N	N	4-(4-chlorophenyl)cyclohexyl
20	3037	CH	CH	CH	N	N	4-(2-methylphenyl)cyclohexyl
	3038	CH	CH	CH	N	N	4-(3-methylphenyl)cyclohexyl
	3039	CH	CH	CH	N	N	4-(4-methylphenyl)cyclohexyl
	3040	CH	CH	CH	N	N	4-(2-methoxyphenyl)cyclohexyl
25	3041	CH	CH	CH	N	N	4-(3-methoxyphenyl)cyclohexyl
	3042	CH	CH	CH	N	N	4-(4-methoxyphenyl)cyclohexyl
	3043	CH	CH	CH	N	N	4-(2-trifluoromethylphenyl)cyclohexyl
30	3044	CH	CH	CH	N	N	4-(3-trifluoromethylphenyl)cyclohexyl
	3045	CH	CH	CH	N	N	4-(4-trifluoromethylphenyl)cyclohexyl
	3046	CH	CH	CH	N	N	4-(3,5-difluorophenyl)cyclohexyl
35	3047	CH	CH	CH	N	N	4-(3-acetylphenyl)cyclohexyl
	3048	CH	CH	CH	N	N	4-(3-cyanophenyl)cyclohexyl
	3049	CH	CH	CH	N	N	4-(2-difluoromethoxyphenyl)cyclohexyl
40	3050	CH	CH	CH	N	N	4-(3-difluoromethoxyphenyl)cyclohexyl
	3051	CH	CH	CH	N	N	4-(4-difluoromethoxyphenyl)cyclohexyl
	3052	CH	CH	CH	N	N	4-(2-pyridyl)cyclohexyl
45	3053	CH	CH	CH	N	N	4-(3-pyridyl)cyclohexyl
	3054	CH	CH	CH	N	N	4-(4-pyridyl)cyclohexyl
	3055	CH	CH	CH	N	N	4-(4-fluoro-3-pyridyl)cyclohexyl
50	3056	CH	CH	CH	N	N	4-(3-quinolyl)cyclohexyl
	3057	CH	CH	CH	N	N	4-(3-fluorophenyl)-4-hydroxy-cyclohexyl
	3058	CH	CH	CH	N	N	3-phenylcyclohexyl

55

(continued from Table 3)

	3059	CH	CH	CH	N	N	3-phenylcyclopentyl
5	3060	CH	CH	CH	N	N	6-phenyl-3-tetrahydropyranyl
	3061	CH	CH	CH	N	N	6-(3-fluorophenyl)-3-tetrahydro- pyranyl
	3062	CH	CH	CH	N	N	2-phenylcyclopropyl
10	3063	CH	CH	CH	N	N	2-(2-pyridyl)cyclopropyl
	3064	CH	CH	CH	N	N	2-(3-pyridyl)cyclopropyl
	3065	CH	CH	CH	N	N	2-(4-pyridyl)cyclopropyl
	3066	CH	CH	CH	N	N	2-(3-fluorophenyl)cyclopropyl
15	3067	CH	CH	CH	N	N	2-indanyl
	3068	CH	CH	CH	N	N	2-tetrahydronaphthyl
	3069	CH	CH	CH	N	N	6-methoxy-2-tetrahydronaphthyl
	3070	CH	CH	CH	N	N	benzyl
20	3071	CH	CH	CH	N	N	phenethyl
	3072	CH	CH	CH	N	N	3-phenylpropyl
	3073	CH	CH	CH	N	N	4-phenylbutyl
	3074	CH	CH	CH	N	N	2-methoxyphenethyl
25	3075	CH	CH	CH	N	N	3-methoxyphenethyl
	3076	CH	CH	CH	N	N	4-methoxyphenethyl
	3077	CH	CH	CH	N	N	4-fluorophenethyl
	3078	CH	CH	CH	N	N	4-bromophenethyl
30	3079	CH	CH	CH	N	N	4-chlorophenethyl
	3080	CH	CH	CH	N	N	3-trifluoromethylphenethyl
	3081	CH	CH	CH	N	N	3,4-dimethoxyphenethyl
	3082	CH	CH	CH	N	N	3-propoxyphenethyl
35	3083	CH	CH	CH	N	N	3,5-difluorophenethyl
	3084	CH	CH	CH	N	N	4-dimethylaminophenethyl
	3085	CH	CH	CH	N	N	3-difluoromethoxyphenethyl
	3086	CH	CH	CH	N	N	2-methylphenethyl
40	3087	CH	CH	CH	N	N	4-acetylphenethyl
	3088	CH	CH	CH	N	N	4-dimethylamino-2-methoxyphenethyl
	3089	CH	CH	CH	N	N	cyclohexylethyl
	3090	CH	CH	CH	N	N	2-(2-pyridyl)ethyl
45	3091	CH	CH	CH	N	N	2-(3-pyridyl)ethyl
	3092	CH	CH	CH	N	N	2-(4-pyridyl)ethyl
	3093	CH	CH	CH	N	N	2-(2-quinolyl)ethyl
	3094	CH	CH	CH	N	N	2-(3-quinolyl)ethyl
50	3095	CH	CH	CH	N	N	2-(4-quinolyl)ethyl
	3096	CH	CH	CH	N	N	2-(6-quinolyl)ethyl

55

(continued from Table 3)

	3097	CH	CH	CH	N	N	2-(2-indolyl)ethyl
5	3098	CH	CH	CH	N	N	2-(3-indolyl)ethyl
	3099	CH	CH	CH	N	N	2-(7-aza-3-indolyl)ethyl
	3100	CH	CH	CH	N	N	2-(benzimidazolyl)ethyl
	3101	CH	CH	CH	N	N	2-(benzoxazolyl)ethyl
10	3102	CH	CH	CH	N	N	2-(benzothiazolyl)ethyl
	3103	CH	CH	CH	N	N	2-(1-naphthyl)ethyl
	3104	CH	CH	CH	N	N	2-(2-naphthyl)ethyl
	3105	CH	CH	CH	N	N	1-(hydroxymethyl)-2-phenylethyl
15	3106	CH	CH	CH	N	N	1-(methoxycarbonyl)-2-phenylethyl
	3107	CH	CH	CH	N	N	1-(ethoxycarbonyl)-2-phenylethyl
	3108	CH	CH	CH	N	N	1-carboxy-2-phenylethyl
	3109	CH	CH	CH	N	N	1-(benzyloxycarbonyl)-2-phenyl-ethyl
20	3110	CH	CH	CH	N	N	1-(phenoxymethyl)-2-phenylethyl
	3111	CH	CH	CH	N	N	1-(benzyloxymethyl)-2-phenylethyl
	3112	CH	CH	CH	N	N	1-(benzylcarbamoyl)-2-phenylethyl
25	3113	CH	CH	CH	N	N	1-(N-methylbenzylcarbamoyl)-2-phenylethyl
	3114	CH	CH	CH	N	N	1-(phenylcarbamoyl)-2-phenylethyl
	3115	CH	CH	CH	N	N	1-(N-methylphenylcarbamoyl)-2-phenylethyl
30	3116	CH	CH	CH	N	N	1-(N-benzylaminomethyl)-2-phenylethyl
	3117	CH	CH	CH	N	N	1-(N-benzyl-N-methylaminomethyl)-2-phenylethyl
35	3118	CH	CH	CH	N	N	1-(anilinomethyl)-2-phenylethyl
	3119	CH	CH	CH	N	N	1-(N-methylanilinomethyl)-2-phenylethyl
	3120	CH	CH	CH	N	N	1-(N-methylaminomethyl)-2-phenylethyl
40	3121	CH	CH	CH	N	N	1-(N-ethylaminomethyl)-2-phenylethyl
	3122	CH	CH	CH	N	N	1-(N-isobutylaminomethyl)-2-phenylethyl
45	3123	CH	CH	CH	N	N	1-(N-cyclopropylmethylamino-methyl)-2-phenylethyl
	3124	CH	CH	CH	N	N	1-(aminomethyl)-2-phenylethyl
	3125	CH	CH	CH	N	N	1-benzyl-2-(2-pyridylmethyl-amino)ethyl
50	3126	CH	CH	CH	N	N	1-benzyl-2-(3-pyridylmethyl-amino)ethyl

55

(continued from Table 3)

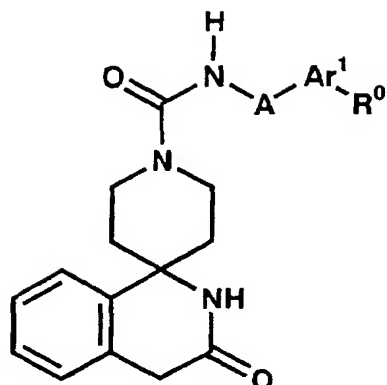
5	3127	CH	CH	CH	N	N	1-benzyl-2-(4-pyridylmethyl-amino)ethyl
	3128	CH	CH	CH	N	N	2-phenyl-1-(2-pyridylmethyl-carbamoyl)ethyl
	3129	CH	CH	CH	N	N	2-phenyl-1-(3-pyridylmethyl-carbamoyl)ethyl
10	3130	CH	CH	CH	N	N	2-phenyl-1-(4-pyridylmethyl-carbamoyl)ethyl
	3131	CH	CH	CH	N	N	2-hydroxy-2-phenylethyl
	3132	CH	CH	CH	N	N	benzoylmethyl
15	3133	CH	CH	CH	N	N	1-(benzyloxycarbonyl)-2-(3-indolyl)ethyl
	3134	CH	CH	CH	N	N	1-(benzyloxycarbonyl)-2-cyclohexylethyl
20	3135	CH	CH	CH	N	N	1-(phenoxymethyl)-2-(3-indolyl)ethyl
	3136	CH	CH	CH	N	N	2-(2-methoxyphenoxy)ethyl
	3137	CH	CH	CH	N	N	1-(benzylcarbamoyl)-2-cyclohexylethyl
25	3138	CH	CH	CH	N	N	1-(N-methylbenzylcarbamoyl)-2-cyclohexylethyl
	3139	CH	CH	CH	N	N	1-(phenylcarbamoyl)-2-cyclohexylethyl
30	3140	CH	CH	CH	N	N	1-(N-methylphenylcarbamoyl)-2-cyclohexylethyl
	3141	CH	CH	CH	N	N	1-(benzyloxycarbonyl)-2-(3-pyridyl)ethyl
35	3142	CH	CH	CH	N	N	1-(benzylaminomethyl)-2-(3-pyridyl)ethyl
	3143	CH	CH	CH	N	N	1-(benzylcarbamoyl)-2-(4-pyridyl)ethyl
	3144	CH	CH	CH	N	N	1-(4-pyridylmethylcarbamoyl)-2-(4-fluorophenyl)ethyl
40	3145	CH	CH	CH	N	N	1-(benzylcarbamoyl)-2-(7-aza-3-indolyl)ethyl
	3146	CH	CH	CH	N	N	1-(benzyloxymethyl)-2-(2-indolyl)ethyl
45	3147	CH	CH	CH	N	N	1-(N-benzyl-N-methylaminomethyl)-2-(3-pyridyl)ethyl
	3148	CH	CH	CH	N	N	1-(N-methylbenzylcarbamoyl)-2-(3-pyridyl)ethyl
50	3149	CH	CH	CH	N	N	2-hydroxy-2-(4-dimethylamino-phenyl)ethyl
	3150	CH	CH	CH	N	N	2-hydroxy-2-(2-quinolyl)ethyl

55

(continued from Table 3)

5	3151	CH	CH	CH	N	N	2-hydroxy-2-(3-quinolyl)ethyl
	3152	CH	CH	CH	N	N	2-hydroxy-2-(4-quinolyl)ethyl
	3153	CH	CH	CH	N	N	3-hydroxy-2-(3,5-difluoro-phenyl)ethyl
	3154	CH	CH	CH	N	N	1-carboxy-2-cyclohexylethyl
10	3155	CH	CH	CH	N	N	2-hydroxy-2-(6-quinolyl)ethyl
	3156	CH	CH	CH	N	N	2-(benzylamino)-2-phenylethyl
	3157	CH	CH	CH	N	N	2-amino-2-(2-naphthyl)propyl
	3158	CH	CH	CH	N	N	2-(phenylamino)ethyl
15	3159	CH	CH	CH	N	N	diphenylmethyl
	3160	CH	CH	CH	N	N	2,2-diphenylethyl
	3161	CH	CH	CH	N	N	2-phenyl-2-(2-pyridyl)ethyl
	3162	CH	CH	CH	N	N	2-phenyl-2-(3-pyridyl)ethyl
20	3163	CH	CH	CH	N	N	2-phenyl-2-(4-pyridyl)ethyl
	3164	CH	CH	CH	N	N	2-phenoxy-2-phenylethyl
	3165	CH	CH	CH	N	N	2-(benzyloxy)-2-phenylethyl

Table 4



No.	A-Ar¹-R⁰
3157'	1-phenyl-3-pyrrolidinyl
3158'	1-(2-fluorophenyl)-3-pyrrolidinyl
3159'	1-(3-fluorophenyl)-3-pyrrolidinyl
3160'	1-(4-fluorophenyl)-3-pyrrolidinyl
3161'	1-(2-chlorophenyl)-3-pyrrolidinyl
3162'	1-(3-chlorophenyl)-3-pyrrolidinyl
3163'	1-(4-chlorophenyl)-3-pyrrolidinyl
3164'	1-(2-methylphenyl)-3-pyrrolidinyl
3165'	1-(3-methylphenyl)-3-pyrrolidinyl
3166	1-(4-methylphenyl)-3-pyrrolidinyl
3167	1-(2-methoxyphenyl)-3-pyrrolidinyl
3168	1-(3-methoxyphenyl)-3-pyrrolidinyl
3169	1-(4-methoxyphenyl)-3-pyrrolidinyl
3170	1-(2-trifluoromethylphenyl)-3-pyrrolidinyl
3171	1-(3-trifluoromethylphenyl)-3-pyrrolidinyl
3172	1-(4-trifluoromethylphenyl)-3-pyrrolidinyl
3173	1-(3,5-difluorophenyl)-3-pyrrolidinyl
3174	1-(2-difluoromethoxyphenyl)-3-pyrrolidinyl
3175	1-(3-difluoromethoxyphenyl)-3-pyrrolidinyl
3176	1-(4-difluoromethoxyphenyl)-3-pyrrolidinyl
3177	1-(2-pyridyl)-3-pyrrolidinyl
3178	1-(3-pyridyl)-3-pyrrolidinyl
3179	1-(4-pyridyl)-3-pyrrolidinyl
3180	1-(2-pyrimidinyl)-3-pyrrolidinyl

(continued from Table 4)

5 3181 5-oxo-1-phenyl-3-pyrrolidinyl
3182 1-phenyl-3-piperidyl
3183 1-(2-fluorophenyl)-3-piperidyl
3184 1-(3-fluorophenyl)-3-piperidyl
10 3185 1-(4-fluorophenyl)-3-piperidyl
3186 1-(2-chlorophenyl)-3-piperidyl
3187 1-(3-chlorophenyl)-3-piperidyl
3188 1-(4-chlorophenyl)-3-piperidyl
15 3189 1-(2-methylphenyl)-3-piperidyl
3190 1-(3-methylphenyl)-3-piperidyl
3191 1-(4-methylphenyl)-3-piperidyl
3192 1-(2-methoxyphenyl)-3-piperidyl
20 3193 1-(3-methoxyphenyl)-3-piperidyl
3194 1-(4-methoxyphenyl)-3-piperidyl
3195 1-(2-trifluoromethylphenyl)-3-piperidyl
3196 1-(3-trifluoromethylphenyl)-3-piperidyl
25 3197 1-(4-trifluoromethylphenyl)-3-piperidyl
3198 1-(3,5-difluorophenyl)-3-piperidyl
3199 1-(2-difluoromethoxyphenyl)-3-piperidyl
3200 1-(3-difluoromethoxyphenyl)-3-piperidyl
30 3201 1-(4-difluoromethoxyphenyl)-3-piperidyl
3202 1-(2-pyridyl)-3-piperidyl
3203 1-(3-pyridyl)-3-piperidyl
3204 1-(4-pyridyl)-3-piperidyl
35 3205 1-phenyl-4-piperidyl
3206 1-(2-fluorophenyl)-4-piperidyl
3207 1-(3-fluorophenyl)-4-piperidyl
3208 1-(4-fluorophenyl)-4-piperidyl
40 3209 1-(2-chlorophenyl)-4-piperidyl
3210 1-(3-chlorophenyl)-4-piperidyl
3211 1-(4-chlorophenyl)-4-piperidyl
3212 1-(2-methylphenyl)-4-piperidyl
45 3213 1-(3-methylphenyl)-4-piperidyl
3214 1-(4-methylphenyl)-4-piperidyl
3215 1-(2-methoxyphenyl)-4-piperidyl
3216 1-(3-methoxyphenyl)-4-piperidyl
50 3217 1-(4-methoxyphenyl)-4-piperidyl
3218 1-(2-trifluoromethylphenyl)-4-piperidyl
3219 1-(3-trifluoromethylphenyl)-4-piperidyl
3220 1-(4-trifluoromethylphenyl)-4-piperidyl
55

(continued from Table 4)

3221 1-(3,5-difluorophenyl)-4-piperidyl
 3222 1-(2-difluoromethoxyphenyl)-4-piperidyl
 3223 1-(3-difluoromethoxyphenyl)-4-piperidyl
 3224 1-(4-difluoromethoxyphenyl)-4-piperidyl
 3225 1-(2-pyridyl)-4-piperidyl
 3226 1-(3-pyridyl)-4-piperidyl
 3227 1-(4-pyridyl)-4-piperidyl
 3228 3-hydroxymethyl-1-phenyl-4-piperidyl
 3229 3-methoxycarbonyl-1-phenyl-4-piperidyl
 3230 3-ethoxycarbonyl-1-phenyl-4-piperidyl
 3231 3-isopropoxycarbonyl-1-phenyl-4-piperidyl
 3232 4-phenylcyclohexyl
 3233 4-(2-fluorophenyl)cyclohexyl
 3234 4-(3-fluorophenyl)cyclohexyl
 3235 4-(4-fluorophenyl)cyclohexyl
 3236 4-(2-chlorophenyl)cyclohexyl
 3237 4-(3-chlorophenyl)cyclohexyl
 3238 4-(4-chlorophenyl)cyclohexyl
 3239 4-(2-methylphenyl)cyclohexyl
 3240 4-(3-methylphenyl)cyclohexyl
 3241 4-(4-methylphenyl)cyclohexyl
 3242 4-(2-methoxyphenyl)cyclohexyl
 3243 4-(3-methoxyphenyl)cyclohexyl
 3244 4-(4-methoxyphenyl)cyclohexyl
 3245 4-(2-trifluoromethylphenyl)cyclohexyl
 3246 4-(3-trifluoromethylphenyl)cyclohexyl
 3247 4-(4-trifluoromethylphenyl)cyclohexyl
 3248 4-(3,5-difluorophenyl)cyclohexyl
 3249 4-(3-acetylphenyl)cyclohexyl
 3250 4-(3-cyanophenyl)cyclohexyl
 3251 4-(2-difluoromethoxyphenyl)cyclohexyl
 3252 4-(3-difluoromethoxyphenyl)cyclohexyl
 3253 4-(4-difluoromethoxyphenyl)cyclohexyl
 3254 4-(2-pyridyl)cyclohexyl
 3255 4-(3-pyridyl)cyclohexyl
 3256 4-(4-pyridyl)cyclohexyl
 3257 4-(4-fluoro-3-pyridyl)cyclohexyl
 3258 4-(3-quinolyl)cyclohexyl
 3259 4-(3-fluorophenyl)-4-hydroxycyclohexyl
 3260 3-phenylcyclohexyl

(continued from Table 4)

5 3261 3-phenylcyclopentyl
3262 6-phenyl-3-tetrahydropyranyl
3263 6-(3-fluorophenyl)-3-tetrahydropyranyl
3264 2-phenylcyclopropyl
10 3265 2-(2-pyridyl)cyclopropyl
3266 2-(3-pyridyl)cyclopropyl
3267 2-(4-pyridyl)cyclopropyl
3268 2-(3-fluorophenyl)cyclopropyl
15 3269 2-indanyl
3270 2-tetrahydronaphthyl
3271 6-methoxy-2-tetrahydronaphthyl
3272 benzyl
20 3273 phenethyl
3274 3-phenylpropyl
3275 4-phenylbutyl
3276 2-methoxyphenethyl
25 3277 3-methoxyphenethyl
3278 4-methoxyphenethyl
3279 4-fluorophenethyl
3280 4-bromophenethyl
30 3281 4-chlorophenethyl
3282 3-trifluoromethylphenethyl
3283 3,4-dimethoxyphenethyl
3284 3-propoxyphenethyl
35 3285 3,5-difluorophenethyl
3286 4-dimethylaminophenethyl
3287 3-difluoromethoxyphenethyl
3288 2-methylphenethyl
40 3289 4-acetylphenethyl
3290 4-dimethylamino-2-methoxyphenethyl
3291 cyclohexylethyl
3292 2-(2-pyridyl)ethyl
45 3293 2-(3-pyridyl)ethyl
3294 2-(4-pyridyl)ethyl
3295 2-(2-quinolyl)ethyl
3296 2-(3-quinolyl)ethyl
50 3297 2-(4-quinolyl)ethyl
3298 2-(6-quinolyl)ethyl
3299 2-(2-indolyl)ethyl
3300 2-(3-indolyl)ethyl
55

(continued from Table 4)

- 3301 2-(7-aza-3-indolyl)ethyl
 3302 2-(benzimidazolyl)ethyl
 3303 2-(benzoxazolyl)ethyl
 3304 2-(benzothiazolyl)ethyl
 3305 2-(1-naphthyl)ethyl
 3306 2-(2-naphthyl)ethyl
 3307 1-(hydroxymethyl)-2-phenylethyl
 3308 1-(methoxycarbonyl)-2-phenylethyl
 3309 1-(ethoxycarbonyl)-2-phenylethyl
 3310 1-carboxy-2-phenylethyl
 3311 1-(benzyloxycarbonyl)-2-phenylethyl
 3312 1-(phenoxymethyl)-2-phenylethyl
 3313 1-(benzyloxymethyl)-2-phenylethyl
 3314 1-(benzylcarbamoyl)-2-phenylethyl
 3315 1-(N-methylbenzylcarbamoyl)-2-phenylethyl
 3316 1-(phenylcarbamoyl)-2-phenylethyl
 3317 1-(N-methylphenylcarbamoyl)-2-phenylethyl
 3318 1-(N-benzylaminomethyl)-2-phenylethyl
 3319 1-(N-benzyl-N-methylaminomethyl)-2-phenylethyl
 3320 1-(anilinomethyl)-2-phenylethyl
 3321 1-(N-methylanilinomethyl)-2-phenylethyl
 3322 1-(N-methylaminomethyl)-2-phenylethyl
 3323 1-(N-ethylaminomethyl)-2-phenylethyl
 3324 1-(N-isobutylaminomethyl)-2-phenylethyl
 3325 1-(N-cyclopropylmethylaminomethyl)-2-phenylethyl
 3326 1-(aminomethyl)-2-phenylethyl
 3327 1-benzyl-2-(2-pyridylmethylamino)ethyl
 3328 1-benzyl-2-(3-pyridylmethylamino)ethyl
 3329 1-benzyl-2-(4-pyridylmethylamino)ethyl
 3330 2-phenyl-1-(2-pyridylmethylcarbamoyl)ethyl
 3331 2-phenyl-1-(3-pyridylmethylcarbamoyl)ethyl
 3332 2-phenyl-1-(4-pyridylmethylcarbamoyl)ethyl
 3333 2-hydroxy-2-phenylethyl
 3334 benzoylmethyl
 3335 1-(benzyloxycarbonyl)-2-(3-indolyl)ethyl
 3336 1-(benzyloxycarbonyl)-2-cyclohexylethyl
 3337 1-(phenoxymethyl)-2-(3-indolyl)ethyl
 3338 2-(2-methoxyphenoxy)ethyl
 3339 1-(benzylcarbamoyl)-2-cyclohexylethyl
 3340 1-(N-methylbenzylcarbamoyl)-2-cyclohexylethyl

(continued from Table 4)

- 3341 1-(phenylcarbamoyl)-2-cyclohexylethyl
 3342 1-(N-methylphenylcarbamoyl)-2-cyclohexylethyl
 3343 1-(benzyloxycarbonyl)-2-(3-pyridyl)ethyl
 3344 1-(benzylaminomethyl)-2-(3-pyridyl)ethyl
 3345 1-(benzylcarbamoyl)-2-(4-pyridyl)ethyl
 3346 1-(4-pyridylmethylcarbamoyl)-2-(4-fluorophenyl)ethyl
 3347 1-(benzylcarbamoyl)-2-(7-aza-3-indolyl)ethyl
 3348 1-(benzyloxymethyl)-2-(2-indolyl)ethyl
 3349 1-(N-benzyl-N-methylaminomethyl)-2-(3-pyridyl)ethyl
 3350 1-(N-methylbenzylcarbamoyl)-2-(3-pyridyl)ethyl
 3351 2-hydroxy-2-(4-dimethylaminophenyl)ethyl
 3352 2-hydroxy-2-(2-quinolyl)ethyl
 3353 2-hydroxy-2-(3-quinolyl)ethyl
 3354 2-hydroxy-2-(4-quinolyl)ethyl
 3355 2-hydroxy-2-(3,5-difluorophenyl)ethyl
 3356 1-carboxy-2-cyclohexylethyl
 3357 2-hydroxy-2-(6-quinolyl)ethyl
 3358 2-(benzylamino)-2-phenylethyl
 3359 2-amino-2-(2-naphthyl)propyl
 3360 2-(phenylamino)ethyl
 3361 diphenylmethyl
 3362 2,2-diphenylethyl
 3363 2-phenyl-2-(2-pyridyl)ethyl
 3364 2-phenyl-2-(3-pyridyl)ethyl
 3365 2-phenyl-2-(4-pyridyl)ethyl
 3366 2-phenoxy-2-phenylethyl
 3367 2-(benzyloxy)-2-phenylethyl

[0123] Among the above compounds, the preferred examples are trans-3'-oxo-N-(trans-4-phenylcyclohexyl)spiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide, trans-N-[(3S)-1-(2-fluorophenyl)-3-pyrrolidinyl]-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide, trans-N-[(3S)-1-(3-fluorophenyl)-3-pyrrolidinyl]-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide, trans-N-[(3S)-1-(4-fluorophenyl)-3-pyrrolidinyl]-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide, trans-N-[1-(2-fluorophenyl)-4-piperidyl]-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide, trans-N-[1-(3-fluorophenyl)-4-piperidyl]-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide, trans-3'-oxo-N-(1,2,3,4-tetrahydro-2-naphthyl)spiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide, trans-N-[1-(3-fluorophenyl)-4-piperidyl]-3-oxospiro[4-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-N-[1-(3-fluorophenyl)-4-piperidyl]-3-oxospiro[5-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-N-[1-(3-fluorophenyl)-4-piperidyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-N-[1-(3-fluorophenyl)-4-piperidyl]-3-oxospiro[7-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-3'-oxo-N-[(3S)-5-oxo-1-phenyl-3-pyrrolidinyl]spiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide, trans-N-[(3S)-1-(2-fluorophenyl)-3-pyrrolidinyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-N-[(3S)-1-(3-fluorophenyl)-3-pyrrolidinyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-3-oxo-N-(trans-4-phenylcyclohexyl)spiro[5-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-3-oxo-N-(trans-4-phenylcyclohexyl)spiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-3-oxo-N-(trans-4-phenylcyclohexyl)spiro[7-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-3-oxo-N-

[(3S)-1-phenyl-3-pyrrolidinyl]spiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-3-oxo-N-[(3S)-1-(3-trifluoromethylphenyl)-3-pyrrolidinyl]spiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-3-oxo-N-[(3S)-1-(2-pyridyl)-3-pyrrolidinyl]spiro[5-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-3-oxo-N-[(3S)-1-(3-pyridyl)-3-pyrrolidinyl]spiro[5-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-N-[trans-4-(4-fluorophenyl)cyclohexyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-N-[trans-4-(3-fluorophenyl)cyclohexyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-N-[trans-4-(3-fluorophenyl)cyclohexyl]-3-oxospiro[4-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-N-[trans-4-(3-fluorophenyl)cyclohexyl]-3-oxospiro[7-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-N-[(3S)-1-(3,5-difluorophenyl)-3-pyrrolidinyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-N-[1-(3,5-difluorophenyl)-4-piperidyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-N-[3-(3-fluorophenyl)-tetrahydropyran-6-yl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-N-[trans-4-(2-fluorophenyl)cyclohexyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-N-[(S)-1-benzyl-2-(benzylamino)ethyl]-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide, trans-N-benzhydryl-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide, trans-1-methanesulfonyl-N-(1-phenyl-4-piperidyl)spiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-N-(2-indanyl)-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-N-[1-(3-fluorophenyl)-4-piperidyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-1-methanesulfonyl-N-[1-(2-pyridyl)-4-piperidyl]spiro-[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-1-methanesulfonyl-N-(1-phenyl-3-piperidyl)spiro-[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-N-[1-(3,5-difluorophenyl)-3-piperidyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-1-methanesulfonyl-N-[1-(2-pyridyl)-3-piperidyl]spiro-[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-1-methanesulfonyl-N-[(3R)-1-phenyl-3-pyrrolidinyl]spiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-1-methanesulfonyl-N-[(3R)-1-phenyl-3-pyrrolidinyl]spiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-1-methanesulfonyl-N-(2-phenylcyclopropyl)spiro-[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-1-methanesulfonyl-N-[2-(3-pyridyl)cyclopropyl]spiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-N-[(S)-1-benzyl-2-(benzylamino)ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-N-[1-benzylcarbamoyle-2-(4-pyridyl)ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-N-[2-(4-fluorophenyl)-1-[(4-pyridylmethyl)carbamoyle]ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-N-(2-hydroxy-2-phenylethyl)-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-N-(benzoylmethyl)-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-N-[(S)-1-benzyl-2-(N-benzylmethylamino)ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-N-[(S)-1-(N-benzylmethylcarbamoyle)-2-phenylethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-N-[(S)-1-(N-benzylmethylcarbamoyle)-2-(3-pyridyl)-ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-N-(4-dimethylaminophenethyl)-1-methanesulfonylspiro-[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-1-methanesulfonyl-N-[2-(3-quinolyl)ethyl]spiro-[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-N-[2-(4-dimethylaminophenyl)-2-hydroxyethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-N-[2-hydroxy-2-(3-quinolyl)ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-N-[2-(3,5-difluorophenyl)-2-hydroxyethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-N-[(S)-1-benzyl-2-[(3-pyridylmethyl)amino]ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-N-[(S)-1-benzyl-2-[(2-pyridylmethyl)amino]ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-N-[(S)-2-anilino-1-benzylethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-N-[(S)-1-benzyl-2-(isobutylamino)ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-1-methanesulfonyl-N-[2-phenyl-1-(methoxycarbonyl)-ethyl]spiro[indoline-3,1'-cyclohexane]-4'-carboxamide, trans-N-(1-hydroxymethyl-2-phenylethyl)-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide, 1-methanesulfonyl-N-(1-phenyl-4-piperidyl)spiro[indoline-3,4'-piperidine]-1'-carboxamide, 3-oxo-N-(1-phenyl-3-piperidyl)spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide, 3-oxo-N-[(3S)-1-phenyl-3-pyrrolidinyl]spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide, N-[1-benzylcarbamoyle-2-cyclohexylethyl]-3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide, N-[(S)-1-benzylloxymethyl-2-cyclohexylethyl]-3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide, N-[(S)-1-benzylcarbamoyle-2-phenylethyl]-3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide, N-[(S)-1-benzyl-2-(benzylamino)ethyl]-3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide, N-(2-indanyl)-1-methanesulfonylspiro[indoline-3,4'-piperidine]-1'-carboxamide, 1-methanesulfonyl-N-phenethylspiro[indoline-3,4'-piperidine]-1'-carboxamide, 1-methanesulfonyl-N-(3-phenylpropyl)spiro[indoline-3,4'-piperidine]-1'-carboxamide, 1-methanesulfonyl-N-(4-phenylbutyl)spiro[indoline-3,4'-piperidine]-1'-carboxamide, N-(4-bromophenethyl)-1-methanesulfonylspiro[indoline-3,4'-piperidine]-1'-carboxamide, N-(3,4-dimethoxyphenethyl)-1-methanesulfonylspiro[indoline-3,4'-piperidine]-1'-carboxamide, N-(4-dimethylamino-2-methoxyphenethyl)-1-methanesulfonylspiro[indoline-3,4'-piperidine]-1'-carboxamide, N-[(S)-1-benzylloxycarbonyl-2-(3-indolyl)ethyl]-3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide, N-[(R)-1-benzylloxycarbonyl-2-(3-indolyl)ethyl]-3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide, N-[(S)-1-benzylloxycarbonyl-2-cyclohexylethyl]-3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide, 3,4-

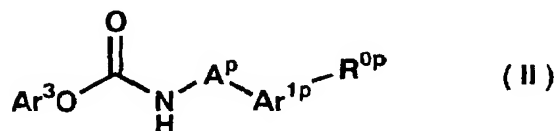
dihydro-N-(3-methoxyphenethyl)-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide, trans-N-[1-(3-trifluoromethylphenyl)-4-piperidyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-N-[trans-2-(3-fluorophenyl)cyclopropyl]-3'-oxospiro-[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide, trans-N-[trans-2-(4-fluorophenyl)cyclopropyl]-3'-oxospiro-[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide, trans-N-[1-(2-fluorophenyl)-4-piperidyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-3'-oxo-N-[5-oxo-1-(2-fluorophenyl)-3-pyrrolidinyl]-spiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide, trans-3'-oxo-N-[5-oxo-1-(3-fluorophenyl)-3-pyrrolidinyl]-spiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide, trans-3-oxo-N-[5-oxo-1-(3-fluorophenyl)-3-pyrrolidinyl]-spiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-N-[trans-4-(3-trifluoromethylphenyl)cyclohexyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, trans-3'-oxo-N-[2-oxo-1-phenyl-4-piperidyl]spiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide, trans-3'-oxo-N-[2-oxo-1-(3-fluorophenyl)-4-piperidyl]spiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide, trans-N-[trans-2-(2-fluorophenyl)cyclopropyl]-3'-oxospiro-[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide, trans-N-[trans-2-(3-fluorophenyl)cyclopropyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide or trans-N-[trans-2-(4-fluorophenyl)cyclopropyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide, and the like.

[0124] The processes for producing the compounds of the present invention are illustrated below.

[0125] The compounds (I) of the present invention can be prepared, for example, by the following production processes or the methods shown in Examples, but Manufacturing methods of the compounds (I) of the present invention are not limited to these embodiments.

Production Process 1

[0126] A compound of the formula (II):



(wherein

A^p is a straight-chain hydrocarbon having 1 to 6 carbon atoms, which is optionally substituted by a substituent selected from the group consisting of di-lower alkylamino, lower alkoxy, lower alkoxycarbonyl, lower alkylene, aryl, heteroaryl, -R^{ap}, optionally protected oxo, optionally protected amino, optionally protected lower alkylamino and optionally protected hydroxy, and is optionally intervened by oxygen or nitrogen atom;

Ar^{1p} is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, nitro, lower alkyl, halo-lower alkyl, cyclo-lower alkyl, lower alkenyl, lower alkoxy, halo-lower alkoxy, di-lower alkylamino, lower alkylthio, lower alkanoyl, lower alkoxycarbonyl, -Q^p-Ar^{2p}, optionally protected oxo, optionally protected hydroxy-lower alkyl, optionally protected lower alkylamino and optionally protected carboxyl;

Ar^{2p} is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, cyano, lower alkyl, halo-lower alkyl, lower alkoxy, halo-lower alkoxy, di-lower alkylamino, lower alkanoyl, aryl, optionally protected hydroxy-lower alkyl, optionally protected hydroxy and optionally protected lower alkylamino;

Ar³ is phenyl which is optionally substituted by halogen or nitro;

Q^p is a single bond or optionally protected carbonyl;

R^{ap} is lower alkyl which is optionally substituted by a substituent selected from the group consisting of di-lower alkylamino, optionally protected amino, optionally protected lower alkylamino, optionally protected hydroxy, and cyclo-lower alkyl, aryl and heteroaryl, the last three groups being optionally substituted by fluorine;

R^{0p} is hydrogen, or lower alkylene attached to an arbitrary, bondable position of A^p)

is reacted with a compound of the formula (III):



15



35



45

55

50

bonyl-protecting group, and said protecting groups may be removed after completion of the reaction.

[0129] The "amino-protecting group" includes, for example, aralkyl (e.g. benzyl, p-methoxybenzyl, 3,4-dimethoxybenzyl, o-nitrobenzyl, p-nitrobenzyl, benzhydryl, trityl); lower alkanoyl (e.g. formyl, acetyl, propionyl, butyryl, pivaloyl); benzoyl; arylalkanoyl (e.g. phenylacetyl, phenoxyacetyl); lower alkoxy carbonyl (e.g. methoxycarbonyl, ethoxycarbonyl, propyloxycarbonyl, tert-butoxycarbonyl); aralkyloxycarbonyl (e.g. benzyloxycarbonyl, p-nitrobenzyloxycarbonyl, phenethyloxycarbonyl); lower alkylsilyl (e.g. trimethylsilyl, tert-butyldimethylsilyl); among which the preferred examples are acetyl, pivaloyl, benzoyl, ethoxycarbonyl, tert-butoxycarbonyl, and the like.

[0130] The "hydroxy-protecting group" includes, for example, loweralkyl (e.g. methyl, ethyl, propyl, isopropyl, tert-butyl); lower alkylsilyl (e.g. trimethylsilyl, tert-butyldimethylsilyl); lower alkoxy methyl (e.g. methoxymethyl, 2-methoxyethoxymethyl); tetrahydropyranyl; trimethylsilylethoxymethyl; aralkyl (e.g. benzyl, p-methoxybenzyl, 2,3-dimethoxybenzyl, o-nitrobenzyl, p-nitrobenzyl, trityl); acyl (e.g. formyl, acetyl); among which the preferred examples are methyl, methoxymethyl, tetrahydropyranyl, trityl, trimethylsilylethoxymethyl, tert-butyldimethylsilyl, acetyl, and the like.

[0131] The "carboxyl-protecting group" includes, for example, lower alkyl (e.g. methyl, ethyl, propyl, isopropyl, tert-butyl); halo-lower alkyl (e.g. 2,2,2-trichloroethyl); lower alkenyl (e.g. 2-propenyl); aralkyl (e.g. benzyl, p-methoxybenzyl, p-nitrobenzyl, benzhydryl, trityl), among which the preferred examples are methyl, ethyl, tert-butyl, 2-propenyl, benzyl, p-methoxybenzyl, benzhydryl, and the like.

[0132] The "oxo- or carbonyl-protecting group" includes, for example, acetal (e.g. ethylene ketal, trimethylene ketal, dimethyl ketal), ketal, and the like.

[0133] The reaction between a compound of the formula (II) and a compound of the formula (III) is usually carried out by employing equivalent to excess moles, preferably equivalent to 1.5 moles of the compound of the formula (III) based on 1 mole of the compound (II).

[0134] The reaction is usually carried out in an inert solvent, and preferable examples of such inert solvent are methylene chloride, chloroform, tetrahydrofuran, dimethylformamide, dimethylsulfoxide, etc., or a mixture thereof and the like.

[0135] It is preferable to carry out the above reaction in the presence of a base, and examples of such base are organic bases (e.g. triethylamine, diisopropylethylamine, pyridine, 4-dimethylaminopyridine) or inorganic bases (e.g. sodium hydroxide, potassium hydroxide).

[0136] The amount of the said base employed is usually equivalent to excess moles, preferably 1 to 5 moles based on 1 mole of a compound of the formula (II).

[0137] The reaction temperature is usually from -30°C to 200°C, preferably from 20°C to 100°C.

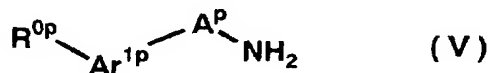
[0138] The reaction time is usually from 5 minutes to 7 days, preferably from 30 minutes to 24 hours.

[0139] Usual workup procedures are applied after completion of the reaction to obtain a crude product of a compound of the formula (IV-1). The resulting compound of the formula (IV-1) is, with or without purification according to the common method, subjected to, if desired, proper combination of removal of the protecting group(s) for amino, hydroxy, carboxyl, oxo and carbonyl, thereby a compound of the formula (I-1) can be prepared.

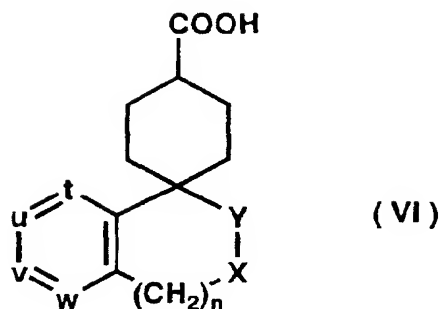
[0140] Although the method for the removal of said protecting groups depends upon the kinds of the protecting groups, the stability of a desired compound (I-1), etc., it is carried out by, for example, a solvolysis using an acid or a base, that is, for example a method wherein 0.01 mole to a large excess of an acid, preferably trifluoroacetic acid, formic acid, hydrochloric acid and the like, or equivalent moles to a large excess of base, preferably potassium hydroxide, calcium hydroxide and the like is acted; a chemical reduction using a metal hydride complex; or a catalytic reduction using a palladium-carbon catalyst, a Raney-nickel catalyst, etc., according to, for example, a method described in the literature (Protective Groups in Organic Synthesis, T.W. Greene, John Wiley & Sons, (1981)) or its similar methods.

Production Process 2

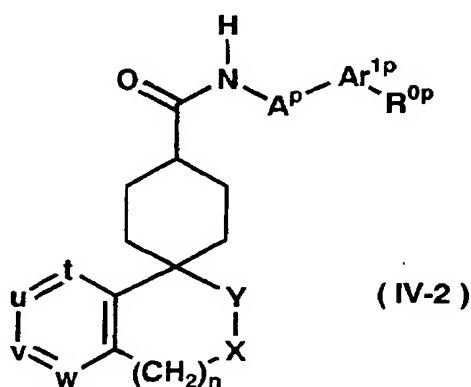
[0141] A compound of the formula (V):



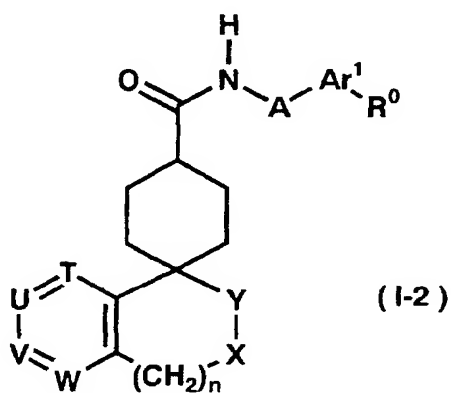
(wherein AP, Ar^{1P} and R^{Op} have the same meaning as defined above) is reacted with a carboxylic acid of the formula (VI):



15 (wherein n, t, u, v, w, X and Y have the same meaning as defined above) or a reactive derivative thereof to provide a compound of the formula (IV-2):



35 (wherein AP, Ar^{1p}, n, R^{0p}, t, u, v, w, X and Y have the same meaning as defined above), optionally followed by removal of the protecting group(s) from the compound (IV-2), thereby a compound of the formula (I-2):



(wherein A, Ar¹, n, R⁰, T, U, V, W, X and Y have the same meaning as defined above) can be prepared.

[0142] The present process is a process for preparing a compound of the formula (I) wherein Z is methine group, that is, a compound of the formula (I-2).

55 [0143] The reaction between a compound of the formula (V) and a carboxylic acid of the formula (VI) is usually carried out by employing 0.5 to excess moles, preferably 1 mole to 1.5 moles of the carboxylic acid of the formula (VI) based on 1 mole of the compound of the formula (V).

[0144] The reaction is usually carried out in an inert solvent, and the preferable examples of such inert solvent are

methylene chloride, chloroform, tetrahydrofuran, dimethylformamide, pyridine, etc., or a mixture thereof and the like.

[0145] It is preferable to carry out the above reaction in the presence of a condensing agent, and examples of such condensing agent are, N, N'-dicyclohexylcarbodiimide, N,N'-diisopropylcarbodiimide, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, benzotriazol-1-yloxy-tris-(dimethylamino)phosphoniumhexafluorophosphate, benzotriazol-1-yloxy-tris-pyrrolidinophosphoniumhexafluorophosphate, bromotris-(dimethylamino)phosphoniumhexafluorophosphate, diphenylphosphoryl azide, 1,1'-carbonyldiimidazole, and the like.

[0146] The amount of said condensing agent employed may be usually 1 mole to excess mole, preferably 1 to 1.5 moles based on 1 mole of a compound of the formula (VI).

[0147] The reaction temperature is usually from -50°C to 100°C, preferably from -20°C to 50°C.

[0148] The reaction time is usually from 30 minutes to 7 days, preferably from 1 hour to 24 hours.

[0149] A compound of the formula (I-2) is also produced by reacting a compound of the formula (V) with an activated derivative of the carboxylic acid of the formula (VI) instead of the carboxylic acid of the formula (VI).

[0150] Examples of the activated derivatives of carboxylic acid of the formula (VI) include acid halides, mixed anhydrides, activated esters, activated amides, and the like.

[0151] The acid halides of carboxylic acid of the formula (VI) may be obtained by reacting a carboxylic acid of the formula (VI) with a halogenating agent according to the conventional method. The halogenating agent includes, for example, thionyl chloride, phosphorus trichloride, phosphorus pentachloride, phosphorus oxychloride, phosphorus tribromide, oxalyl chloride, phosgene, and the like.

[0152] The mixed anhydrides of carboxylic acid of the formula (VI) may be obtained by reacting a carboxylic acid of the formula (VI) with an alkyl chlorocarbonate such as ethyl chlorocarbonate, etc.; an aliphatic carboxylic acid chloride such as pivaloyl chloride, etc., and the like according to the conventional method.

[0153] The activated esters of carboxylic acid of the formula (VI) may be obtained by reacting a carboxylic acid of the formula (VI) with an N-hydroxy compound such as N-hydroxysuccinimide, N-hydroxyphthalimide, 1-hydroxybenzotriazole, etc.; a phenol compound such as 4-nitrophenol, 2,4-dinitrophenol, 2,4,5-trichlorophenol, pentachlorophenol, etc., and the like in the presence of a condensing agent such as N, N'-dicyclohexylcarbodiimide, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide, etc. according to the conventional method.

[0154] The activated amides of carboxylic acid of the formula (VI) may be obtained by reacting a carboxylic acid of the formula (VI) with, for example, 1,1'-carbonyldiimidazole, 1,1'-carbonylbis(2-methylimidazole) according to the conventional method.

[0155] The reaction between a compound of the formula (V) and an activated derivative of carboxylic acid of the formula (VI) is usually carried out by employing 0.5 to excess moles, preferably 1 to 1.5 moles of the activated derivative of carboxylic acid of the formula (VI) based on 1 mole of the compound of the formula (V).

[0156] The reaction is usually carried out in an inert solvent, and the preferable examples of such inert solvent are methylene chloride, chloroform, tetrahydrofuran, dimethylformamide, pyridine, etc., or a mixture thereof and the like.

[0157] Although the above reaction proceeds in the absence of a base, it is preferred to carry out the reaction in the presence of a base to promote the reaction smoothly.

[0158] Examples of such a base are organic bases (e.g. triethylamine, diisopropylethylamine, pyridine, 4-dimethylaminopyridine) or inorganic bases (e.g. sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, sodium hydrogen carbonate).

[0159] The preferable amount of said base is usually 1 to excess moles based on 1 mole of a compound of the formula (V). Also, when the base is a liquid, such base can also be used as a solvent.

[0160] The reaction temperature is usually from -50°C to 100°C, preferably from -20°C to 50°C.

[0161] The reaction time is usually from 5 minutes to 7 days, preferably from 30 minutes to 24 hours.

[0162] A compound of the formula (I-2) can be produced by working up the resulting product in the usual way after removal of the said protecting group (s) when the product has a protecting group after completion of the reaction, or by working up the resulting product directly in the usual way when the protecting group is absent.

[0163] The removal of the protecting group(s) and the workup procedure may be carried out according to the method described in the above Production Process 1.

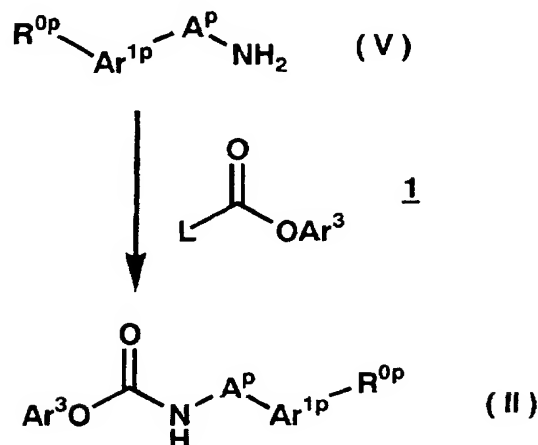
[0164] The compound of the formula (I-1) or (I-2) may be readily isolated and purified by the conventional separation technique, and examples of such technique are solvent extraction, recrystallization, column chromatography, preparative thin layer chromatography and the like.

[0165] These compounds can be converted into the pharmaceutically acceptable salts or esters by the conventional method, and on the contrary, the conversion of the salts or esters into free compounds can also be carried out according to the conventional method.

[0166] Compounds of the formula (II), (III), (V) or (VI) is commercially available, or can be prepared according to the methods described in the literatures (Japanese Patent Unexamined Publication No. 94/263737-A, U.S. Patent No. 3301857, J. Org. Chem, 40, p.1427 (1975), International Patent Publication WO95/28389 and the like), or analogous

methods thereto or the methods shown below or in Examples, optionally in combination.

Production Process A



[0167] In the above reaction scheme, L is halogen; A^p, Ar^{1p}, Ar³ and R^{0p} have the same meaning as defined above.

[0168] The present process is a process for preparing a compound of the formula (II). According to the present process, the compound of the formula (II) can be prepared by reacting a compound of the formula (V) with a compound of the formula 1.

[0169] The reaction between the compound of the formula (V) and the compound of the formula 1 is usually carried out by employing 0.5 to excess moles, preferably equivalent to 1.5 moles of the compound of the formula 1, based on 1 mole of the compound (V).

[0170] The reaction is usually carried out in an inert solvent, and the preferable examples of such inert solvent are methylene chloride, chloroform, tetrahydrofuran, ethyl ether, benzene, toluene, dimethylformamide, etc., or a mixture thereof and the like.

[0171] The above reaction is preferably carried out in the presence of a base, and examples of such base are organic bases (e.g. triethylamine, diisopropylethylamine, pyridine, 4-dimethylaminopyridine) or inorganic bases (e.g. sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, sodium hydrogen carbonate).

[0172] The preferable amount of said base is usually equivalent to excess moles based on 1 mole of a compound of the formula (V). Also, when the base is a liquid, such base can also be used as a solvent.

[0173] The reaction temperature is usually from -78°C to 100°C, preferably from -20°C to 50°C.

[0174] The reaction time is usually from 5 minutes to 7 days, preferably from 30 minutes to 24 hours.

[0175] A compound of the formula 1 is commercially available, or can be prepared according to the known methods or the methods in Examples, or analogous methods thereto, optionally in combination.

[0176] The utility of compounds of the present invention as a medicament is proved by showing NPY antagonistic activities in the following pharmacological test.

Pharmacological Test (NPY binding inhibition test)

[0177] A sequence coding for human NPY Y5 receptor (c.f. International patent publication number WO96/16542) was cloned into expression vectors pcDNA3, pRc/RSV (made by Invitrogen Inc.) and pCI-neo (made by Promega Inc.). The expression vectors thus obtained were transfected to host cells COS-7, CHO and LM(tk-) (American Type Culture Collection) by cationic lipid method (Proceedings of the National Academy of Sciences of the United States of America, vol.84: p.7413(1987)) to give NPY Y5 receptor expression cells.

[0178] A membrane sample prepared from the cells which expressed NPY Y5 receptor was incubated together with a test compound and [¹²⁵I]peptideYY (made by NEN) (20,000cpm) in an assay buffer (25 mM Tris buffer, pH7.4, containing 10 mM magnesium chloride, 1 mM phenylmethylsulfonyl fluoride, 0.1% bacitracin and 0.5% bovine serum albumin) at 25° C for 2 hours, then filtered through a glass filter GF/C and washed with 5 mM Tris buffer (pH7.4) containing 0.3% BSA. The radioactivity of the cake on the glass filter was measured. Non-specific binding was measured in the presence of 1 μM peptide YY, and 50% Inhibitory Concentration (IC₅₀) of the test compound against specific peptideYY binding was determined (Endocrinology, vol.131: p.2090(1992)). The results are shown in Table 1.

Table 1:

NPY receptors binding inhibition	
compounds	IC ₅₀ (nM)
Example 1	2.5
Example 5	1.7
Example 27	3.6
Example 32	1.7
Example 36	0.80
Example 41	1.2
Example 45	0.69
Example 46	2.0

[0179] As shown above, the compounds of this invention potently inhibited peptideYY (NPY analogue) binding to NPY Y5 receptors.

[0180] The compounds of the formula (I) can be administered orally or parenterally and, by formulating into a suitable administrable form, may be administered as a therapeutic agent for various diseases related to NPY, for example, cardiovascular disorders such as hypertension, nephropathy, heart disease, vasospasm, arteriosclerosis, etc., central nervous system disorders such as bulimia, depression, anxiety, seizure, epilepsy, dementia, pain, alcoholism, drug withdrawal, circadian rhythm disorders, schizophrenia, etc., metabolic diseases such as obesity, diabetes, hormone abnormality, hypercholesterolemia, hyperlipidemia, etc., sexual and reproductive dysfunctions, gastro-intestinal disorders such as gastro-intestinal motility disorder, respiratory disorders, inflammatory diseases or glaucoma, and the like, particularly preferably bulimia, obesity, diabetes, and the like. In clinical use, the compounds of this invention may be administered after being formulated, together with pharmaceutically acceptable additives, into an appropriate preparation according to the mode of administration. As for such additives, those which are usually used in the field of pharmaceutical formulation, for example, gelatin, lactose, sucrose, titanium oxide, starch, crystalline cellulose, hydroxypropyl methylcellulose, carboxymethylcellulose, corn starch, microcrystalline wax, white petrolatum, magnesium methasilicate aluminate, anhydrous calcium phosphate, citric acid, trisodium citrate, hydroxypropyl cellulose, sorbitol, sorbitan fatty acid ester, polysorbate, sucrose fatty acid ester, polyoxyethylene, hydrogenated castor oil, polyvinylpyrrolidone, magnesium stearate, light silicic anhydride, talc, vegetable oil, benzyl alcohol, gum arabic, propylene glycol, polyalkylene glycol, cyclodextrin or hydroxypropyl cyclodextrin, etc. may be used.

[0181] The formulations prepared by mixing the compound of the present invention with said additives include, for example, solid preparations (e.g. tablets, capsules, granules, powder, suppositories); or liquid preparations (e.g. syrups, elixirs, injections). Such preparations may be formulated according to the techniques well-known in the art of pharmaceutical formulation. Liquid preparations may be in the form of preparations which are dissolved or suspended in water or other appropriate media when used. In the case of injectable preparations in particular, they may be dissolved or suspended in physiological saline or glucose solution if necessary, optionally together with a buffer or a preservative.

[0182] All the said preparations may contain 1.0 to 100 wt.%, preferably 1.0 to 60 wt.% of compounds of the present invention and may also contain other therapeutically effective compounds.

[0183] The compounds of the present invention can be used in combination with other agents useful for treating metabolic disorders and/or eating disorders. The individual component of such combinations can be administered separately at different times or concurrently in divided or single combination forms during the course of therapy. The present invention is therefore to be understood as embracing all such regimes of simultaneous or divided administration and the term "administration" is to be interpreted accordingly. The scope of combinations of the compounds of this invention with other agents useful for treating metabolic disorders and/or eating disorders includes in principle any combination of any pharmaceutical composition useful for treating metabolic disorders and/or eating disorders.

[0184] When compounds of the present invention are used clinically, for example, a daily dose for an adult is 0.01 to 100 mg/kg, preferably 0.03 to 3 mg/kg with simultaneous or divided administration when administered orally, and 0.001 to 10 mg/kg, preferably 0.001 to 0.1 mg/kg with simultaneous or divided administration when administered parenterally, though the dose and the frequency of administration may vary depending upon the sex, age, body weight, degree of symptoms, and type and range of the desired treatment effects.

[0185] An ordinarily skilled physician, veterinarian or clinician can readily determine and prescribe the effective amount of the drug required to prevent, suppress or arrest the progress of diseases.

Best mode for carrying out the invention

[0186] The present invention is further described in detail with reference to the following Examples, but the invention should in no way be restricted thereby.

Example 1

Preparation of trans-3'-oxo-N-(trans-4-phenylcyclohexyl)-spiro[cyclohexane-1,1'-(3'H)-isobenzofuran]-4-carboxamide

[0187] 4-Phenylcyclohexylamine hydrochloride (64 mg) and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (115 mg) were added to a solution of trans-3'-oxospiro[cyclohexane-1,1'-(3'H)-isobenzofuran]-4-carboxylic acid (74 mg) in pyridine (2 mL). The mixture was stirred at room temperature for 24 hours and the reaction mixture was partitioned between water and ethyl acetate. The organic layer was dried over magnesium sulfate and the solvent was removed by evaporation. The residue was purified by preparative thin-layer chromatography (hexane/ethyl acetate = 1/1) to give the title compound (50.7 mg) as a white solid.

¹H-NMR (300MHz, CDCl₃, δppm) : 1.72-1.89 (2H, m), 1.56-1.82 (4H, m), 1.92-2.20 (8H, m), 2.28-2.40 (2H, m), 2.45-2.58 (2H, m), 3.82-3.96 (1H, m), 5.44 (1H, br d), 7.17-7.33 (5H, m), 7.52 (1H, d, J=7.8Hz), 7.58-7.69 (2H, m), 7.88 (1H, d, J=7.5Hz).

[0188] Compounds of Examples 2 to 76 were obtained by following the same procedure as in Example 1, except that trans-3'-oxospiro[cyclohexane-1,1'-(3'H)-isobenzofuran]-4-carboxylic acid and 4-phenylcyclohexylamine hydrochloride used in Example 1 were replaced with the corresponding starting materials of each desired compound.

Example 2

Trans-N-[(3S)-1-(2-fluorophenyl)-3-pyrrolidinyl]-3'-oxospiro(cyclohexane-1,1'-(3'H)-isobenzofuran)-4-carboxamide

[0189] ¹H-NMR(300MHz,DMSO-d₆,δppm):1.69-1.77(2H,m),1.83-2.18(8H,m), 2.47-2.53(1H,m),3.17-3.23(1H,m), 3.28-3.34(1H,m),3.43-3.47 (1H,m),3.55-3.62(1H,m),4.35-4.38(1H,m),6.65-6.76(2H,m),6.97 - 7.08(2H,m),7.58-7.64 (2H,m),7.77(1H,d,J=7.3Hz),7.83(1H,d,J= 7.6Hz),8.17(1H,d,J=6.9Hz).

Example 3

Trans-N-[(3S)-1-(3-fluorophenyl)-3-pyrrolidinyl]-3'-oxospiro[cyclohexane-1,1'-(3'H)-isobenzofuran]-4-carboxamide

[0190] ¹H-NMR(300MHz,CDCl₃,δppm):1.74-1.80(2H,m),2.00-2.15(5H,m), 2.23-2.38(3H,m),2.48-2.52(1H,m),3.20 (1H,dd,J=9.9,3.6Hz), 3.35-3.47(2H,m),3.59-3.65(1H,m),4.66-4.68(1H,m),5.73-5.77 (1H,m),6.23-6.45(3H,m),7.17(1H, dt,J=8.2,6.9Hz),7.49-7.58 (2H,m),7.63-7.69(1H,m),7.87(1H,dd,J=7.6,0.8Hz).

Example 4

Trans-N-[(3S)-1-(4-fluorophenyl)-3-pyrrolidinyl]-3'-oxospiro[cyclohexane-1,1'-(3'H)-isobenzofuran]-4-carboxamide

[0191] ¹H-NMR(300MHz,CDCl₃,δppm):1.74-1.82(2H,m),1.99-2.39(8H,m), 2.48-2.52(1H,m),3.19(1H,dd, J=9.9,3.3Hz),3.26-3.34(1H,m), 3.41-3.48(1H,m),3.56(1H,dd,J=9.9,5.9Hz),4.65-4.72(1H,m), 5.77-5.80(1H,m), 6.48-6.54(2H,m),6.93-7.00(2H,m),7.49-7.58 (2H,m),7.62-7.68(1H,m),7.88(1H,dd,J=7.6,0.9Hz).

Example 5

Trans-N-[1-(2-fluorophenyl)-4-piperidyl]-3'-oxospiro[cyclohexane-1,1'-(3'H)-isobenzofuran]-4-carboxamide

[0192] ¹H-NMR(300MHz,CD₃OD,δppm):1.80-1.92(2H,m),1.99-2.37(10H,m), 2.60-2.70(1H,m),3.71-3.89(4H,m), 4.04-4.20(1H,m),7.37-7.50 (2H,m),7.52-7.64(2H,m),7.69-7.89(4H,m).

Example 6

Trans-N-[1-(3-fluorophenyl)-4-piperidyl]-3'-oxospiro[cyclohexane-1,1'-(3'H)-isobenzofuran]-4-carboxamide

[0193] ¹H-NMR(300MHz,CD₃OD,δppm):1.78-1.92(2H,m),1.98-2.38(10H,m), 2.59-2.69(1H,m),3.67-3.88(4H,m),

4.07-4.20(1H,m), 7.28(1H,dt, J=7.6, 1.5Hz), 7.43-7.68(4H,m), 7.70-7.79(2H,m), 7.84(1H,d, J= 7.6Hz).

Example 7

5 Trans-N-[1-(4-fluorophenyl)-4-piperidyl]-3'-oxospiro[cyclohexane-1,1'-(3'H)-isobenzofuran]-4-carboxamide

[0194] ¹H-NMR(300MHz, CD₃OD, δppm): 1.77-1.93(2H,m), 1.98-2.41(10H,m), 2.60-2.71(1H,m), 3.70-3.91(4H,m), 4.11-4.26(1H,m), 7.30-7.43 (2H,m), 7.55-7.66(1H,m), 7.71-7.81(2H,m), 7.81-7.94(3H,m).

10 Example 8

Trans-3'-oxo-N-(1,2,3,4-tetrahydro-2-naphthyl)spiro[cyclohexane-1,1'-(3'H)-isobenzofuran]-4-carboxamide

[0195] ¹H-NMR(300MHz, CDCl₃, δppm): 1.70-1.91(3H,m), 1.96-2.19(5H,m), 2.19-2.39(2H,m), 2.49(1H,q, J=5.0Hz), 2.73(1H,dd, J=16.3, 7.9 Hz), 2.79-3.03(2H,m), 3.15(1H,dd, J=16.3, 5.0Hz), 4.37-4.42(1H,m), 5.62(1H,br d, J=7.6Hz), 6.99-7.21(4H,m), 7.46-7.70(3H,m), 7.86(1H,dd, J=7.6, 1.0Hz).

Example 9

20 Trans-N-[1-(3-fluorophenyl)-4-piperidyl]-3-oxospiro[4-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

[0196] ¹H-NMR(300MHz, CDCl₃, δppm): 1.61(2H,dq, J=11.6, 4.0Hz), 1.70-1.95(2H,m), 1.95-2.23(6H,m), 2.23-2.45(2H,m), 2.53(1H,quintet, J= 5.1Hz), 2.81-3.03(2H,m), 3.65(2H,brd, J=12.9Hz), 3.90-4.07(1H, m), 5.72(1H,brd, J=7.7Hz), 6.52(1H,dt, J=8.2, 2.3Hz), 6.58(1H,dt, J=12.3, 2.3Hz), 6.69(1H,dt, J=8.3, 2.3Hz), 7.17(1H,q, J=7.9Hz), 7.56(1H,dd, J=7.3, 4.6Hz), 8.03(1H,d, J=7.9Hz), 8.89(1H,d, J=4.6 Hz).

Example 10

30 Trans-N-[1-(3-fluorophenyl)-4-piperidyl]-3-oxospiro[5-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

[0197] ¹H-NMR(300MHz, CDCl₃, δppm): 1.59(2H,dq, J=11.5, 4.0Hz), 1.70-2.60(8H,m), 2.80-3.03(2H,m), 3.55-3.79(2H,m), 3.90-4.09(1H,m), 5.63(1H,brd, J=7.9Hz), 6.30-6.81(3H,m), 7.10-7.24(1H,m), 7.59 (1H,dd, J=5.2, 1.2Hz), 8.84(1H,d, J=5.2Hz), 9.14(1H,s).

35 Example 11

Trans-N-[1-(3-fluorophenyl)-4-piperidyl]-3-oxaspiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

[0198] ¹H-NMR(300MHz, CDCl₃, δppm): 1.50-1.70(2H,m), 1.70-1.96(2H,m), 1.96-2.27(6H,m), 2.27-2.61(3H,m), 2.82-3.02(2H,m), 3.57-3.78 (2H,m), 3.94-4.09(1H,m), 5.64(1H,br d, J=7.9Hz), 6.44-6.78 (3H, m), 7.10-7.23(1H,m), 7.77 (1H,dd, J=5.0, 1.1Hz), 8.86(1H,d, J= 5.0Hz), 9.04(1H,d, J=1.1Hz).

Example 12

45 Trans-N-[1-(3-fluorophenyl)-4-piperidyl]-3-oxospiro[7-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

[0199] ¹H-NMR(300MHz, CDCl₃, δppm): 1.49-1.69(2H,m), 1.80-2.53(11H,m), 2.82-3.03(2H,m), 3.53-3.78(2H,m), 3.95-4.17(1H,m), 5.70(1H,br d, J=7.6Hz), 6.43-6.76(3H,m), 7.11-7.24(1H,m), 7.49(1H,dd, J= 7.8, 4.9Hz), 8.15(1H,dd, J= 7.8, 1.6Hz), 8.84(1H,dd, J=4.9, 1.6Hz).

50

Example 13

Trans-N-(6-methoxy-1,2,3,4-tetrahydro-2-naphthyl)-3-oxospiro[5-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

55

[0200] ¹H-NMR(300MHz, CDCl₃, δppm): 1.71-1.95(3H,m), 1.95-2.23(5H,m), 2.23-2.42(2H,m), 2.50(1H,q, J=5.0Hz), 2.66(1H,dd, J=16.0, 7.8 Hz), 2.77-3.01(2H,m), 3.07(1H,dd, J=16.0, 5.0Hz), 3.77(3H,s), 4.24-4.42(1H,m), 5.96(1H,br d, J=7.7Hz), 6.65(1H,d, J=2.5Hz), 6.72 (1H,dd, J=8.4, 2.5Hz), 6.97(1H,d, J=8.5Hz), 7.61(1H,d, J= 5.0Hz), 8.83(1H,d,

J=5.0Hz), 9.12(1H,s).

Example 14

5 Trans-3'-oxo-N-[(3S)-5-oxo-1-phenyl-3-pyrrolidinyl]spiro(cyclohexane-1,1'-(3'H)-isobenzofuran)-4-carboxamide

[0201] ¹H-NMR(300MHz,DMSO-d₆,δppm):1.68-1.76(2H,m),1.86-2.11(6H, m),2.40-2.54(2H,m),2.92(1H,dd,J=17.1, 8.2Hz),3.60-3.67(1H, m),4.16(1H,dd,J=10.2,7.0Hz),4.46-4.51(1H,m),7.10-7.16(3H, m),7.33-7.40(2H,m),7.57-7.67 (4H,m),7.74-7.84(2H,m),8.46(1H, d,J=6.7Hz).

Example 15

15 Trans-N-[(3S)-1-(2-fluorophenyl)-3-pyrrolidinyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

[0202] ¹H-NMR(300MHz,CDCl₃,δppm):1.80-2.00(3H,m),2.00-2.20(4H,m), 2.30-2.40(3H,m),2.52(1H,quintet,J= 5.2Hz),3.30-3.40(2H,m), 3.50-3.70(2H,m),4.60-4.70(1H,m),5.94(1H,d,J=7.7Hz),6.65-6.80(2H,m),6.95-7.10(2H,m), 7.70-7.80(1H,m),8.86(1H,d,J=4.9 Hz),9.04(1H,s).

Example 16

20 Trans-N-[(3S)-1-(3-fluorophenyl)-3-pyrrolidinyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

25 [0203] ¹H-NMR(300MHz,CDCl₃,δppm):1.75-1.90(2H,m),2.00-2.20(5H,m), 2.30-2.40(3H,m),2.51(1H,quintet,J= 4.9Hz),3.20(1H,dd,J=10.2, 3.4Hz),3.30-3.50(2H,m),3.61(1H,dd,J=10.0,6.0Hz),4.60-4.70 (1H,m),5.93(1H,d,J=7.2Hz), 6.25(1H,dt,J=12.1,2.3Hz),6.30-6.36(1H,m),6.36-6.45(1H,m),7.15(1H,dt,J=8.2,6.9Hz),7.70-7.80 (1H,m),8.80-8.90 (1H,m),9.04(1H,s).

Example 17

30 Trans-3-oxo-N-(trans-4-phenylcyclohexyl)spiro[5-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

35 [0204] ¹H-NMR(300MHz,CDCl₃,δppm):1.18-1.93(2H,m),1.49-1.74(2H,m), 1.72-1.88(2H,m),1.91-2.22(8H,m),2.27- 2.42(2H,m),2.45-2.59 (2H,m),3.79-3.97(1H,m),5.33-5.48(1H,m),7.12-7.35(5H,m),7.58 (1H,d,J=5.4Hz),8.84(1H,d, J=5.4Hz),9.15(1H,s).

Example 18

40 Trans-3-oxo-N-(trans-4-phenylcyclohexyl)spiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

[0205] ¹H-NMR(300MHz,CDCl₃,δppm):1.15-1.41(2H,m),1.43-1.84(2H,m), 1.86-2.24(10H,m),2.28-2.60(4H,m), 3.78-4.00(1H,m),5.29-5.50 (1H,m),7.08-7.37(5H,m),7.76(1H,dd,J=4.8,1.2Hz),8.86(1H,d,J= 4.8Hz),9.04(1H,d,J= 1.2Hz).

Example 19

45 Trans-3-oxo-N-(trans-4-phenylcyclohexyl)spiro[7-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

50 [0206] ¹H-NMR(300MHz,CDCl₃,δppm):1.18-1.43(2H,m),1.43-1.77(2H,m), 1.77-2.62(14H,m),3.80-4.00(1H,m), 5.34-5.51(1H,m),7.09-7.48 (5H,m),7.46(1H,dd,J=7.8,4.8Hz),8.17(1H,dd,J=7.8,1.5Hz),8.84 (1H,dd,J=4.8,1.5Hz).

Example 20

55 Trans-3-oxo-N-[(3S)-1-phenyl-3-pyrrolidinyl]spiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

[0207] ¹H-NMR(300MHz,CDCl₃,δppm):1.75-1.88(2H,m),1.95-2.20(6H,m), 2.30-2.54(4H,m),3.20-3.62(4H,m),4.62- 4.72(1H,m),5.70-5.80 (1H,m),6.60(2H,d,J=8.7Hz),6.74(1H,t,J=7.4Hz),7.20-7.32(2H, m),7.76(1H,d,J=5.0Hz),8.87(1H,

d, J=5.0 Hz), 9.04 (1H, s).

Example 21

5 Trans-3-oxo-N-[(3S)-1-(3-trifluoromethylphenyl)-3-pyrrolidinyl]spiro(6-azaisobenzofuran-1(3H),1'-cyclohexane)-4'-carboxamide

[0208] ¹H-NMR(200MHz,CDCl₃,δppm):1.75-2.57(11H,m),3.18-3.71(4H,m), 4.62-4.78(1H,m),5.68-5.80(1H,m), 6.68-6.80(2H,m),6.90-7.00 (1H,m),7.25-7.38(1H,m),7.76(1H,d,J=5.0Hz),8.87(1H,d,J=5.0 Hz),9.04(1H,s).

Example 22

Trans-3-oxo-N-[(3S)-1-(2-pyridyl)-3-pyrrolidinyl]spiro[5-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

15 [0209] ¹H-NMR(300MHz,CDCl₃,δppm):1.70-1.90(2H,m),2.00-2.20(5H,m), 2.20-2.40(3H,m),2.51(1H,quintet,J=4.9Hz),3.00-3.10(2H,m), 3.42(1H,dd,J=10.9,3.6Hz),3.77(1H,dd,J=10.9,5.9Hz),4.60-4.70 (1H,m),5.83(1H,d,J=7.3Hz), 6.38(1H,d,J=8.6Hz),6.55-6.65(1H, m),7.64(1H,ddd,J=9.0,7.0,2.0Hz),7.56(1H,dd,J=5.4,1.6Hz), 8.10-8.20(1H,m),8.84 (1H,d,J=5.4Hz),9.14(1H,s).

Example 23

Trans-3-oxo-N-[(3S)-1-(3-pyridyl)-3-pyrrolidinyl]spiro[5-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

25 [0210] ¹H-NMR(300MHz,CDCl₃,δppm):1.70-1.90(2H,m),2.00-2.20(5H,m), 2.25-2.45(3H,m),2.54(1H,quintet,J=5.3Hz),3.23(1H,dd,J=9.9, 3.0Hz),3.30-3.50(2H,m),3.63(1H,dd,J=9.7,5.9Hz),4.60-4.70 (1H,m),6.17(1H,d,J=7.2Hz), 6.70-6.90(1H,m),7.00-7.20(1H,m), 7.57(1H,dd,J=5.3,0.9Hz),7.90-8.00(2H,m),8.85(1H,d,J=5.3Hz), 9.15(1H,s).

Example 24

30 Trans-N-[trans-4-(4-fluorophenyl)cyclohexyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

[0211] ¹H-NMR(300MHz,CDCl₃,δppm):1.13-1.41(2H,m),1.41-1.68(2H,m), 1.72-2.26(10H,m),2.26-2.60(4H,m), 3.78-4.00(1H,m),5.32-5.51 (1H,m),6.81-7.07(2H,m),7.07-7.37(2H,m),7.76(1H,dd,J=5.4,1.2 Hz),8.86(1H,d,J=5.4Hz), 9.04(1H,d,J=1.2Hz).

Example 25

Trans-N-[trans-4-(3-fluorophenyl)cyclohexyl]-3-oxospiro[6-azaisobenzofuran-1(3N),1'-cyclohexane]-4'-carboxamide

40 [0212] ¹H-NMR(300MHz,CDCl₃,δppm):1.19-1.41(2H,m),1.49-1.73(2H,m), 1.73-2.27(10H,m),2.39-2.70(4H,m), 3.79-4.00(1H,m),5.32-5.48 (1H,m),6.82-7.03(3H,m),7.19-7.34(1H,m),7.76(1H,dd,J=4.8,0.9 Hz),8.86(1H,d,J=4.8Hz), 9.04(1H,d,J=0.9Hz).

Example 26

45 Trans-N-[trans-4-(3-fluorophenyl)cyclohexyl]-3-oxospiro[4-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

[0213] ¹H-NMR(300MHz,CDCl₃,δppm):1.19-1.41(2H,m),1.49-1.73(2H,m), 1.73-2.25(10H,m),2.25-2.42(2H,m), 2.42-2.60(2H,m),3.77-3.96 (1H,m),5.36-5.56(1H,m),6.82-7.03(1H,m),7.19-7.34(1H,m),7.54 (1H,dd,J=7.8,4.5Hz),8.03 (1H,d,J=7.8Hz),8.88(1H,d,J=4.5Hz).

Example 27

55 Trans-N-[trans-4-(3-fluorophenyl)cyclohexyl]-3-oxospiro[7-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

[0214] ¹H-NMR(300MHz,CDCl₃,δppm):1.19-1.41(2H,m),1.49-1.76(2H,m), 1.78-2.60(14H,m),3.80-4.00(1H,m), 5.35-5.53(1H,m),6.82-7.03 (3H,m),7.18-7.35(1H,m),7.46(1H,dd,J=7.8,4.8Hz),8.17(1H,dd, J=7.8,1.5Hz),8.84(1H,dd, J=4.8,1.5Hz).

Example 28

Trans-N-[(3S)-1-(3,5-difluorophenyl)-3-pyrrolidinyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

[0215] ¹H-NMR(300MHz,CDCl₃,δppm):1.80-1.90(2H,m),1.95-2.20(5H,m), 2.30-2.45(3H,m),2.53(1H,quintet,J=4.6Hz),3.10-3.20(1H,m), 3.30-3.50(2H,m),3.60-3.70(1H,m),4.60-4.70(1H,m),5.60-5.70 (1H,m),6.50-6.60(2H,m), 6.60-6.70(1H,m),7.76(1H,d,J=4.9Hz), 8.87(1H,d,J=4.9Hz),9.03(1H,s).

Example 29

Trans-N-[1-(3,5-difluorophenyl)-4-piperidyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

[0216] ¹H-NMR(300MHz,CDCl₃,δppm):1.45-1.61(2H,m),1.78-1.90(2H,m), 2.00-2.21(6H,m),2.31-2.45(2H,m), 2.45-2.55(1H,m),2.88-3.00 (2H,m),3.60-3.71(2H,m),3.95-4.10(1H,m),5.48(1H,br d,J=7.5 Hz),6.18-6.29(1H,m), 6.29-6.43(2H,m),7.77(1H,dd,J=5.0,1.1 Hz),8.86(1H,d,J=5.0Hz),9.03(1H,d,J=1.1Hz).

Example 30

Trans-N-[3-(3-fluorophenyl)-tetrahydropyran-6-yl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

[0217] ¹H-NMR(300MHz,CDCl₃,δppm):1.43-2.10(13H,m),3.24(1H,t,J=10.5 Hz),4.02-4.20(1H,m),4.24(1H,d,J=10.5Hz),4.32(1H,d,J=10.5 Hz),5.20-5.40(1H,m),6.88-7.03(1H,m),7.03-7.16(2H,m),7.20-7.39(1H,m),7.76(1H,dd,J=5.1,1.2Hz),8.87(1H,d,J=5.1Hz),9.04 (1H,d,J=1.2Hz).

Example 31

Trans-N-[trans-4-(2-fluorophenyl)cyclohexyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

[0218] ¹H-NMR(300MHz,CDCl₃,δppm):1.22-1.46(2H,m),1.52-2.28(12H,m), 2.28-2.60(3H,m),2.76-2.97(1H,m), 3.80-4.00(1H,m),5.35-5.53 (1H,m),6.92-7.41(4H,m),7.76(1H,dd,J=4.8,1.2Hz),8.86(1H,d,J=4.8Hz),9.04(1H,d,J=1.2Hz).

Example 32

Trans-N-[(S)-1-benzyl-2-(benzylamino)ethyl]-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4'-carboxamide

[0219] ¹H-NMR(300MHz,CDCl₃,δppm):1.50-1.74(2H,m),1.60-2.10(5H,m), 2.16-2.27(1H,m),2.39-2.47(1H,m),2.68-2.75(2H,m),2.86(2H,d, J=6.6Hz),3.79(2H,s),4.28-4.39(1H,m),5.85(1H,d,J=8.4Hz),7.13 - 7.37(10H,m),7.46(1H,d, J=8.1Hz),7.51(1H,d,J=7.8Hz),7.63(1H, t,J=7.8Hz),7.86(1H,d,J=7.8Hz).

Example 33

Trans-N-benzhydryl-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide

[0220] ¹H-NMR(200MHz,CDCl₃,δppm):1.70-1.80(2H,m),2.10-2.40(6H,m), 2.64(1H,quintet,J=4.9Hz),6.16(1H,d, J=7.6Hz),6.28(1H,d,J=7.6 Hz),7.20-7.40(10H,m),7.45-7.55(2H,m),7.60-7.70(1H,m),7.80-7.90(1H,m).

Example 34

Trans-1-methanesulfonyl-N-(1-phenyl-4-piperidyl)spiro[indoline-3,1'-cyclohexane]-4'-carboxamide

[0221] ¹H-NMR(300MHz,CDCl₃,δppm):1.50-1.70(4H,m),1.71-1.83(2H,m), 1.95-2.15(6H,m),2.30-2.40(1H,m),2.82-2.96(2H,m),2.89(3H,s), 3.60-3.68(2H,br d,J=12.9Hz),3.72(2H,s),3.92-4.08 (1H,m), 5.47(1H,d,J=7.7Hz),6.85(1H,t, J=7.3Hz),6.94(2H,d,J=8.5Hz), 7.05(1H,t,J=7.3Hz),7.18-7.30(3H,m),7.40(2H,t,J=7.3Hz).

Example 35

Trans-N-(2-indanyl)-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide

5 **[0222]** ¹H-NMR(300MHz,CDCl₃,δppm):1.50-1.63(2H,m),1.68-1.80(2H,m), 1.99-2.11(4H,m),2.23-2.32(1H,m),2.81(2H,dd,J=16.2,4.2Hz),2.88(3H,s),3.36(2H,dd,J=16.2,7.2Hz),3.70(2H,s),4.72-4.85(1H,m),5.68-5.77(1H,m),7.05(1H,t,J=7.2Hz),7.18-7.30(5H,m),7.34-7.45(2H,m).

Example 36

Trans-N-[1-(3-fluorophenyl)-4-piperidyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide

10 **[0223]** ¹H-NMR(300MHz,CDCl₃,δppm):1.46-1.68(4H,m),1.70-1.84(2H,m), 2.00-2.13(6H,m),2.30-2.40(1H,m),2.89(3H,s),2.86-2.98(2H,m), 3.61-3.71(2H,m),3.72(2H,s),3.95-4.05(1H,m),5.43(1H,d,J=7.7 Hz),6.49(1H,dt,J=8.2,2.3Hz),
15 6.60(1H,dt,J=12.4,2.3Hz),6.68 (1H,dd,J=8.2,2.3Hz),7.05(1H,dt,J=7.6,1.1Hz),7.17(1H,t,J=7.6 Hz),7.22(1H,dt,J=7.6,1.3Hz),7.40(1H,dd,J=7.6,1.1Hz),7.42 (1H,dd,J=7.6,1.1Hz).

Example 37

Trans-1-methanesulfonyl-N-[1-(2-pyridyl)-4-piperidyl]spiro[indoline-3,1'-cyclohexane]-4'-carboxamide

20 **[0224]** ¹H-NMR(300MHz,CDCl₃,δppm):1.38-1.54(2H,m),1.53-1.63(2H,m), 1.65-1.75(4H,m),2.00-2.12(6H,m),2.29-2.40(1H,m),2.88(3H,s), 3.02(2H,ddd,J=13.8,11.2,2.5Hz),3.72(2H,s),4.06(1H,m),4.25 (2H,m),5.44(1H,d,J=7.8Hz),
25 6.56-6.66(1H,m),6.68(1H,d,J=8.7 Hz),7.05(1H,dt,J=7.6,1.0Hz),7.22(1H,dt,J=7.6,1.2Hz),7.39 (1H,d,J=7.6Hz),7.42(1H,dd,J=7.6,1.0Hz),7.47(1H,ddd,J=8.8,7.1,2.0Hz),8.13-8.24(1H,m).

Example 38

Trans-1-methanesulfonyl-N-(1-phenyl-3-piperidyl)spiro[indoline-3,1'-cyclohexane]-4'-carboxamide

30 **[0225]** ¹H-NMR(300MHz,CDCl₃,δppm):1.54-1.88(8H,m),2.00-2.19(4H,m), 2.33-2.42(1H,m),2.89(3H,s),2.96-3.05(1H,m),3.13-3.43(3H,m), 3.68-3.75(2H,m),4.20-4.30(1H,m),6.03-6.10(1H,m),6.88(1H,t,J =7.2Hz),6.91-6.99(2H,m),
35 7.01-7.08(1H,m),7.19-7.30(3H,m),7.37-7.43(2H,m).

Example 39

Trans-N-[1-(3,5-difluorophenyl)-3-piperidyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide

40 **[0226]** ¹H-NMR(300MHz,CDCl₃,δppm):1.53-1.90(8H,m),2.00-2.13(4H,m), 2.33-2.42(1H,m),2.88(3H,s),3.01-3.20(3H,m),3.40-3.48(1H,m), 3.67-3.82(2H,m),4.10-4.21(1H,m),5.97-6.03(1H,m),6.19-6.28 (1H,m),6.35-6.45(2H,m),7.05(1H,t,J=7.5Hz),7.22(1H,t,J=7.5 Hz),7.38(1H,d,J=7.8Hz),7.42(1H,d,J=7.8Hz).

Example 40

Trans-1-methanesulfonyl-N-[1-(2-pyridyl)-3-piperidyl]spiro[indoline-3,1'-cyclohexane]-4'-carboxamide

45 **[0227]** ¹H-NMR(300MHz,CDCl₃,δppm):1.50-1.90(8H,m),1.95-2.32(4H,m), 2.31-2.40(1H,m),2.88(3H,s),3.43-3.50(1H,m),3.70(2H,s),3.55-3.74(3H,m),4.08-4.16(1H,m),6.30(1H,d,J=7.6Hz),6.61(1H,dd,J=7.1,5.0Hz),6.73(1H,d,J=8.6Hz),7.03(1H,dt,J=7.5,1.1Hz),7.21 (1H,dt,J=7.5,1.1Hz),7.38(2H,d,J=8.6Hz),7.47(1H,ddd,J=8.6,7.1,2.0Hz),8.14
50 (1H,dd,J=5.0,2.0Hz).

Example 41

Trans-1-methanesulfonyl-N-[(3S)-1-phenyl-3-pyrrolidinyl]spiro[indoline-3,1'-cyclohexane]-4'-carboxamide

55 **[0228]** ¹H-NMR(300MHz,CDCl₃,δppm):1.52-1.82(6H,m),1.96-2.13(3H,m), 2.28-2.40(2H,m),2.87(3H,m),3.22(1H,dd,J=9.9,3.3Hz),3.31-3.51(2H,m),3.59(1H,dd,J=9.9,5.7Hz),3.67-3.72(2H,m),4.61-4.71(1H,m),5.82-5.89(1H,m),6.60(2H,d,J=7.8Hz),6.73(1H,t,J=7.5Hz),7.04(1H,dd,J=7.5,1.2Hz),7.20-7.29(3H,m),7.40(2H,t,J=8.4Hz).

Example 42

Trans-1-methanesulfonyl-N-[(3R)-1-phenyl-3-pyrrolidinyl]spiro[indoline-3,1'-cyclohexane]-4'-carboxamide

5 **[0229]** ¹H-NMR(300MHz,CDCl₃,δppm):1.52-1.82(6H,m),1.96-2.13(3H,m), 2.28-2.40(2H,m),2.87(3H,m),3.22(1H,dd,J=9.9,3.3Hz),3.31-3.51(2H,m),3.59(1H,dd,J=9.9,5.7Hz),3.67-3.72(2H,m),4.61-4.71(1H,m),5.82-5.89(1H,m),6.60(2H,d,J=7.8Hz),6.73(1H,t,J=7.5Hz),7.04(1H,dd,J=7.5,1.2Hz),7.20-7.29(3H,m),7.40(2H,t,J=8.4Hz).

Example 43

10 Trans-1-methanesulfonyl-N-(2-phenylcyclopropyl)spiro[indoline-3,1'-cyclohexane]-4'-carboxamide

[0230] ¹H-NMR(300MHz,CDCl₃,δppm):1.10-1.30(2H,m),1.50-1.87(4H,m), 1.95-2.20(5H,m),2.30-2.38(1H,m),2.89(3H,s),2.86-2.95(1H,m), 3.72(2H,s),5.75-5.84(1H,m),6.99-7.48(9H,m).

Example 44

Trans-1-methanesulfonyl-N-[2-(3-pyridyl)cyclopropyl]spiro[indoline-3,1'-cyclohexane]-4'-carboxamide

20 **[0231]** ¹H-NMR(300MHz,CDCl₃,δppm):1.20-1.34(2H,m),1.52-1.89(4H,m), 2.00-2.20(5H,m),2.34-2.43(1H,m),2.89(3H,s),2.87-2.94(1H,m), 3.72(2H,s),5.86-5.95(1H,m),7.05(1H,dt,J=7.5,1.1Hz),7.19-7.30(2H,m),7.37-7.47(2H,m),7.51(1H,br d,J=7.9Hz), 8.45(1H,dd, J=4.7Hz,1.7Hz),8.50(1H,d,J=2.1Hz).

Example 45

25 Trans-N-[(S)-1-benzyl-2-(benzylamino)ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide

[0232] ¹H-NMR(300MHz,CDCl₃,δppm):1.46-1.75(4H,m),1.82-2.05(4H,m), 2.25-2.34(1H,m),2.68-2.72(2H,m),2.88(3H,s),2.80-2.90(2H,m), 3.70(2H,s),3.77(2H,s),4.25-4.36(1H,m),5.85(1H,d,J=7.5Hz), 7.03(1H,t,J=7.5Hz),7.15-7.32(12H,m),7.38(1H,d,J=7.5Hz).

Example 46

35 Trans-N-[1-benzylcarbamoyl-2-(4-pyridyl)ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide

[0233] ¹H-NMR(300MHz,CDCl₃,δppm):1.45-2.02(8H,m),2.30-2.40(1H,m), 2.88(3H,s),3.00-3.19(2H,m),3.68(2H,s),4.28-4.43(2H,m),4.78 (1H,q,J=7.5Hz),6.40-6.52(2H,m),6.98-7.40(11H,m),8.46(2H,d,J=5.9Hz).

Example 47

40 Trans-N-[2-(4-fluorophenyl)-1-[(4-pyridylmethyl)carbamoyl]ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide

[0234] ¹H-NMR(300MHz,CDCl₃,δppm):1.45-2.10(8H,m),2.35-2.45(1H,m), 2.88(3H,s),3.00-3.18(2H,m),3.70(2H,s),4.31(1H,dd,J=15.8,6.0 Hz),4.41(1H,dd,J=15.8,6.0Hz),4.76(1H,q,J=7.9Hz),6.42(1H,d,J=7.9Hz),6.79(1H,t,J=6.0Hz),6.90-7.10(5H,m),7.11-7.32(4H,m), 7.38(1H,d,J=8.0Hz),8.47(2H,d,J=5.3Hz).

Example 48

50 Trans-N-(2-hydroxy-2-phenylethyl)-1-methanesulfonylspiro(indoline-3,1'-cyclohexane)-4'-carboxamide

[0235] ¹H-NMR(300MHz,CDCl₃,δppm):1.50-1.63(2H,m),1.67-1.82(2H,m), 1.92-2.12(4H,m),2.33-2.42(1H,m),2.89(3H,s),3.27-3.47(2H,m), 3.71(2H,s),3.72-3.82(1H,m),4.88-4.94(1H,m),5.91-6.02(1H,m), 7.05(1H,t,J=7.8Hz),7.19-7.41(8H,m).

Example 49

Trans-N-(benzoylmethyl)-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide

5 **[0236]** ¹H-NMR(300MHz,CDCl₃,δppm):1.59-1.70(2H,m),1.80-1.91(2H,m), 2.02-2.21(4H,m),2.51-2.60(1H,m),2.90(3H,s),3.76(2H,s),4.81 (2H,d,J=4.2Hz),6.60-6.70(1H,m),7.04(1H,t,J=7.5Hz),7.22(1H, t,J=7.5Hz),7.38-7.43(2H,m),7.52(2H,t,J=7.5Hz),7.64(1H,t,J= 7.5Hz),8.00(2H,d,J=6.6Hz).

Example 50

10

Trans-N-[(S)-1-benzyl-2-(N-benzylmethylamino)ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide

15 **[0237]** ¹H-NMR(300MHz,CDCl₃,δppm):1.50-1.78(4H,m),1.80-2.10(4H,m), 2.23(3H,s),2.28-2.46(3H,m),2.88(3H,s),2.92(2H,d,J=6.6Hz), 3.46(1H,d,J=13.2Hz),3.53(1H,d,J=13.2Hz),3.71(2H,s),4.25-4.38(1H,m),5.62(1H,d,J=6.6Hz),7.02(1H,t,J=7.5Hz),7.12-7.34 (12H,m),7.38(1H,d,J=7.5Hz).

Example 51

20 Trans-N-[(S)-1-(N-benzylmethylcarbamoyl)-2-phenylethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide

25 **[0238]** ¹H-NMR(300MHz,CDCl₃,δppm):1.45-2.10(8H,m),2.33-2.46(1H,m), 2.75(3H,s),2.88(3H,s),3.00-3.10(2H,m),3.69(2H,s),4.46(1H,d, J=14.4Hz),4.63(1H,d,J=14.4Hz),5.20-5.35(1H,m),6.75(1H,d,J= 7.5Hz),7.00-7.36(13H,m),7.39(1H,d,J=7.5Hz).

Example 52

30 Trans-N-[(S)-1-(N-benzylmethylcarbamoyl)-2-(3-pyridyl)ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide

35 **[0239]** ¹H-NMR(300MHz,CDCl₃,δppm):1.45-2.08(8H,m),2.30-2.45(1H,m), 2.88(3H,s),2.97(3H,s),2.95-3.02(1H,m),3.12(1H,dd,J=13.6,7.2 Hz),3.70(2H,s),4.45-4.62(2H,m),5.20-5.30(1H,m),6.60(1H,br s),7.00-7.48(11H,m),8.45(1H,d,J=1.8Hz),8.47(1H,dd,J=5.1,1.8 Hz).

Example 53

40 Trans-N-(4-dimethylaminophenethyl)-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide

45 **[0240]** ¹H-NMR(300MHz,CDCl₃,δppm):1.49-1.80(4H,m),1.94-2.09(4H,m), 2.22-2.33(1H,m),2.75(2H,t,J=6.6Hz),2.88(3H,s),2.92(6H,s), 3.52(2H,dt,J=6.6,6.2Hz),3.70(2H,s),5.51(1H,t,J=6.2Hz),6.70 (2H,d,J=8.8Hz),7.04(1H,t,J=8.1Hz),7.07(2H,d,J=8.8Hz),7.22 (1H,t,J=8.1Hz),7.39(2H,d,J=8.1Hz).

Example 54

45

Trans-1-methanesulfonyl-N-[2-(3-quinolyl)ethyl]spiro[indoline-3,1'-cyclohexane]-4'-carboxamide

50 **[0241]** ¹H-NMR(300MHz,CDCl₃,δppm):1.49-1.79(4H,m),1.92-2.09(4H,m), 2.25-2.36(1H,m),2.88(3H,s),3.07(2H,t,J=6.6Hz),3.65(1H,dt,J= 6.7,6.6Hz),3.69(1H,dd,J=6.7,6.6Hz),3.69(2H,s),5.63(1H,t,J= 6.7Hz),7.02(1H,t,J=7.3Hz),7.22(1H,t,J=7.3Hz),7.33(1H,d,J= 7.3Hz),7.38(1H,d,J=7.3Hz),7.54(1H,t,J=7.9Hz),7.69(1H,t,J= 7.9Hz),7.77(1H,d,J=7.9Hz),7.99(1H,d,J=2.2Hz),8.08(1H,d,J= 7.9Hz),8.79(1H,d,J=2.2Hz).

Example 55

55 Trans-N-[2-(4-dimethylaminophenyl)-2-hydroxyethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide

[0242] ¹H-NMR(300MHz,CDCl₃,δppm):1.49-1.82(4H,m),1.98-2.12(4H,m), 2.32-2.42(1H,m),2.63-2.70(1H,m),2.89

(3H,s), 2.94(6H,s), 3.35-3.45(1H,m), 3.71(2H,s), 3.60-3.78(1H,m), 4.72-4.80(1H,s), 5.90-5.98(1H,m), 6.65-6.75(2H,m), 7.00-7.09(1H,m), 7.18-7.30(3H,m), 7.35-7.45(2H,m).

Example 56

Trans-N-[2-hydroxy-2-(3-quinolyl)ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide

[0243] ¹H-NMR(300MHz,CDCl₃,δppm): 1.50-1.82(4H,m), 1.92-2.10(4H,m), 2.32-2.45(1H,m), 2.88(3H,s), 3.48-3.60(2H,m), 3.69(2H,s), 3.82-3.95(1H,m), 4.19-4.30(1H,m), 5.12-5.20(1H,m), 7.04(1H,t,J=7.8Hz), 7.23(1H,t,J=7.8Hz), 7.33(1H,d,J=7.8Hz), 7.38(1H,d,J=7.8Hz), 7.55(1H,t,J=7.8Hz), 7.71(1H,t,J=7.8Hz), 7.80(1H,d,J=7.8Hz), 8.10(1H,d,J=7.8Hz), 8.21(1H,d,J=2.4Hz), 8.88(1H,d,J=2.4Hz).

Example 57

Trans-N-[2-(3,5-difluorophenyl)-2-hydroxyethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide

[0244] ¹H-NMR(300MHz,CDCl₃,δppm): 1.51-2.32(4H,m), 1.94-2.01(4H,m), 2.35-2.46(1H,s), 2.89(3H,s), 3.31-3.42(1H,m), 3.71(2H,s), 3.70-3.82(1H,m), 3.98(1H,d,J=4.3Hz), 4.87-4.94(1H,m), 5.98(1H,t,J=3.0Hz), 6.68-6.76(1H,m), 6.87-6.98(2H,m), 7.06(1H,t,J=7.7Hz), 7.23(1H,t,J=7.7Hz), 7.32-7.41(2H,m).

Example 58

Trans-N-[(S)-1-benzyl-2-[(3-pyridylmethyl)amino]ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide

[0245] ¹H-NMR(300MHz,CDCl₃,δppm): 1.45-1.75(4H,m), 1.80-2.09(4H,m), 2.25-2.38(1H,m), 2.70(2H,d,J=7.5Hz), 2.86(3H,s), 2.84-2.90(2H,m), 3.69(2H,s), 3.78(2H,s), 4.25-4.40(1H,m), 5.70(1H,d,J=7.5Hz), 7.03(1H,dd,J=7.5,2.4Hz), 7.12-7.40(9H,m), 7.57-7.63(1H,m), 8.50(1H,dd,J=5.1,1.5Hz), 8.55(1H,d,J=1.5Hz).

Example 59

Trans-N-[(S)-1-benzyl-2-[(2-pyridylmethyl)amino]ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide

[0246] ¹H-NMR(300MHz,CDCl₃,δppm): 1.45-2.10(8H,m), 2.30-2.40(1H,m), 2.66-2.76(2H,m), 2.88(3H,s), 2.80-2.99(2H,m), 3.70(2H,s), 3.90(2H,dd,J=14.4,5.7Hz), 4.23-4.37(1H,m), 6.14(1H,d,J=7.5Hz), 7.02(1H,t,J=7.5Hz), 7.12-7.30(9H,m), 7.36(1H,t,J=7.5Hz), 7.62(1H,dt,J=7.5,2.1Hz), 8.54(1H,dd,J=5.1,2.1Hz).

Example 60

Trans-N-[(S)-2-anilino-1-benzylethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide

[0247] ¹H-NMR(300MHz,CDCl₃,δppm): 1.42-2.07(8H,m), 2.24-2.33(1H,m), 2.87(3H,s), 2.84-2.91(1H,m), 3.00(1H,dd,J=14.1,6.3Hz), 3.20(1H,dd,J=12.4,7.5Hz), 3.33(1H,dd,J=12.4,4.5Hz), 3.67(2H,s), 4.47-4.58(1H,m), 5.49(1H,d,J=7.5Hz), 6.60(1H,d,J=7.5Hz), 6.70(1H,t,J=7.5Hz), 7.00(1H,t,J=7.5Hz), 7.12-7.40(10H,m).

Example 61

Trans-N-[(S)-1-benzyl-2-(isobutylamino)ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide

[0248] ¹H-NMR(300MHz,CDCl₃,δppm): 0.89(6H,d,J=6.3Hz), 1.45-2.10(9H,m), 2.30-2.40(1H,m), 2.43(2H,d,J=6.3Hz), 2.65-2.78(2H,m), 2.88(3H,s), 2.80-2.93(2H,m), 3.70(2H,s), 4.22-4.35(1H,m), 6.02(1H,d,J=7.5Hz), 7.15-7.40(9H,m).

Example 62

Trans-1-methanesulfonyl-N-[2-phenyl-1-(methoxycarbonyl)ethyl]spiro[indoline-3,1'-cyclohexane]-4'-carboxamide

[0249] ¹H-NMR(300MHz,CDCl₃,δppm): 1.49-1.60(2H,m), 1.63-1.78(2H,m), 1.87-2.10(4H,m), 2.33-2.41(1H,m), 2.88

(3H,s),3.10(1H,dd,J= 14.1,6.3Hz),3.22(1H,dd,J=14.1,5.7Hz),3.71(2H,s),3.77(3H,s), 4.91-4.97(1H,m),5.95(1H,br d, J=7.8Hz), 7.04(1H,t,J=6.9Hz), 7.11 (2H,d,J=6.3Hz),7.19-7.40(6H,m).

Example 63

Trans-N-(1-hydroxymethyl-2-phenylethyl)-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide

[0250] ¹H-NMR(200MHz,CDCl₃,δppm):1.43-2.11(7H,m),2.29-2.40(1H,m), 2.52-2.67(1H,m),2.79-3.02(2H,m),2.88 (3H,s),3.59-3.81(2H,m), 3.69(2H,s),4.18-4.35(1H,m),5.69-5.81(1H,m),7.04(1H,dt,J= 7.6,1.2Hz),7.18-7.41(8H,m).

Example 64

Trans-N-[1-(3-trifluoromethylphenyl)-4-piperidyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

[0251] ¹H-NMR(300MHz,CDCl₃,δppm):1.56-1.65(2H,m),1.80-1.88(2H,m), 2.04-2.21(6H,m),2.35-2.44(2H,m),2.50-2.53(1H,m),2.91-3.00 (2H,m),3.62-3.73(2H,m),4.00-4.16(1H,m),5.58-5.60(1H,m),7.06 - 7.13(3H,m),7.27-7.37(4H,m), 7.76(1H,d,J=3.7Hz),8.87(1H,d,J= 4.9Hz),9.04(1H,s).

Example 65

Trans-N-[trans-2-(3-fluorophenyl)cyclopropyl]-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide

[0252] ¹H-NMR(300MHz,CDCl₃,δppm):1.18-1.31(2H,m),1.70-1.82(2H,m), 2.00-2.21(5H,m),2.22-2.38(2H,m),2.46-2.56(1H,m),2.89-2.98 (1H,m),6.89(1H,br s), 6.83-6.91(2H,m),6.97(1H,d,J=7.2Hz), 7.19-7.29(1H,m),7.52(1H,t, J=7.2Hz),7.55-7.69(2H,m),7.87(1H, d,J=7.8Hz).

Example 66

Trans-N-[trans-2-(4-fluorophenyl)cyclopropyl]-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide

[0253] ¹H-NMR(300MHz,CDCl₃,δppm):1.12-1.28(2H,m),1.71-1.82(2H,m), 1.99-2.20(5H,m),2.21-2.38(2H,m),2.46-2.54(1H,m),2.81-2.90 (1H,m),5.86(1H,br s), 6.98(2H,t,J=8.7Hz), 7.13-7.21(2H,m), 7.52(1H,t,J=7.2Hz), 7.58-7.70(2H, m), 7.88(1H,d,J=7.8Hz).

Example 67

Trans-N-[1-(2-fluorophenyl)-4-piperidyl]-3-oxospiro[6-azaisobenzofuran]-1(3H),1'-cyclohexane]-4'-carboxamide

[0254] ¹H-NMR(300MHz,CDCl₃,δppm):1.56-1.74(2H,m),1.78-1.90(2H,m), 2.01-2.23(6H,m),2.32-2.48(2H,m),2.48-2.57(1H,m),2.77-2.90 (2H,m),3.38-3.50(2H,m),3.90-4.07(1H,m),5.51(1H,m),6.90-7.10 (4H,m),7.74(1H,d,J=5.0Hz), 8.87(1H,d,J=5.0Hz),9.05(1H,s).

Example 68

Trans-3'-oxo-N-[5-oxo-1-(2-fluorophenyl)-3-pyrrolidinyl]spiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide

[0255] ¹H-NMR(300MHz,CDCl₃,δppm):1.68-1.81(2H,m),1.96-2.15(4H,m), 2.15-2.30(2H,m),2.43-2.54(2H,m),2.99 (1H,dd,J=17.4,7.6Hz), 3.73(1H,dd,J=10.6,2.4Hz),4.16(1H,dd,J=10.6,6.0Hz),4.65-4.76 (1H,m),6.80(1H,br s),7.09-7.21(2H,m),7.23-7.32(1H,m), 7.32-7.42(1H,m),7.47-7.57(2H,m),7.59-7.68(1H,m),7.88(1H,d,J=7.5 Hz).

Example 69

Trans-3'-oxo-N-[5-oxo-1-(3-fluorophenyl)-3-pyrrolidinyl]spiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide

[0256] ¹H-NMR(300MHz,CDCl₃,δppm):1.71-1.94(2H,m),2.01-2.34(6H,m), 2.52-2.64(2H,m),2.98-3.11(1H,m),3.77 (1H,dd,J=10.5,2.9Hz), 4.23(1H,dd,J=10.5,6.4Hz),4.65-4.77(1H,m),6.78-7.13(2H,m), 7.17-7.33(2H,m),7.42-7.69(4H, m),7.80-7.88(1H,m).

Example 70

Trans-3-oxo-N-[5-oxo-1-(3-fluorophenyl)-3-pyrrolidiny]spiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

[0257] ¹H-NMR(300MHz,CDCl₃,δppm):1.76-1.91(2H,m),2.06-2.23(4H,m), 2.25-2.40(2H,m),2.50-2.62(2H,m),3.07(1H,dd,J=17.6,8.1Hz), 3.77(1H,dd,J=10.7,2.4Hz),4.24(1H,dd,J=10.6,6.2Hz),4.67-4.79 (1H,m),6.79-6.92(2H,m),7.16-7.35(2H,m),7.46-7.54(1H,m),7.75 (1H,d,J=5.0Hz),8.87(1H,d,3=5.0Hz),9.04(1H,s).

Example 71

Trans-N-[trans-4-(3-trifluoromethylphenyl)cyclohexyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

[0258] ¹H-NMR(300MHz,CDCl₃,δppm):1.26-1.40(2H,m),1.59-1.72(2H,m), 1.80-1.88(2H,m),1.97-2.20(7H,m),2.36-2.63(4H,m),3.88-3.93 (1H,m),5.42(1H,d,J=8.4Hz),7.40-7.47(4H,m),7.76(1H,d,J=6.0 Hz),8.87(1H,d,J=4.9Hz),9.04(1H,s).

Example 72

Trans-3'-oxo-N-[2-oxo-1-phenyl-4-piperidyl]spiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide

[0259] ¹H-NMR(300MHz,CDCl₃,δppm):1.65-1.85(1H,m),1.95-2.20(5H,m), 2.20-2.40(3H,m),2.43-2.60(2H,m),2.92-3.00(1H,m),3.60-3.80 (2H,m),4.40-4.45(1H,m),5.68-5.70(1H,m),7.20-7.23(3H,m),7.38 - 7.42(2H,m),7.45-7.56(2H,m),7.62-7.66(1H,m),7.86-7.87(1H, m).

Example 73

Trans-3'-oxo-N-[2-oxo-1-(3-fluorophenyl)-4-piperidyl]spiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide

[0260] ¹H-NMR(300MHz,CDCl₃,δppm):1.60-1.90(1H,m),1.95-2.20(5H,m), 2.22-2.60(5H,m),2.96-3.00(1H,m),3.62-3.80(2H,m),4.38-4.50 (1H,m),5.70-5.80(1H,m),7.20-7.30(4H,m),7.40-7.42(2H,m),7.72 - 7.80(1H,m),8.84-8.85(1H,m),9.01(1H,s).

Example 74

Trans-N-[trans-2-(2-fluorophenyl)cyclopropyl]-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide

[0261] ¹H-NMR(300MHz,CDCl₃,δppm):1.20-1.37(2H,m),1.70-1.82(2H,m), 2.01-2.38(7H,m),2.48-2.57(1H,m),3.00-3.09(1H,m),5.92(1H,br s),6.99-7.21(4H,m),7.51(1H,t,J=7.2Hz),7.56-7.69(2H,m),7.87 (1H,d,J=7.5Hz).

Example 75

Trans-N-[trans-2-(3-fluorophenyl)cyclopropyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

[0262] ¹H-NMR(300MHz,CDCl₃,δppm):1.17-1.32(2H,m),1.75-1.89(2H,m), 2.00-2.25(5H,m),2.31-2.58(3H,m),2.89-2.99(1H,m),5.84(1H,br s),6.80-7.03(3H,m),7.20-7.32(1H,m),7.76((1H,dd,J=5.1,0.9 Hz),8.87(1H,d,J=5.1Hz),9.03(1H,d,J=0.9Hz).

Example 76

Trans-N-[trans-2-(4-fluorophenyl)cyclopropyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide

[0263] ¹H-NMR(300MHz,CDCl₃,δppm):1.12-1.30(2H,m),1.78-1.90(2H,m), 2.01-2.22(5H,m),2.31-2.48(2H,m),2.48-2.57(1H,m),2.82-2.91 (1H,m),5.84(1H,br s),6.98(2H,t,J=8.7Hz),7.12-7.22(2H,m), 7.76(1H,dd,J=4.8,1.2Hz),8.87(1H,d,J=4.8Hz),9.04(1H,s).

Example 77

Preparation of 1-methanesulfonyl-N-(1-phenyl-4-piperidyl)spiro[indoline-3,4'-piperidine]-1'-carboxamide

(1) Preparation of phenyl N-(1-phenyl-4-piperidyl)carbamate

[0264] Pyridine (24 μ L) and phenyl chlorocarbonate (32 μ L) were added to a solution of 1-phenyl-4-piperidylamine (35 mg) in tetrahydrofuran (1 mL), and the mixture was stirred at room temperature for 12 hours. The reaction mixture was poured into saturated aqueous sodium bicarbonate, and extracted with ethyl acetate. The organic layer was dried over anhydrous magnesium sulfate and concentrated. The residue was purified by column chromatography on silica gel (ethyl acetate/chloroform = 1/1) to give the title compound (37 mg).

(2) Preparation of 1-methanesulfonyl-N-(1-phenyl-4-piperidyl)spiro[indoline-3,4'-piperidine]-1'-carboxamide

[0265] 1-Methanesulfonyl-spiro[indoline-3,4'-piperidine] hydrochloride (37 mg) and triethylamine (170 μ L) were added to a solution of phenyl N-(1-phenyl-4-piperidyl)carbamate (36 mg) in chloroform (3 mL), and the mixture was heated to reflux for 15 hours. The reaction mixture was poured into saturated aqueous sodium bicarbonate and extracted with ethyl acetate. The organic layer was dried over anhydrous magnesium sulfate and concentrated. The residue was crystallized from diethyl ether to give the title compound (18 mg) as a colorless crystal.

¹H-NMR(300MHz,CDCl₃, δ ppm):1.49-2.13(12H,m),2.71-2.83(1H,m), 2.84-3.00(2H,m),2.92(3H,s),3.10-3.19(1H,m), 3.60-3.70(1H,m), 3.85(2H,s),3.90-4.01(1H,m),4.37(1H,d,J=7.8Hz),6.84(1H,t,J= 7.2Hz),6.94(1H,d,J=8.7Hz),7.07(1H,t,J=7.2Hz),7.11-7.29(5H, m),7.40(1H,d,J=8.4Hz).

[0266] Compounds of Examples 78 to 83 were obtained by following the same procedure as in Example 77-(2), except that phenyl N-(1-phenyl-4-piperidyl)carbamate and 1-methanesulfonylspiro[indoline-3,4'-piperidine] hydrochloride used in Example 77-(2) were replaced with the corresponding starting material of each desired compound.

Example 78

3-Oxo-N-(1-phenyl-3-piperidyl)spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide

[0267] ¹H-NMR(300MHz,CDCl₃, δ ppm):1.60-1.90(2H,m),2.04-2.18(2H,m), 2.53-2.60(1H,m),3.01-3.49(9H,m),3.92-4.20(3H,m),5.03(1H,d,J =7.8Hz),6.88(1H,t,J=7.5Hz),6.97(2H,d,J=7.5Hz),7.21-7.30(2H, m),7.35(1H,d,J=7.8Hz),7.54(1H,t,J=7.5Hz),7.68(1H,t,J=7.5Hz) , 7.88(1H,d,J=7.5Hz).

Example 79

3-Oxo-N-[(3S)-1-phenyl-3-pyrrolidinyl]spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide

[0268] ¹H-NMR(300MHz,CDCl₃, δ ppm):1.98-2.20(3H,m),2.30-2.41(1H,m), 2.99-3.10(2H,m),3.22-3.40(4H,m),3.42-3.53(1H,m),3.59-3.65 (1H,m),3.96-4.11(2H,m),4.53-4.64(1H,m),4.68-4.77(1H,m),6.60 (2H,d,J=7.8Hz),6.72(1H,t,J=7.5Hz),7.25(2H,t,J=7.5Hz),7.37 (1H,d,J=7.5Hz),7.55(1H,t,J=7.5Hz),7.69(1H,t,J=7.5Hz),7.89 (1H,d,J=7.8Hz).

Example 80

N-[1-Benzylcarbamoyl-2-cyclohexylethyl]-3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide

[0269] ¹H-NMR(300MHz,CDCl₃, δ ppm):0.88-1.03(2H,m),1.10-1.25(3H,m), 1.27-1.45(1H,m),1.50-1.86(8H,m),2.03-2.19(2H,m),3.05-3.19 (2H,m),3.66(2H,s),3.94-4.05(2H,m),4.34-4.43(2H,m),4.52(1H, dd,J=13.5,6.0Hz),5.10(1H,d,J=7.5Hz),6.60(2H,br s),7.15-7.37 (9H,m).

Example 81

N-[(S)-1-Benzoyloxymethyl-2-cyclohexylethyl]-3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide

[0270] ¹H-NMR(300MHz,CDCl₃, δ ppm):0.80-1.32(7H,m),1.40-1.50(2H,m), 1.60-1.85(6H,m),2.03-2.20(2H,m),3.02-3.18(2H,m),3.47(1H,dd, J=9.7,3.3Hz),3.54(1H,dd,J=9.7,3.3Hz),3.66(2H,s),3.90-4.02 (2H,m),4.02-4.17(1H,m),4.49(1H,d,J=12.2Hz),4.54(1H,d,J=12.2 Hz),4.70(1H,d,J=8.7Hz),6.64(1H,s),7.10-7.40(9H,m).

Example 82

N-[(S)-1-Benzylcarbamoyl-2-phenylethyl]-3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide

[0271] ¹H-NMR(300MHz,CDCl₃,δppm):1.70-1.80(2H,m),1.90-2.12(2H,m), 3.00-3.20(2H,m),3.64(2H,s),3.83-4.00(2H,m),4.30(1H,dd,J= 15.0,5.7Hz),4.39(1H,dd,J=15.0,5.7Hz),4.58(1H,dd,J=14.5,7.5 Hz),5.37(1H,d,J=7.5Hz),6.31(1H,brs),6.73(1H,br s),7.08(2H, dd,J=7.5,2.4Hz),7.13-7.37(12H,m).

Example 83

N-[(S)-1-Benzyl-2-(benzylamino)ethyl]-3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide

[0272] ¹H-NMR(300MHz,CDCl₃,δppm):1.60-1.85(2H,m),1.93-2.14(2H,m), 2.68(2H,d,J=5.4Hz),2.77(1H,dd,J=13.5,7.5Hz),2.97(1H,dd,J= 13.5,5.4Hz),3.02-3.18(2H,m),3.65(2H,s),3.75(2H,s),3.82-4.04 (2H,m),4.07-4.20(1H,m),5.00(1H,d,J=6.9Hz),6.79(1H,br s), 7.10-7.36(14H,m).

Example 84

Preparation of N-(2-indanyl)-1-methanesulfonylspiro[indoline- 3,4'-piperidine]-1'-carboxamide

[0273] 1-Methanesulfonylspiro[indoline-3,4'-piperidine] hydrochloride (60 mg) and 10M aqueous sodium hydroxide (33 μL) were added to a solution of phenyl N-(2-indanyl)carbamate (50 mg) in dimethyl sulfoxide (2 mL), and the mixture was stirred at room temperature for one hour. The reaction mixture was poured into water, and extracted with ethyl acetate. The organic layer was dried over anhydrous magnesium sulfate and concentrated. The residue was washed with ethyl acetate to give the title compound (65 mg) as a colorless crystal.

¹H-NMR(300MHz,CDCl₃,δppm):1.72(2H,d,J=13.9Hz),1.83-1.95(2H, m),2.81-2.98(4H,m),2.91(3H,s),3.37(2H,dd,J=16.4,6.4Hz),3.84 (2H,s),3.95(2H,d,J=13.5Hz),4.69(2H,br s),7.06-7.28(7H,m), 7.40(1H,d,J=8.4Hz).

[0274] Compounds of Examples 85 to 88 were obtained by following the same procedure as in Example 84, except that phenyl N-(2-indanyl)carbamate and 1-methanesulfonylspiro[indoline-3,4'-piperidine] hydrochloride used in Example 84 were replaced with the corresponding starting materials of each desired compound.

Example 85

1-Methanesulfonyl-N-phenethylspiro[indoline-3,4'-piperidine]-1'-carboxamide

[0275] ¹H-NMR(300MHz,CDCl₃,δppm):1.61-1.72(2H,m),1.79-1.89(2H,m), 2.83-2.96(4H,m),2.86(3H,s),3.83(2H,s),3.85-3.94(2H,m),4.49 (1H,br s),7.04-7.41(9H,m).

Example 86

1-Methanesulfonyl-N-(3-phenylpropyl)spiro[indoline-3,4'-piperidine]-1'-carboxamide

[0276] ¹H-NMR(300MHz,CDCl₃,δppm):1.70-1.77(2H,m),1.86-2.02(2H,m), 2.71(2H,t,J=7.4Hz),2.88-2.99(2H,m),2.92(3H,s),3.33(2H,t,J= 7.0Hz),3.74-3.82(2H,m),3.84(2H,s),7.08(1H,t,J=7.4Hz),7.15-7.32(8H,m),7.40(1H,d,J=7.7Hz).

Example 87

1-Methanesulfonyl-N-(4-phenylbutyl)spiro[indoline-3,4'-piperidine]-1'-carboxamide

[0277] ¹H-NMR(300MHz,CDCl₃,δppm):1.54-2.00(8H,m),2.66(2H,t,J=7.3 Hz),2.92(3H,s),2.93-3.04(2H,m),3.29(2H,t,J=7.0Hz),3.85(2H, s),3.86-3.94(2H,m),7.07-7.11(1H,m),7.15-7.31(8H,m),7.38-7.42(1H,m).

Example 88

N-(4-Bromophenethyl)-1-methanesulfonylspiro[indoline-3,4'-piperidine]-1'-carboxamide

[0278] ¹H-NMR(300MHz,DMSO-d₆,δppm):1.55-1.70(4H,m),2.73(2H,t,J=7.1 Hz),2.78-2.88(2H,m),3.04(3H,s),3.22-3.32(2H,m),3.87(2H,s), 3.91(2H,brd, J=13.2Hz), 7.06(1H,t,J=7.2Hz), 7.18-7.29(5H,m), 7.48(2H,d,J=8.2Hz).

Example 89

Preparation of N-(3,4-dimethoxyphenethyl)-1-methanesulfonylspiro[indoline-3,4'-piperidine]-1'-carboxamide

[0279] 2-(4-Formyl-3-methoxyphenoxy)ethyl polystyrene resin (500 mg), 1% acetic acid-dimethylformamide (10 mL), 2-(3,4-dimethoxyphenyl)ethylamine (236 μ L) and sodium triacetoxymethylborohydride (590 mg) were successively added into a 75 mL-reservoir equipped with a frit. The mixture was stirred at room temperature overnight and then filtered. The remaining resin was washed successively with dimethylformamide, methanol and methylene chloride (10 mL each), and dried under reduced pressure to give 2-[4-[2-(3,4-dimethoxyphenyl)ethyl]aminomethyl-3-methoxyphenoxy]ethyl polystyrene resin. Then, the resin (50 mg) obtained above, methylene chloride (5 mL), triphosgene (22 mg) and triethylamine (38 μ L) were added to a 15 mL-reservoir equipped with a frit. The mixture was stirred at room temperature for 6 hours and then filtered. The remaining resin was washed with methylene chloride, and methylene chloride (5 mL), 1-methanesulfonylspiro[indoline-3,4'-piperidine] hydrochloride (22 mg) and triethylamine (77 μ L) were added thereto. The mixture was stirred at room temperature overnight and then filtered. The resin thus obtained was washed successively with dimethylformamide, methanol and methylene chloride (10 mL each), and stirred in 50% trifluoroacetic acid-methylene chloride solution for one hour to give a crude product. The product was purified by chromatography on silica gel to give the title compound (7 mg).

¹H-NMR(300MHz,CDCl₃, δ ppm):1.65-1.75(2H,m),1.78-1.93(2H,m), 2.80(2H,t,J=6.9Hz),2.83-2.98(2H,m),2.92(3H,s),3.50(1H,t,J= 6.5Hz),3.52(1H,t,J=6.5Hz),3.83(2H,s),3.86(3H,s),3.88(3H,s), 3.80-3.95(2H,m),4.51(1H,t),6.71-6.78(2H,m),6.79-6.84(1H,m), 7.03-7.15(2H,m),7.20-7.28(1H,m),7.40(1H,d,J=1.8Hz).

[0280] Compounds of Examples 90 to 95 were obtained by following the same procedure as in Example 89, except that 2-(3,4-dimethoxyphenyl)ethylamine and 1-methanesulfonylspiro[indoline-3,4'-piperidine] hydrochloride used in Example 89 were replaced with the corresponding starting materials of each desired compound.

Example 90

1-Methanesulfonyl-N-(3-methoxyphenethyl) spiro[indoline-3,4'-piperidine]-1'-carboxamide

[0281] ¹H-NMR(300MHz, CDCl₃, δ ppm):1.64-1.75(2H,br d,J=13.2Hz), 1.87 (2H,dt,J=13.2,4.2Hz),2.83(2H,t,J=6.5Hz),2.85-2.97(2H,m), 2.91(3H,s),3.51(1H,t,J=6.5Hz),3.53(1H,t,J=6.5Hz),3.79(3H,s),3.83(2H,s),3.84-3.95(2H,br d,J=13.5Hz),4.48(1H,t),6.75-6.81(3H,m),7.07(1H,t,J=7.4Hz),7.14(1H,dd,J=7.4,1.7Hz),7.18-7.30(2H,m).

Example 91

N-(4-Dimethylamino-2-methoxyphenethyl)-1-methanesulfonylspiro[indoline-3,4'-piperidine]-1'-carboxamide

[0282] ¹H-NMR(300MHz,CDCl₃, δ ppm):1.65-1.78(2H,m),2.76(2H,t,J=6.4Hz),2.91(3H,s),2.93(6H,s),2.80-3.00(2H,m),3.42(1H,t,J=6.4Hz), 3.44(1H,t,J=6.4Hz),3.83(5H,s),3.85-3.97(2H,m),4.86-4.95(1H,m),6.27(1H,d,J=2.3Hz),6.31(1H,dd,J=8.4,2.3Hz),6.95-7.20(4H,m),7.20-7.30(2H,m),7.39(1H,d,J=6.0Hz).

Example 92

N-[(S)-1-Benzyloxycarbonyl-2-(3-indolyl)ethyl]-3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide

[0283] ¹H-NMR(300MHz,CDCl₃, δ ppm):1.71 (2H,br d,J=14.3Hz), 1.91-2.12 (2H,m), 2.82-3.08(2H,m), 3.30 (1H,dd,J=14.4,5.0Hz), 3.38 (1H,dd,J=14.4,5.0Hz), 3.63(2H,s), 3.85(2H,br d,J=14.3Hz), 4.91 (1H,dt,J=8.0,5.0Hz), 5.01(1H,d,J=8.0Hz), 5.14(1H,d,J=11.9Hz), 5.22(1H,d,J=11.9Hz), 6.34(1H,br s), 6.65(1H,s), 7.09(1H,t, J=6.9Hz),7.12-7.40(11H,m),7.55(1H,d,J=8.9Hz),7.98(1H,br s).

Example 93

N-[(R)-1-Benzyloxycarbonyl-2-(3-indolyl)ethyl]-3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide

[0284] ¹H-NMR(300MHz,CDCl₃, δ ppm):1.71(2H,br d,J=14.3Hz),1.91-2.12 (2H,m),2.82-3.08(2H,m),3.30(1H,dd,J=14.4,5.0Hz),3.38(1H,dd, J=14.4,5.0Hz),3.63(2H,s),3.85(2H,br d,J=14.3Hz), 4.91(1H,dt, J=8.0,5.0Hz),5.01(1H,d,J=8.0Hz),5.14(1H,d,J=11.9Hz),5.22 (1H,d,J=11.9Hz),6.34(1H,br s),6.65(1H,s),7.09(1H,t,J=6.9 Hz),7.12-7.40(11H,m),

7.55(1H,d,J=8.9Hz),7.98(1H,br s).

Example 94

N-[(S)-1-Benzoyloxycarbonyl-2-cyclohexylethyl]-3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide

[0285] ¹H-NMR(300MHz,CDCl₃,δppm):0.82-1.00(2H,m),1.05-1.41(5H,m), 1.46-1.81(6H,m),1.82(2H,br d,J=12.1Hz),2.05-2.10(2H,m), 3.05-3.22(2H,m),3.66(2H,s),4.03(2H,br t,J=12.1Hz),4.60 (1H, dt,J=8.3,5.6Hz),4.93(1H,d,J=8.3Hz),5.11(1H,d,J=12.4Hz), 5.24(1H,d,J=12.4Hz),6.70(1H,br s),7.12-7.42(9H,m).

Example 95

3,4-Dihydro-N-(3-methoxyphenethyl)-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide

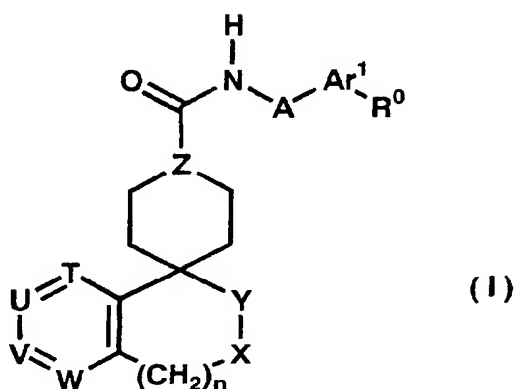
[0286] ¹H-NMR(300MHz,CDCl₃,δppm):1.75-1.85(2H,m),2.02-2.16(2H,m), 2.83(2H,t,J=6.8Hz),3.02-3.15(2H,m), 3.52(1H,t,J=6.8Hz),3.54 (1H,t,J=6.8Hz),3.66(2H,s),3.80(3H,s),3.85-3.98(2H,m),4.47-4.56(1H,m),6.52(1H,s),6.72-6.82(3H,m),7.15-7.32(5H,m).

Industrial Applicability

[0287] Compounds of the present invention have NPY antagonistic actions, therefore they are useful as agents for the treatment of various diseases related to NPY, for example, cardiovascular disorders such as hypertension, nephropathy, heart disease, vasospasm, arteriosclerosis, etc., central nervous system disorders such as bulimia, depression, anxiety, seizure, epilepsy, dementia, pain, alcoholism, drug withdrawal, circadian rhythm disorders, schizophrenia, etc., metabolic diseases such as obesity, diabetes, hormone abnormality, hypercholesterolemia, hyperlipidemia, etc., sexual and reproductive dysfunctions, gastro-intestinal disorders such as gastro-intestinal motility disorder, respiratory disorders, inflammatory diseases or glaucoma.

Claims

1. A compound of the formula (I):



(wherein

A is a straight-chain hydrocarbon having 1 to 6 carbon atoms, which is optionally substituted by a substituent selected from the group consisting of oxo, amino, lower alkylamino, di-lower alkylamino, hydroxy, lower alkoxy, lower alkoxy carbonyl, lower alkylene, aryl, heteroaryl and -R^a, and is optionally intervened by oxygen or nitrogen atom;

Ar¹ is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, nitro, oxo, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, cyclo-lower alkyl, lower alkenyl, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkylthio, carboxyl, lower alkanoyl,

lower alkoxycarbonyl and -Q-Ar²;

Ar² is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, cyano, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, hydroxy, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkanoyl and aryl;

n is 0 or 1;

Q is a single bond or carbonyl;

R^a is lower alkyl which is optionally substituted by a substituent selected from the group consisting of amino, lower alkylamino, di-lower alkylamino and hydroxy, and cyclo-lower alkyl, aryl and heteroaryl, the last three groups being optionally substituted by fluorine;

R⁰ is hydrogen, or lower alkylene attached to an arbitrary, bondable position of A;

R¹, R² and R⁵ are independently hydrogen, lower alkyl, aralkyl or aryl;

R³ and R⁴ are independently hydrogen, hydroxy, lower alkyl, aralkyl or aryl;

T, U, V and W are independently methine or nitrogen atom, said methine being optionally substituted by a substituent selected from the group consisting of halogen, lower alkyl, hydroxy and lower alkoxy, and at least

two of T, U, V and W are said methine group;

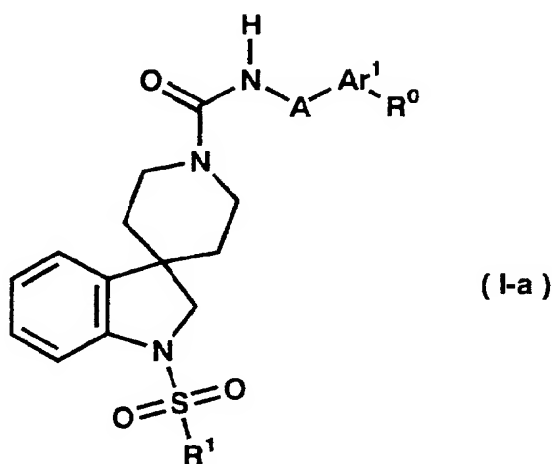
X is -N(SO₂R¹)-, -N(COR²)- or -CO-;

Y is -C(R³)(R⁴)-, -O- or -N(R⁵)-;

Z is methine or nitrogen atom),

or a salt or ester thereof.

2. The compound as claimed in Claim 1, wherein X is -N(SO₂R¹)- or -N(COR²)-, n is 0, and Y is -C(R³)(R⁴)-; or X is -CO-, and Y is -O- or -N(R⁵)-.
3. The compound as claimed in Claim 1, wherein T, U, V and W are independently methine which is optionally substituted by a substituent selected from the group consisting of halogen, lower alkyl, hydroxy and lower alkoxy.
4. The compound as claimed in Claim 1, wherein one of T, U, V and W is nitrogen atom.
5. The compound as claimed in Claim 1, wherein X is -CO-, and Y is -O- or -NH-.
6. The compound as claimed in Claim 1, wherein X is -CO-, and Y is -O-.
7. The compound of the formula (I-a) as claimed in Claim 1:



wherein

A is a straight-chain hydrocarbon having 1 to 6 carbon atoms, which is optionally substituted by a substituent selected from the group consisting of oxo, amino, lower alkylamino, di-lower alkylamino, hydroxy, lower alkoxy, lower alkoxycarbonyl, lower alkylene, aryl, heteroaryl and -R^a, and is optionally intervened by oxygen or ni-

trogen atom;

Ar¹ is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, nitro, oxo, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, cyclo-lower alkyl, lower alkenyl, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkylthio, carboxyl, lower alkanoyl, lower alkoxy carbonyl and -Q-Ar²;

Ar² is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, cyano, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, hydroxy, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkanoyl and aryl;

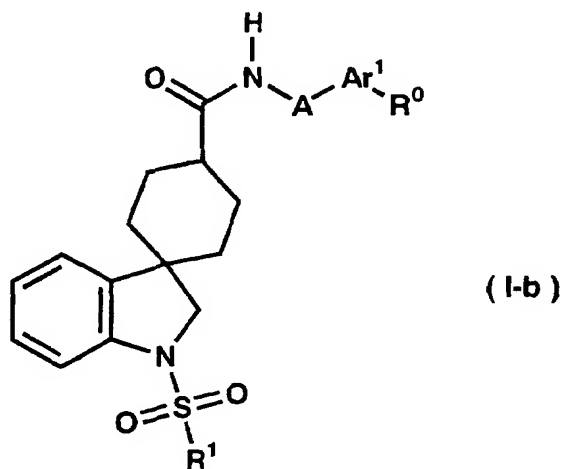
Q is a single bond or carbonyl;

R^a is lower alkyl which is optionally substituted by a substituent selected from the group consisting of amino, lower alkylamino, di-lower alkylamino and hydroxy, and cyclo-lower alkyl, aryl and heteroaryl, the last three groups being optionally substituted by fluorine;

R⁰ is hydrogen, or lower alkylene attached to an arbitrary, bondable position of A;

R¹ is hydrogen, lower alkyl, aralkyl or aryl.

8. The compound of the formula (I-b) as claimed in Claim 1:



wherein

A is a straight-chain hydrocarbon having 1 to 6 carbon atoms, which is optionally substituted by a substituent selected from the group consisting of oxo, amino, lower alkylamino, di-lower alkylamino, hydroxy, lower alkoxy, lower alkoxy carbonyl, lower alkylene, aryl, heteroaryl and -R^a, and is optionally intervened by oxygen or nitrogen atom;

Ar¹ is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, nitro, oxo, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, cyclo-lower alkyl, lower alkenyl, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkylthio, carboxyl, lower alkanoyl, lower alkoxy carbonyl and -Q-Ar²;

Ar² is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, cyano, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, hydroxy, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkanoyl and aryl;

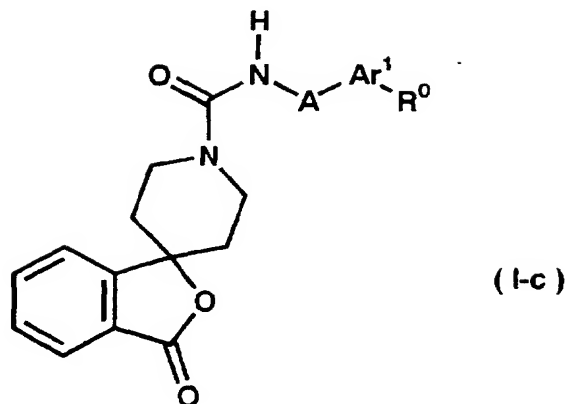
Q is a single bond or carbonyl;

R^a is lower alkyl which is optionally substituted by a substituent selected from the group consisting of amino, lower alkylamino, di-lower alkylamino and hydroxy, and cyclo-lower alkyl, aryl and heteroaryl, the last three groups being optionally substituted by fluorine;

R⁰ is hydrogen, or lower alkylene attached to an arbitrary, bondable position of A;

R¹ is hydrogen, lower alkyl, aralkyl or aryl.

9. The compound of the formula (I-c) as claimed in Claim 1:



wherein

A is a straight-chain hydrocarbon having 1 to 6 carbon atoms, which is optionally substituted by a substituent selected from the group consisting of oxo, amino, lower alkylamino, di-lower alkylamino, hydroxy, lower alkoxy, lower alkoxy-carbonyl, lower alkylene, aryl, heteroaryl and $-R^a$, and is optionally intervened by oxygen or nitrogen atom;

Ar^1 is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, nitro, oxo, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, cyclo-lower alkyl, lower alkenyl, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkylthio, carboxyl, lower alkanoyl, lower alkoxy-carbonyl and $-Q-Ar^2$;

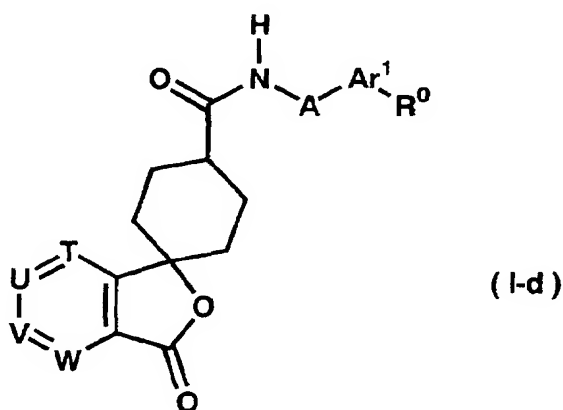
Ar^2 is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, cyano, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, hydroxy, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkanoyl and aryl;

Q is a single bond or carbonyl;

R^a is lower alkyl which is optionally substituted by a substituent selected from the group consisting of amino, lower alkylamino, di-lower alkylamino and hydroxy, and cyclo-lower alkyl, aryl and heteroaryl, the last three groups being optionally substituted by fluorine;

R^0 is hydrogen, or lower alkylene attached to an arbitrary, bondable position of A.

10. The compound of the formula (I-d) as claimed in Claim 1:



wherein

A is a straight-chain hydrocarbon having 1 to 6 carbon atoms, which is optionally substituted by a substituent selected from the group consisting of oxo, amino, lower alkylamino, di-lower alkylamino, hydroxy, lower alkoxy, lower alkoxy-carbonyl, lower alkylene, aryl, heteroaryl and $-R^a$, and is optionally intervened by oxygen or ni-

trogen atom;

Ar¹ is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, nitro, oxo, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, cyclo-lower alkyl, lower alkenyl, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkylthio, carboxyl, lower alkanoyl, lower alkoxycarbonyl and -Q-Ar²;

Ar² is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, cyano, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, hydroxy, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkanoyl and aryl;

Q is a single bond or carbonyl;

R^a is lower alkyl which is optionally substituted by a substituent selected from the group consisting of amino, lower alkylamino, di-lower alkylamino and hydroxy, and cyclo-lower alkyl, aryl and heteroaryl, the last three groups being optionally substituted by fluorine;

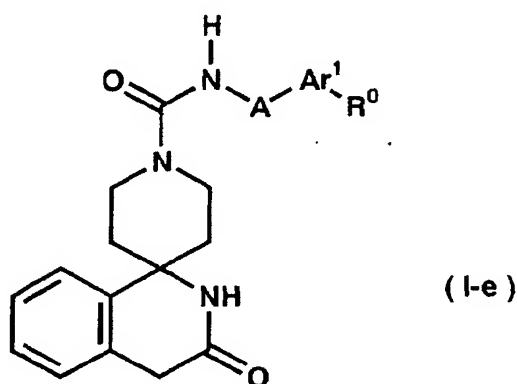
R⁰ is hydrogen, or lower alkylene attached to an arbitrary, bondable position of A;

T, U, V and W are independently methine or nitrogen atom, said methine being optionally substituted by a substituent selected from the group consisting of halogen, lower alkyl, hydroxy and lower alkoxy, and at least two of T, U, V and W are said methine group.

11. The compound as claimed in Claim 10, wherein all of T, U, V and W are unsubstituted methine.

12. The compound as claimed in Claim 10, wherein one of T, U, V and W is nitrogen atom.

13. The compound of the formula (I-e) as claimed in Claim 1:



wherein

A is a straight-chain hydrocarbon having 1 to 6 carbon atoms, which is optionally substituted by a substituent selected from the group consisting of oxo, amino, lower alkylamino, di-lower alkylamino, hydroxy, lower alkoxy, lower alkoxycarbonyl, lower alkylene, aryl, heteroaryl and -R^a, and is optionally intervened by oxygen or nitrogen atom;

Ar¹ is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, nitro, oxo, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, cyclo-lower alkyl, lower alkenyl, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkylthio, carboxyl, lower alkanoyl, lower alkoxycarbonyl and -Q-Ar²;

Ar² is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, cyano, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, hydroxy, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkanoyl and aryl;

Q is a single bond or carbonyl;

R^a is lower alkyl which is optionally substituted by a substituent selected from the group consisting of amino, lower alkylamino, di-lower alkylamino and hydroxy, and cyclo-lower alkyl, aryl and heteroaryl, the last three groups being optionally substituted by fluorine;

R⁰ is hydrogen, or lower alkylene attached to an arbitrary, bondable position of A.

14. The compound as claimed in any of Claims 1, 7, 8, 9, 10, 11, 12 and 13, wherein aryl as Ar¹ is phenyl.

15. The compound as claimed in any of Claims 1, 7, 8, 9, 10, 11, 12 and 13, wherein Ar¹ is heteroaryl which is optionally substituted by a substituent selected from the group consisting of halogen, nitro, oxo, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, cycle-lower alkyl, lower alkenyl, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkylthio, carboxyl, lower alkanoyl, lower alkoxy carbonyl and -Q-Ar².

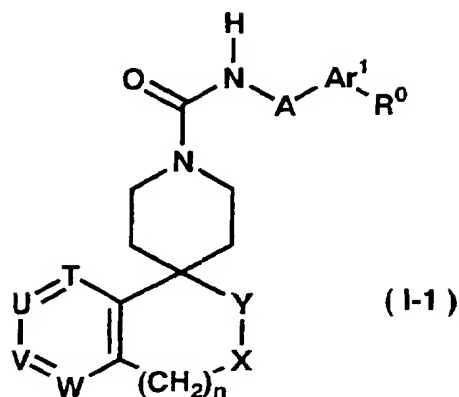
16. The compound as claimed in Claim 1, selected from the group consisting of:

trans-3'-oxo-N-(trans-4-phenylcyclohexyl)spiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide,
trans-N-[(3S)-1-(2-fluorophenyl)-3-pyrrolidinyl]-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide,
trans-N-[(3S)-1-(3-fluorophenyl)-3-pyrrolidinyl]-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide,
trans-N-[(3S)-1-(4-fluorophenyl)-3-pyrrolidinyl]-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide,
trans-N-[1-(2-fluorophenyl)-4-piperidyl]-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide,
trans-N-[1-(3-fluorophenyl)-4-piperidyl]-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide,
trans-N-[1-(4-fluorophenyl)-4-piperidyl]-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide,
trans-3'-oxo-N-(1,2,3,4-tetrahydro-2-naphthyl)spiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide,
trans-N-[1-(3-fluorophenyl)-4-piperidyl]-3-oxospiro[4-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide,
trans-N-[1-(3-fluorophenyl)-4-piperidyl]-3-oxospiro[5-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide,
trans-N-[1-(3-fluorophenyl)-4-piperidyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide,
trans-N-[1-(3-fluorophenyl)-4-piperidyl]-3-oxospiro[7-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide,
trans-N-[6-methoxy-1,2,3,4-tetrahydro-2-naphthyl]-3-oxospiro[5-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide,
trans-3'-oxo-N-[(3S)-5-oxo-1-phenyl-3-pyrrolidinyl]spiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide,
trans-N-[(3S)-1-(2-fluorophenyl)-3-pyrrolidinyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide,
trans-N-[(3S)-1-(3-fluorophenyl)-3-pyrrolidinyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide,
trans-3-oxo-N-(trans-4-phenylcyclohexyl)spiro[5-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide,
trans-3-oxo-N-(trans-4-phenylcyclohexyl)spiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide,
trans-3-oxo-N-(trans-4-phenylcyclohexyl)spiro[7-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide,
trans-3-oxo-N-[(3S)-1-phenyl-3-pyrrolidinyl]spiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide,
trans-3-oxo-N-[(3S)-1-(3-trifluoromethylphenyl)-3-pyrrolidinyl]spiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide,
trans-3-oxo-N-[(3S)-1-(2-pyridyl)-3-pyrrolidinyl]spiro[5-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide,
trans-3-oxo-N-[(3S)-1-(3-pyridyl)-3-pyrrolidinyl]spiro[5-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide,
trans-N-[trans-4-(4-fluorophenyl)cyclohexyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide,
trans-N-[trans-4-(3-fluorophenyl)cyclohexyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide,
trans-N-[trans-4-(3-fluorophenyl)cyclohexyl]-3-oxospiro[4-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide,
trans-N-[trans-4-(3-fluorophenyl)cyclohexyl]-3-oxospiro[7-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide,
trans-N-[(3S)-1-(3,5-difluorophenyl)-3-pyrrolidinyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide,
trans-N-[1-(3,5-difluorophenyl)-4-piperidyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carbox-

amide,
 trans-N-[3-(3-fluorophenyl)-tetrahydropyran-6-yl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide,
 trans-N-[trans-4-(2-fluorophenyl)cyclohexyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxamide,
 trans-N-[(S)-1-benzyl-2-(benzylamino)ethyl]-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide,
 trans-N-benzhydryl-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide,
 trans-1-methanesulfonyl-N-(1-phenyl-4-piperidyl)spiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-N-(2-indanyl)-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-N-[1-(3-fluorophenyl)-4-piperidyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-1-methanesulfonyl-N-[1-(2-pyridyl)-4-piperidyl]spiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-1-methanesulfonyl-N-(1-phenyl-3-piperidyl)spiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-N-[1-(3,5-difluorophenyl)-3-piperidyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-1-methanesulfonyl-N-[1-(2-pyridyl)-3-piperidyl]spiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-1-methanesulfonyl-N-[(3S)-1-phenyl-3-gyrrolidinyl]spiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-1-methanesulfonyl-N-[(3R)-1-phenyl-3-pyrrolidinyl]spiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-1-methanesulfonyl-N-(2-phenylcyclopropyl)spiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-1-methanesulfonyl-N-[(2-(3-pyridyl)cyclopropyl)spiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-N[(S)-1-benzyl-2-(benzylamino)ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-N-[1-benzylcarbamoyl-2-(4-pyridyl)ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-N-[2-(4-fluorophenyl)-1-[(4-pyridylmethyl)carbamoylethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-N-(2-hydroxy-2-phenylethyl)-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-N-(benzoylmethyl)-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-N-[(S)-1-benzyl-2-(N-benzylmethylamino)ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-N-[(S)-1-(N-benzylmethylcarbamoyl-2-phenylethyl)-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-N-[(S)-1-(N-benzylmethylcarbamoyl)-2-(3-pyridyl)ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-N-(4-dimethylaminophenethyl)-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-1-methanesulfonyl-N-[2-(3-quinolyl)ethyl]spiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-N-[2-(4-dimethylaminophenyl)-2-hydroxyethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-N-[2-hydroxy-2-(3-quinolyl)ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-N-[2-(3,5-difluorophenyl)-2-hydroxyethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-N-[(S)-1-benzyl-2-[(3-pyridylmethyl)amino]ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-N-[(S)-1-benzyl-2-[(2-pyridylmethyl)amino]ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-N-[(S)-2-anilino-1-benzylethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-N-[(S)-1-benzyl-2-(isobutylamino)ethyl]-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-1-methanesulfonyl-N-[2-phenyl-1-(methoxycarbonyl)ethyl]spiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 trans-N-(1-hydroxymethyl-2-phenylethyl)-1-methanesulfonylspiro[indoline-3,1'-cyclohexane]-4'-carboxamide,
 1-methanesulfonyl-N-(1-phenyl-4-piperidyl)spiro[indoline-3,4'-piperidine]-1'-carboxamide,
 3-oxo-N-(1-phenyl-3-piperidyl)spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide,
 3-oxo-N-[(3S)-1-phenyl-3-pyrrolidinyl]spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide,
 N-[1-benzylcarbamoyl-2-cyclohexylethyl]-3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide,
 N-[(S)-1-benzylloxymethyl-2-cyclohexylethyl]-3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide

boxamide,
 N-[(S)-1-benzylcarbamoyl-2-phenylethyl]-3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-carbox-
 amide,
 N-[(S)-1-benzyl-2-(benzylamino)ethyl]-3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxam-
 ide,
 N-(2-indanyl)-1-methanesulfonylspiro[indoline-3,4'-piperidine]-1'-carboxamide,
 1-methanesulfonyl-N-phenethylspiro[indoline-3,4'-piperidine]-1'-carboxamide,
 1-methanesulfonyl-N-(3-phenylpropyl)spiro[indoline-3,4'-piperidine]-1'-carboxamide,
 1-methanesulfonyl-N-(4-phenylbutyl)spiro[indoline-3,4'-piperidine]-1'-carboxamide,
 N-(4-bromophenethyl)-1-methanesulfonylspiro[indoline-3,4'-piperidine]-1'-carboxamide,
 N-(3,4-dimethoxyphenethyl)-1-methanesulfonylspiro[indoline-3,4'-piperidine]-1'-carboxamide,
 1-methanesulfonyl-N-(3-methoxyphenethyl)spiro[indoline-3,4'-piperidine]-1'-carboxamide,
 N-(4-dimethylamino-2-methoxyphenethyl)-1-methanesulfonylspiro[indoline-3,4'-piperidine]-1'-carboxamide,
 N-[(S)-1-benzylloxycarbonyl-2-(3-indolyl)ethyl]-3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-
 carboxamide,
 N-[(R)-1-benzylloxycarbonyl-2-(3-indolyl)ethyl]-3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-
 carboxamide,
 N-[(S)-1-benzylloxycarbonyl-2-cyclohexylethyl]-3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-
 carboxamide,
 3,4-dihydro-N-(3-methoxyphenethyl)-3-oxospiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide,
 trans-N-[1-(3-trifluoromethylphenyl)-4-piperidyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-
 carboxamide,
 trans-N-[trans-2-(3-fluorophenyl)cyclopropyl]-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxam-
 ide,
 trans-N-[trans-2-(4-fluorophenyl)cyclopropyl]-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxam-
 ide,
 trans-N-[1-(2-fluorophenyl)-4-piperidyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-carboxam-
 ide,
 trans-3'-oxo-N-[5-oxo-1-(2-fluorophenyl)-3-pyrrolidinyl]spiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carbox-
 amide,
 trans-3'-oxo-N-[5-oxo-1-(3-fluorophenyl)-3-pyrrolidinyl]spiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carbox-
 amide,
 trans-3-oxo-N-[5-oxo-1-(3-fluorophenyl)-3-pyrrolidinyl]spiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-
 carboxamide,
 trans-N-[trans-4-(3-trifluoromethylphenyl)cyclohexyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-
 4'-carboxamide,
 trans-3'-oxo-N-[2-oxo-1-phenyl-4-piperidyl]spiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide,
 trans-3'-oxo-N-[2-oxo-1-(3-fluorophenyl)-4-piperidyl]spiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxa-
 mide,
 trans-N-[trans-2-(2-fluorophenyl)cyclopropyl]-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxam-
 ide,
 trans-N-[trans-2-(3-fluorophenyl)cyclopropyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-car-
 boxamide and
 trans-N-[trans-2-(4-fluorophenyl)cyclopropyl]-3-oxospiro[6-azaisobenzofuran-1(3H),1'-cyclohexane]-4'-car-
 boxamide.

17. A process for preparing a compound of the formula (I-1):



(wherein

20 A is a straight-chain hydrocarbon having 1 to 6 carbon atoms, which is optionally substituted by a substituent selected from the group consisting of oxo, amino, lower alkylamino, di-lower alkylamino, hydroxy, lower alkoxy, lower alkoxy carbonyl, lower alkylene, aryl, heteroaryl and -R^a, and is optionally intervened by oxygen or nitrogen atom;

25 Ar¹ is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, nitro, oxo, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, cyclo-lower alkyl, lower alkenyl, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkylthio, carboxyl, lower alkanoyl, lower alkoxy carbonyl and -Q-Ar²;

30 Ar² is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, cyano, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, hydroxy, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkanoyl and aryl;

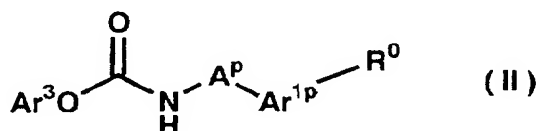
Q is a single bond or carbonyl;

35 R^a is lower alkyl which is optionally substituted by a substituent selected from the group consisting of amino, lower alkylamino, di-lower alkylamino and hydroxy, and cyclo-lower alkyl, aryl and heteroaryl, the last three groups being optionally substituted by fluorine;

40 T, U, V and W are independently methine or nitrogen atom, said methine being optionally substituted by a substituent selected from the group consisting of halogen, lower alkyl, hydroxy and lower alkoxy, and at least two of T, U, V and W are said methine group;

n, R⁰, X and Y have the same meaning as defined below), or a salt or ester thereof,

45 which comprises reacting a compound of the formula (II):



(wherein

50 Aᵖ is a straight-chain hydrocarbon having 1 to 6 carbon atoms, which is optionally substituted by a substituent selected from the group consisting of di-lower alkylamino, lower alkoxy, lower alkoxy carbonyl, lower alkylene, aryl, heteroaryl, -R^{aᵖ}, optionally protected oxo, optionally protected amino, optionally protected lower alkylamino and optionally protected hydroxy, and is optionally intervened by oxygen or nitrogen atom;

55 Ar^{1ᵖ} is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, nitro, lower alkyl, halo-lower alkyl, cyclo-lower alkyl, lower alkenyl, lower alkoxy, halo-lower alkoxy, di-lower alkylamino, lower alkylthio, lower alkanoyl, lower alkoxy carbonyl, -Qᵖ-Ar^{2ᵖ}, optionally protected oxo, optionally protected hydroxy-lower alkyl, optionally protected lower alkylamino and optionally protected

carboxyl;

Ar^{2p} is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, cyano, lower alkyl, halo-lower alkyl, lower alkoxy, halo-lower alkoxy, di-lower alkylamino, lower alkanoyl, aryl, optionally protected hydroxy-lower alkyl, optionally protected hydroxy and optionally protected lower alkylamino;

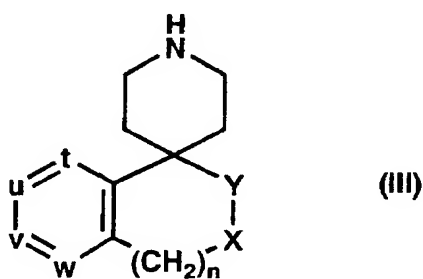
Ar³ is halogen, or phenyl which is optionally substituted by nitro;

Q^p is a single bond or optionally protected carbonyl;

R^{ap} is lower alkyl which is optionally substituted by a substituent selected from the group consisting of di-lower alkylamino, optionally protected amino, optionally protected lower alkylamino, optionally protected hydroxy, and cycle-lower alkyl, aryl and heteroaryl, the last three groups being optionally substituted by fluorine;

R^{0p} is hydrogen, or lower alkylene attached to an arbitrary, bondable position of AP)

with a compound of the formula (III):



(wherein

n is 0 or 1;

R¹, R² and R⁵ are independently hydrogen, lower alkyl, aralkyl or aryl;

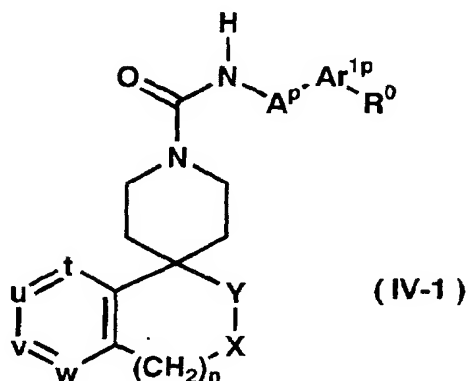
R³ and R⁴ are independently hydrogen, lower alkyl, aralkyl, aryl and optionally protected hydroxy;

t, u, v and w are independently methine or nitrogen atom, said methine being optionally substituted by a substituent selected from the group consisting of halogen, lower alkyl, lower alkoxy and optionally protected hydroxy, and at least two of t, u, v and w are said methine group;

X is -N(SO₂R¹)-, -N(COR²)- or -CO-;

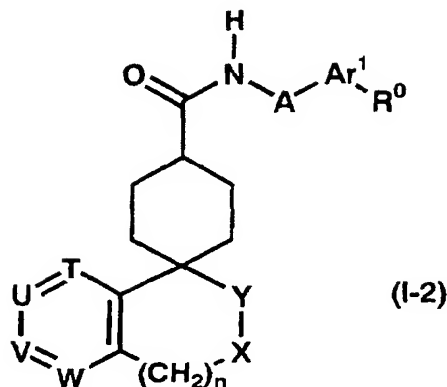
Y is -C(R³)(R⁴)-, -O- or -N(R⁵)-)

to give a compound of the formula (IV-1):



(wherein AP, Ar^{1p}, n, R⁰, t, u, v, w, X and Y have the same meaning as defined above), and optionally removing the protecting group(s) from the compound (IV-1).

18. A process for preparing a compound of the formula (I-2):



(wherein

A is a straight-chain hydrocarbon having 1 to 6 carbon atoms, which is optionally substituted by a substituent selected from the group consisting of oxo, amino, lower alkylamino, di-lower alkylamino, hydroxy, lower alkoxy, lower alkoxy-carbonyl, lower alkylene, aryl, heteroaryl and $-R^a$, and is optionally intervened by oxygen or nitrogen atom;

Ar^1 is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, nitro, oxo, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, cyclo-lower alkyl, lower alkenyl, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkylthio, carboxyl, lower alkanoyl, lower alkoxy-carbonyl and $-Q-Ar^2$;

Ar^2 is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, cyano, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, hydroxy, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkanoyl and aryl;

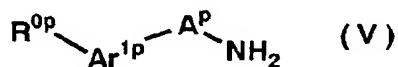
Q is a single bond or carbonyl;

R^a is lower alkyl which is optionally substituted by a substituent selected from the group consisting of amino, lower alkylamino, di-lower alkylamino and hydroxy, and cyclo-lower alkyl, aryl and heteroaryl, the last three groups being optionally substituted by fluorine;

T, U, V and W are independently methine or nitrogen atom, said methine being optionally substituted by a substituent selected from the group consisting of halogen, lower alkyl, hydroxy and lower alkoxy, and at least two of T, U, V and W are said methine group;

n, R^0 , X and Y have the same meaning as defined below), or a salt or ester thereof,

which comprises reacting a compound of the formula (V):



(wherein

A^p is a straight-chain hydrocarbon having 1 to 6 carbon atoms, which is optionally substituted by a substituent selected from the group consisting of di-lower alkylamino, lower alkoxy, lower alkoxy-carbonyl, lower alkylene, aryl, heteroaryl, $-R^{ap}$, optionally protected oxo, optionally protected amino, optionally protected lower alkylamino and optionally protected hydroxy, and is optionally intervened by oxygen or nitrogen atom;

Ar^{1p} is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, nitro, lower alkyl, halo-lower alkyl, cyclo-lower alkyl, lower alkenyl, lower alkoxy, halo-lower alkoxy, di-lower alkylamino, lower alkylthio, lower alkanoyl, lower alkoxy-carbonyl, $-Q^p-Ar^{2p}$, optionally protected oxo, optionally protected hydroxy-lower alkyl, optionally protected lower alkylamino and optionally protected carboxyl;

Ar^{2p} is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, cyano, lower alkyl, halo-lower alkyl, lower alkoxy, halo-lower alkoxy, di-lower alkylamino,

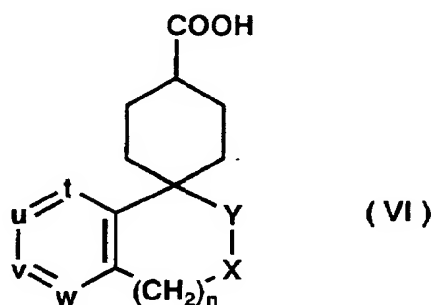
lower alkanoyl, aryl, optionally protected hydroxy-lower alkyl, optionally protected hydroxy and optionally protected lower alkylamino;

QP is a single bond or optionally protected carbonyl;

R^{AP} is lower alkyl which is optionally substituted by a substituent selected from the group consisting of di-lower alkylamino, optionally protected amino, optionally protected lower alkylamino and optionally protected hydroxy, and cyclo-lower alkyl, aryl and heteroaryl, the last three groups being optionally substituted by fluorine;

R^{OP} is hydrogen, or lower alkylene attached to an arbitrary, bondable position of AP)

with a compound of the formula (VI):



(wherein

n is 0 or 1;

R¹, R² and R⁵ are independently hydrogen, lower alkyl, aralkyl or aryl;

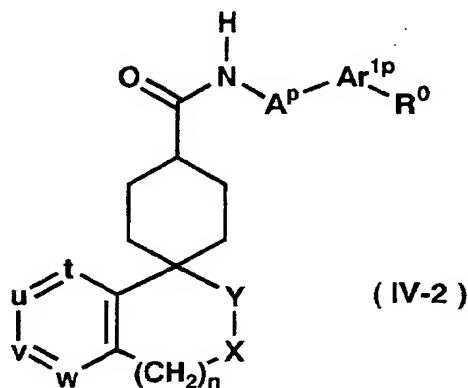
R³ and R⁴ are independently hydrogen, lower alkyl, aralkyl, aryl and optionally protected hydroxy;

t, u, v and w are independently methine or nitrogen atom, said methine being optionally substituted by a substituent selected from the group consisting of halogen, lower alkyl, lower alkoxy and optionally protected hydroxy, and at least two of t, u, v and w are said methine group;

X is -N(SO₂R¹)-, -N(COR²)- or -CO-;

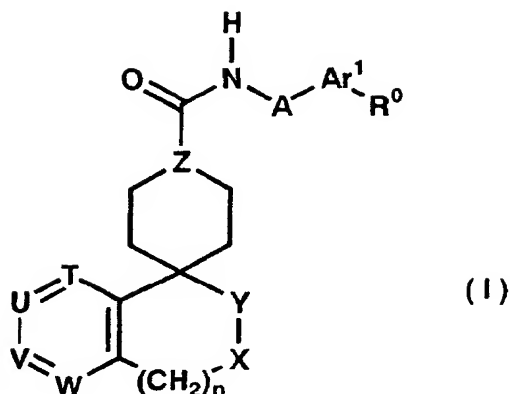
Y is -C(R³)(R⁴)-, -O- or -N(R⁵)-)

to give a compound of the formula (IV-2):



(wherein AP, Ar^{1p}, n, R⁰, t, u, v, w, X and Y have the same meaning as defined above), and optionally removing the protecting group(s) from the compound (IV-2).

19. A neuropeptide Y receptor antagonist agent comprising a compound of the formula (I):



(wherein

A is a straight-chain hydrocarbon having 1 to 6 carbon atoms, which is optionally substituted by a substituent selected from the group consisting of oxo, amino, lower alkylamino, di-lower alkylamino, hydroxy, lower alkoxy, lower alkoxy-carbonyl, lower alkylene, aryl, heteroaryl and -R^a, and is optionally intervened by oxygen or nitrogen atom;

Ar¹ is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, nitro, oxo, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, cyclo-lower alkyl, lower alkenyl, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkylthio, carboxyl, lower alkanoyl, lower alkoxy-carbonyl and -Q-Ar²;

Ar² is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, cyano, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, hydroxy, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkanoyl and aryl;

n is 0 or 1;

Q is a single bond or carbonyl;

R^a is lower alkyl which is optionally substituted by a substituent selected from the group consisting of amino, lower alkylamino, di-lower alkylamino and hydroxy, and cyclo-lower alkyl, aryl and heteroaryl, the last three groups being optionally substituted by fluorine;

R⁰ is hydrogen, or lower alkylene attached to an arbitrary, bondable position of A;

R¹, R² and R⁵ are independently hydrogen, lower alkyl, aralkyl or aryl;

R³ and R⁴ are independently hydrogen, hydroxy, lower alkyl, aralkyl or aryl;

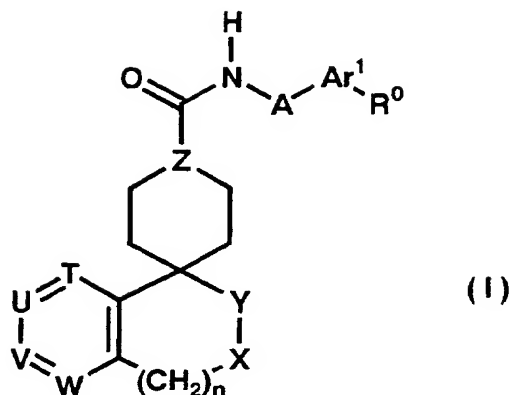
T, U, V and W are independently methine or nitrogen atom, said methine being optionally substituted by a substituent selected from the group consisting of halogen, lower alkyl, hydroxy and lower alkoxy, and at least two of T, U, V and W are said methine group;

X is -N(SO₂R¹)-, -N(COR²)- or -CO-;

Y is -C(R³)(R⁴)-, -O- or -N(R⁵)-;

Z is methine or nitrogen atom), or a salt or ester thereof as an active ingredient.

20. An agent for the treatment of bulimia, obesity or diabetes, comprising a compound of the formula (I):



(wherein

A is a straight-chain hydrocarbon having 1 to 6 carbon atoms, which is optionally substituted by a substituent selected from the group consisting of oxo, amino, lower alkylamino, di-lower alkylamino, hydroxy, lower alkoxy, lower alkoxy-carbonyl, lower alkylene, aryl, heteroaryl and $-R^a$, and is optionally intervened by oxygen or nitrogen atom;

Ar^1 is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, nitro, oxo, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, cyclo-lower alkyl, lower alkenyl, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkylthio, carboxyl, lower alkanoyl, lower alkoxy-carbonyl and $-Q-Ar^2$;

Ar^2 is aryl or heteroaryl, any of which is optionally substituted by a substituent selected from the group consisting of halogen, cyano, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, hydroxy, lower alkoxy, halo-lower alkoxy, lower alkylamino, di-lower alkylamino, lower alkanoyl and aryl;

n is 0 or 1;

Q is a single bond or carbonyl;

R^a is lower alkyl which is optionally substituted by a substituent selected from the group consisting of amino, lower alkylamino, di-lower alkylamino and hydroxy, and cyclo-lower alkyl, aryl and heteroaryl, the last three groups being optionally substituted by fluorine;

R^0 is hydrogen, or lower alkylene attached to an arbitrary, bondable position of A;

R^1 , R^2 and R^5 are independently hydrogen, lower alkyl, aralkyl or aryl;

R^3 and R^4 are independently hydrogen, hydroxy, lower alkyl, aralkyl or aryl;

T, U, V and W are independently methine or nitrogen atom, said methine being optionally substituted by a substituent selected from the group consisting of halogen, lower alkyl, hydroxy and lower alkoxy, and at least two of T, U, V and W are said methine group;

X is $-N(SO_2R^1)-$, $-N(COR^2)-$ or $-CO-$;

Y is $-C(R^3)(R^4)-$, $-O-$ or $-N(R^5)-$;

Z is methine or nitrogen atom), or a salt or ester thereof as an active ingredient.

INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP02/07922

A. CLASSIFICATION OF SUBJECT MATTER Int.Cl ⁷ C07D209/96, 307/94, 401/12, 401/14, 403/12, 405/12, 471/10, 491/107, A61K31/365, 4025, 403, 4355, 4439, 444, A61K31/4525, 454, 4545, 4709, 4747, 506, A61P1/00, 3/04, According to International Patent Classification (IPC) or to both national classification and IPC		
B. FIELDS SEARCHED Minimum documentation searched (classification system followed by classification symbols) Int.Cl ⁷ C07D209/96, 307/94, 401/12, 401/14, 403/12, 405/12, 471/10, 491/107, A61K31/365, 4025, 403, 4355, 4439, 444, A61K31/4525, 454, 4545, 4709, 4747, 506, A61P1/00, 3/04, Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched Electronic data base consulted during the international search (name of data base and, where practicable, search terms used) CAPLUS, REGISTRY (STN)		
C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 01/14328 A2 (Merck & Co., Inc.), 01 March, 2001 (01.03.01),	1-3, 7, 8, 14, 17-20
Y	& AU 2000069093 A & US 6353099 B1	4-6, 9-13, 15, 16
X	US 5869489 A (Merck & Co., Inc.), 09 February, 1999 (09.02.99),	1-3, 7, 8, 14, 17-20
Y	(Family: none)	4-6, 9-13, 15, 16
X	GB 2311523 A (Merck & Co., Inc.), 01 October, 1997 (01.10.97),	1-3, 7, 8, 14, 17-20
Y	(Family: none)	4-6, 9-13, 15, 16
X	Bioorg.Med.Chem.Lett., (1998), 8(16), p.2259-62	1-3, 7, 8, 14, 17-20
<input checked="" type="checkbox"/> Further documents are listed in the continuation of Box C. <input type="checkbox"/> See patent family annex.		
* Special categories of cited documents: "A" document defining the general state of the art which is not considered to be of particular relevance "E" earlier document but published on or after the international filing date "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) "O" document referring to an oral disclosure, use, exhibition or other means "P" document published prior to the international filing date but later than the priority date claimed "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art "&" document member of the same patent family		
Date of the actual completion of the international search 24 October, 2002 (24.10.02)		Date of mailing of the international search report 05 November, 2002 (05.11.02)
Name and mailing address of the ISA/ Japanese Patent Office		Authorized officer
Facsimile No.		Telephone No.

Form PCT/ISA/210 (second sheet) (July 1998)

INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP02/07922

C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 00/27845 A1 (Banyu Pharm. Co., Ltd.), 18 May, 2000 (18.05.00), & AU 200014732 A & US 6191160 B1 & EP 1129089 A1 & US 6313298 B1 & JP 2002-529464 A	1-20
Y	WO 01/14376 A1 (Banyu Pharm. Co., Ltd.), 01 March, 2001 (01.03.01), & AU 200064762 A & US 6326375 B1 & US 6335345 B1 & JP 2002-30086 A & BR 200013423 A & NO 200200814 A & EP 1204663 A1 & US 6388077 B1 & KR 2002021410 A	1-20
P,A	WO 02/48152 A2 (Neurogen Corp.), 20 June, 2002 (20.06.02), (Family: none)	1-20
P,A	WO 02/22563 A1 (Toray Ind., Inc.), 21 March, 2002 (21.03.02), & AU 2001086242 A	1-20

Form PCT/ISA/210 (continuation of second sheet) (July 1998)

INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP02/07922

Continuation of A. CLASSIFICATION OF SUBJECT MATTER
(International Patent Classification (IPC))

Int.Cl⁷ 3/06, 3/10, 5/00, 9/00, 9/02, 9/10, 9/12, 11/00, 13/12,
A61P15/00, 25/04, 25/22, 25/24, 25/28, 25/30, 25/32,
27/06, 29/00, 43/00

(According to International Patent Classification (IPC) or to both national
classification and IPC)

Continuation of B. FIELDS SEARCHEDMinimum Documentation Searched (International Patent Classification (IPC))

Int.Cl⁷ 3/06, 3/10, 5/00, 9/00, 9/02, 9/10, 9/12, 11/00, 13/12,
A61P15/00, 25/04, 25/22, 25/24, 25/28, 25/30, 25/32,
27/06, 29/00, 43/00

Minimum documentation searched (classification system followed by
classification symbols)